06/05/2006

```
=> d que stat 140
              1 SEA FILE=HCAPLUS ABB=ON PLU=ON US2004-771756/APPS
L1
                TRANSFER PLU=ON L1 1- RN:
L3
L4
             58 SEA FILE=REGISTRY ABB=ON PLU=ON
L6
                STR
                                 C @14
                                          N @15
                                                   0 @16
                                                            S @17
                     C~G3
VAR G1=14/15/16/17
VAR G2=14/15/16/17
```

VAR G3=14/15/16/17 NODE ATTRIBUTES: NSPEC IS R AΤ 14 IS R NSPEC AT 15 NSPEC IS R AT 16 IS R ΑT 17 NSPEC DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

573 SEA FILE=REGISTRY SSS FUL L6 L847 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L4 L37 PLU=ON L37 AND BR/ELS L38 24 SEA FILE=REGISTRY ABB=ON PLU=ON L38 AND ?QUINAZOL?/CNS L39 15 SEA FILE=REGISTRY ABB=ON PLU=ON L39 AND C18H15BRN2/MF 1 SEA FILE=REGISTRY ABB=ON L40

=> d ide 140

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

```
ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
L40
RN
     745836-70-8 REGISTRY
ED
     Entered STN: 16 Sep 2004
     Pyrrolo [2,1-b] quinazoline, 3-[(2-bromophenyl)methylene]-1,2,3,9-
CN
     tetrahydro- (9CI) (CA INDEX NAME)
FS
     3D CONCORD
MF
     C18 H15 Br N2
SR
     STN Files: CA, CAPLUS, USPATFULL
LC
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 11:44:34 ON 05 JUN 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 2, 2006 (20060602/UP).

```
=> => d que stat 18
L6 STR
```

C@14 N@15 O@16 S@17

VAR G1=14/15/16/17

VAR G2=14/15/16/17

VAR G3=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS R AT14 IS R NSPEC AΤ 15 IS R AΤ NSPEC 16 IS R NSPEC AT17 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L8 573 SEA FILE=REGISTRY SSS FUL

100.0% PROCESSED 4854 ITERATIONS

SEARCH TIME: 00.00.01

573 ANSWERS

=> d que nos 110

L6 STR

L8 573 SEA FILE=REGISTRY SSS FUL L6

L10 ANALYZE PLU=ON L8 1- LC : 11 TERMS

=> d l10 1-11

L10 ANALYZE L8 1- LC : 11 TERMS

| TERM # | # occ | # DOC | % DOC | LC |
|--------|-------|--------|-------|-----------|
| 1 | 354 | 354 | 61.78 | CA |
| 2 | 354 | 354 | 61.78 | CAPLUS |
| 3 | 219 | 219 | 38.22 | USPATFULL |
| 4 | 185 | 185 | 32.29 | CHEMCATS |
| 5 | 168 | 168 | 29.32 | CASREACT |
| 6 | 55 | 55 | 9.60 | BEILSTEIN |
| 7 | 17 | 17 | 2.97 | TOXCENTER |
| 8 | 1 | 1 | 0.17 | BIOSIS |
| 9 | 1 | 1 | 0.17 | PROUSDDR |
| 10 | 1 | 1 | 0.17 | SYNTHLINE |
| 11 | 1 | 1 | 0.17 | USPAT2 |
| ****** | END O | F L10* | ** | |

150 ANSWERS

```
=> d que stat ll1
L6 STR
```

C@14 N@15 O@16 S@17

VAR G1=14/15/16/17 VAR G2=14/15/16/17 VAR G3=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS R AT14 **NSPEC** IS R AT15 IS R NSPEC AΤ 16 NSPEC IS R AΤ 17 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L11 150 SEA FILE=BEILSTEIN SSS FUL

FUL L6

100.0% PROCESSED 1412 ITERATIONS

SEARCH TIME: 00.00.05

=> d his l11-l14

(FILE 'REGISTRY' ENTERED AT 11:06:48 ON 05 JUN 2006)

FILE 'STNGUIDE' ENTERED AT 11:08:22 ON 05 JUN 2006

FILE 'BEILSTEIN' ENTERED AT 11:08:37 ON 05 JUN 2006

L11 150 S L6 FUL

L12 88 S L11 NOT RN/FA

L13 15 S L12 NOT BABSAN/FA

SAVE TEMP L11 WAR756BEIS/A SAVE TEMP L13 WAR756BEIX/A SELECT L11 1- BABSAN

FILE 'BABS' ENTERED AT 11:11:07 ON 05 JUN 2006 22 S E13-E34/AN

L14 22 S E13-E3

=> d que nos 117

L14 22 SEA FILE=BABS ABB=ON PLU=ON (6487251/AN OR 5863646/AN OR 5577177/AN OR 6168489/AN OR 5577176/AN OR 6311218/AN OR 6170503/AN OR 5559630/AN OR 5690319/AN OR 6199365/AN OR 6254884/AN OR 6507792/AN OR 5559613/AN OR 6429378/AN OR 5563983/AN OR 6148796/AN OR 6348769/AN OR 6381124/AN OR 6392803/AN OR 6407489/AN OR 6443294/AN OR 6445593/AN)

L15 QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY

<2004 OR REVIEW/DT

L17 19 SEA FILE=BABS ABB=ON PLU=ON L14 AND L15

```
=> d que stat 143
              1 SEA FILE=HCAPLUS ABB=ON PLU=ON US2004-771756/APPS
L1
                TRANSFER PLU=ON L1 1- RN :
L3
                                                  58 TERMS
             58 SEA FILE=REGISTRY ABB=ON PLU=ON L3
L4
L6
                STR
                                 C @14
                                          N @15
                                                   0 @16
                                                            S @17
                       ~ G3
                    12
                        13
```

VAR G1=14/15/16/17 VAR G2=14/15/16/17

VAR G3=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS R ΑT 14 IS R NSPEC AT15 IS R NSPEC AT 16 NSPEC IS R AT17 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

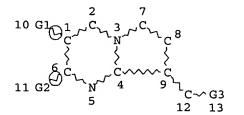
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

| L8 | 573 | SEA FILE=REGISTRY SSS FUL L6 |
|-----|-----|--|
| L15 | | QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY |
| | | <2004 OR REVIEW/DT |
| L34 | 50 | SEA FILE=HCAPLUS ABB=ON PLU=ON L8 |
| L35 | 46 | SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND L15 |
| L37 | 47 | SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L4 |
| L38 | 24 | SEA FILE=REGISTRY ABB=ON PLU=ON L37 AND BR/ELS |
| L39 | 15 | SEA FILE=REGISTRY ABB=ON PLU=ON L38 AND ?QUINAZOL?/CNS |
| L40 | 1 | SEA FILE=REGISTRY ABB=ON PLU=ON L39 AND C18H15BRN2/MF |
| L41 | 1 | SEA FILE=HCAPLUS ABB=ON PLU=ON L40 |
| L42 | 1 | SEA FILE=HCAPLUS ABB=ON PLU=ON L41 AND L35 |
| L43 | 46 | SEA FILE=HCAPLUS ABB=ON PLU=ON L35 OR L42 |

=> d que stat 145 L6 STR



C @14 N @15 0 @16 S @17

```
VAR G1=14/15/16/17
VAR G2=14/15/16/17
VAR G3=14/15/16/17
NODE ATTRIBUTES:
NSPEC
       IS R
                  AΤ
                     14
NSPEC
        IS R
                  AT
                     15
                  AT 16
NSPEC
       IS R
                  AT 17
        IS R
NSPEC
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17
STEREO ATTRIBUTES: NONE
              1 SEA FILE=WPIX SS$
L45
100.0% PROCESSED
                     131 ITERATIONS
                                                                1 ANSWERS
SEARCH TIME: 00.00.06
=> d his 145-148
     (FILE 'WPIX' ENTERED AT 11:34:54 ON 05 JUN 2006)
              1 S L6 FUL
L45
                SAVE TEMP L45 WAR756WPIS/A
              1 S L45/DCR
L46
                SELECT L45 1- SDCN
              1 S E35/DCN
L47
              1 S L46 OR L47
L48
=> d que nos 148
               STR
              1 SEA FILE=WPIX SSS FUL L6
L45
              1 SEA FILE=WPIX ABB=ON PLU=ON L45/DCR
L46
              1 SEA FILE=WPIX ABB=ON PLU=ON RAF9T7/DCN
L47
              1 SEA FILE=WPIX ABB=ON PLU=ON L46 OR L47
L48
=> d his 155
     (FILE 'USPATFULL, USPAT2, CASREACT, TOXCENTER, BIOSIS, PROUSDDR,
     CHEMCATS, SYNTHLINE' ENTERED AT 11:42:26 ON 05 JUN 2006)
             29 S L54 AND L15
L55
=> d que nos 155
                STR
            573 SEA FILE=REGISTRY SSS FUL L6
^{\text{L8}}
                QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L15
                <2004 OR REVIEW/DT
            502 SEA L8
L54
             29 SEA L54 AND L15
L55
=> d que nos 156
              1 SEA FILE=HCAPLUS ABB=ON PLU=ON US2004-771756/APPS
L1
```

58 TERMS

TRANSFER PLU=ON L1 1- RN :

L3

```
L4 58 SEA FILE=REGISTRY ABB=ON PLU=ON L3

L6 STR

L8 573 SEA FILE=REGISTRY SSS FUL L6

L37 47 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L4

L38 24 SEA FILE=REGISTRY ABB=ON PLU=ON L37 AND BR/ELS

L39 15 SEA FILE=REGISTRY ABB=ON PLU=ON L38 AND ?QUINAZOL?/CNS

L40 1 SEA FILE=REGISTRY ABB=ON PLU=ON L39 AND C18H15BRN2/MF

L56 1 SEA FILE=USPATFULL ABB=ON PLU=ON L40
```

=> d his 162

(FILE 'MEDLINE, BIOSIS, EMBASE, CABA, LIFESCI, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CONF, CONFSCI, DISSABS' ENTERED AT 11:47:28 ON 05 JUN 2006)
L62 2 S L61 AND L15

=> d que 162

L15 QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY

<2004 OR REVIEW/DT

L27 QUE ABB=ON PLU=ON ?PYRROL?(4A)?AZOL?

L30 QUE ABB=ON PLU=ON ?CHEMOKIN? OR (CHEMO(W)KIN?)

L61 8 SEA L27 AND L30 L62 2 SEA L61 AND L15

=> d his 166

(FILE 'PASCAL, JICST-EPLUS' ENTERED AT 11:54:17 ON 05 JUN 2006)
L66 1 S L27 AND L30
SAVE TEMP L66 WAR756JP1B/A

FILE 'STNGUIDE' ENTERED AT 11:58:34 ON 05 JUN 2006

=> d que 166

L27 QUE ABB=ON PLU=ON ?PYRROL? (4A) ?AZOL?

L30 QUE ABB=ON PLU=ON ?CHEMOKIN? OR (CHEMO(W)KIN?)

L66 1 SEA L27 AND L30

=> dup rem 143 117 148 155 162 166

DUPLICATE IS NOT AVAILABLE IN 'PROUSDDR, CHEMCATS, SYNTHLINE, CONF'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 12:01:59 ON 05 JUN 2006

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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PROCESSING COMPLETED FOR L43
PROCESSING COMPLETED FOR L17
PROCESSING COMPLETED FOR L48
PROCESSING COMPLETED FOR L55
PROCESSING COMPLETED FOR L62
PROCESSING COMPLETED FOR L66
L67
58 DUP REM L43 L17 L48 L55 L62 L66 (40 DUPLICATES R

58 DUP REM L43 L17 L48 L55 L62 L66 (40 DUPLICATES REMOVED)
ANSWERS '1-46' FROM FILE HCAPLUS
ANSWERS '47-53' FROM FILE USPATFULL
ANSWER '54' FROM FILE BIOSIS
ANSWER '55' FROM FILE SYNTHLINE
ANSWERS '56-57' FROM FILE EMBASE
ANSWER '58' FROM FILE PASCAL

=> file stnquide

FILE 'STNGUIDE' ENTERED AT 12:02:09 ON 05 JUN 2006
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Jun 2, 2006 (20060602/UP). => d ibib ed ab hitstr
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE,
PASCAL' - CONTINUE? (Y)/N:y

```
L67 ANSWER 1 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
```

ACCESSION NUMBER: 2004:696376 HCAPLUS

DOCUMENT NUMBER: 141:225527

TITLE: Preparation of pyrroloquinazolines as modulators of

chemokine activity

INVENTOR(S): Anderskewitz, Ralf; Dollinger, Horst; Heine, Claudia;

Pouzet, Pascale Arielle Jane-josee; Birke, Franz;

Bouyssou, Thierry

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;

Boehringer Ingelheim Pharma GmbH & Co. Kg

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | | KINI | KIND DATE AP | | | | | ICAT | ION | NO. | DATE | | | | |
|-------|------------------------|------|-----|-----|---------------------------|-----------------------------|--------------|----------------|-----------------|----------------|-----|------------|------------|------------|--------------|------------|-----|-----|--|
| | WO 2004072074 | | | | A1 20040826 | | | WO 2004-EP1043 | | | | | | 20040205 < | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NA, | NI | |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AT, | BE, | |
| | | | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | |
| | | | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | |
| | | | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | | | |
| | US 2005027122 | | | A1 | 1 20050203 US 2004-771756 | | | | | | | 20040204 < | | | | | | | |
| | CA | 2515 | 730 | | | AA 20040826 CA 2004-2515730 | | | | | | | 20040205 < | | | | | | |
| | ΕP | 1594 | 873 | | | A1 | | 2005 | 1116 | EP 2004-708347 | | | | | | 20040205 < | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | ΝL, | SE, | MC, | PT, | |
| | | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | | |
| | JP 2006515002 | | | | T2 | | 2006 | 0518 | JP 2005-518417 | | | | | | 20040205 < | | | | |
| PRIOR | PRIORITY APPLN. INFO.: | | | | | | | | EP 2003-3007 | | | | | | A 20030212 < | | | | |
| | | | | | | | | | US 2003-499529P | | | | | | P 20030902 < | | | | |
| | | | | | | | | | WO 2004-EP1043 | | | | | W 20040205 | | | | | |
| | | | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 141:225527

ED Entered STN: 26 Aug 2004

The title compds. $[\bar{I}; R1, R2 = H, alkyl, alkenyl, cycloalkyl, etc.; or R1]$ AB and R2 together with the interjacent carbon atom form (un) substituted 3-8 membered cycloalkyl; or R1 and R2 form together :NR4; R3 = H, alkyl, alkenyl, aryl, etc.; R4 = H, CO2R5, COR5, CN, etc.; R5 = H, alkyl, cycloalkyl, aryl, etc.; or R2 and R3 together with the interjacent group form 5-8 membered ring; or R3 and R4 together with the interjacent group form 5-8 membered ring; E1, E2 = H or taken together form a double bond; X = H, halo, alkyl, cycloalkyl, aryl, etc.; the ring A may be substituted; Ar1, Ar2 = (un) substituted 6-10 membered homoarom. ring, 5-10 membered heteroarom. ring containing up to three heteroatoms selected from N, O and S; n = 1-4] and their pharmaceutically acceptable salts, which are effective modulators of chemokine activity, were prepared Thus, reacting 2-aminobenzylamine with γ -butyrolactone followed by treatment of the reaction mixture with POCl3, and then reacting the resulting 1,2,3,9-tetrahydropyrrolo[2,1-b]quinazoline with 2-bromobenzaldehyde

afforded 3-(2-bromobenzylidene)-1,2,3,9-tetrahydropyrrolo[2,1b]quinazoline which showed Ki in the range 1-100 nM against CCR-3 receptor binding. The pharmaceutical composition comprising the compound I is claimed. 82083-81-6P 745836-70-8P 745836-71-9P ΙT 745836-72-0P 745836-73-1P 745836-74-2P 745836-75-3P 745836-76-4P 745836-77-5P 745836-78-6P 745836-79-7P 745836-80-0P 745836-81-1P 745836-82-2P 745836-83-3P 745836-84-4P 745836-85-5P 745836-86-6P 745836-87-7P 745836-88-8P 745836-89-9P 745836-90-2P 745836-91-3P 745836-92-4P 745836-93-5P 745836-95-7P 745836-96-8P 745836-97-9P 745836-98-0P 745836-99-1P 745837-00-7P 745837-01-8P 745837-02-9P 745837-03-0P 745837-04-1P 745837-05-2P 745837-06-3P 745837-07-4P 745837-09-6P 745837-10-9P 745837-11-0P 745837-12-1P 745837-13-2P 745837-14-3P 745837-15-4P 745837-36-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of pyrrologuinazolines as modulators of chemokine activity) 82083-81-6 HCAPLUS RN Pyrrolo[2,1-b]quinazoline, 3-(2-furanylmethylene)-1,2,3,9-tetrahydro-CN (CA INDEX NAME)

RN 745836-71-9 HCAPLUS
CN Pyrrolo[2,1-b]quinazoline, 5,7-dibromo-3-[(2-chlorophenyl)methylene]1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-72-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-chlorophenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-73-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 7-bromo-3-[(2-chlorophenyl)methylene]-6-(1,1-dimethylethyl)-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-74-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

745836-75-3 HCAPLUS RN

Pyrrolo[2,1-b]quinazoline, 3-[(2-fluorophenyl)methylene]-1,2,3,9-CN tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN

745836-76-4 HCAPLUS
Benzenamine, 3-chloro-4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME) CN

●2 HCl

745836-77-5 HCAPLUS RN

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[[2-(trifluoromethyl)phenyl]methylene]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

- RN 745836-78-6 HCAPLUS
- CN Pyrrolo[2,1-b]quinazoline, 3-[(2-chlorophenyl)methylene]-1-ethyl-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

- RN 745836-79-7 HCAPLUS
- CN Pyrrolo[2,1-b]quinazoline, 3-[(2-ethylphenyl)methylene]-1,2,3,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN

745836-80-0 HCAPLUS
Benzenamine, 4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

RN 745836-81-1 HCAPLUS

Pyrrolo[2,1-b]quinazoline, 3-[(3,4-dichlorophenyl)methylene]-1,2,3,9-CN tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-82-2 HCAPLUS

CNPyrrolo[2,1-b]quinazoline, 3-[(2-ethoxyphenyl)methylene]-1,2,3,9tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-83-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(2-thienylmethylene)-(9CI) (CA INDEX NAME)

RN 745836-84-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(3-thienylmethylene)(9CI) (CA INDEX NAME)

RN 745836-85-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-([1,1'-biphenyl]-2-ylmethylene)-1,2,3,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 745836-86-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-chloro-4-fluorophenyl)methylene]-1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-87-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[(4-methoxy-3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 745836-88-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-89-9 HCAPLUS

CN Benzaldehyde, 2-[amino(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 745836-90-2 HCAPLUS

● HCl

RN 745836-91-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-bromophenyl)methylene]-1-(4-fluorophenyl)-1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-92-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(8-bromo-1-naphthalenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-93-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-bromophenyl)methylene]-1-butyl-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-95-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-ethylphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745836-96-8 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-chlorophenyl)methylene]-2,3dihydro- (9CI) (CA INDEX NAME)

RN 745836-97-9 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 2,3-dihydro-3-[(2-iodophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 745836-98-0 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 745836-99-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

RN 745837-00-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-8-fluoro-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745837-01-8 HCAPLUS

CN Pyrido[2,3-d]pyrrolo[1,2-a]pyrimidin-5(7H)-imine, 9-[(2-bromophenyl)methylene]-8,9-dihydro- (9CI) (CA INDEX NAME)

RN 745837-02-9 HCAPLUS

CN Methanamine, N-[3-[(2-bromophenyl)methylene]-2,3-dihydropyrrolo[2,1-b]quinazolin-9(1H)-ylidene]- (9CI) (CA INDEX NAME)

RN 745837-03-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-8-chloro-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745837-04-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

RN 745837-05-2 HCAPLUS

CN Cyanamide, [3-[(2-bromophenyl)methylene]-2,3-dihydropyrrolo[2,1-b]quinazolin-9(1H)-ylidene]- (9CI) (CA INDEX NAME)

RN 745837-06-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-1-carboxylic acid, 3-[(2-bromophenyl)methylene]-1,2,3,9-tetrahydro-9-imino-, methyl ester (9CI) (CA INDEX NAME)

RN 745837-07-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-1-carboxamide, 3-[(2-bromophenyl)methylene]-1,2,3,9-tetrahydro-9-imino-(9CI) (CA INDEX NAME)

RN 745837-09-6 HCAPLUS

CN Formic acid, compd. with 5-[(2-bromophenyl)methylene]-1,5,6,7-tetrahydro-9H-pyrrolo[1,2-a]purin-9-imine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 745837-08-5 CMF C15 H12 Br N5

CM 2

CRN 64-18-6 CMF C H2 O2

о == Сн-он

RN 745837-10-9 HCAPLUS

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-(9CI) (CA INDEX NAME)

RN 745837-11-0 HCAPLUS

N [1]Benzothieno[2,3-d]pyrrolo[1,2-a]pyrimidin-10(1H)-imine,
3-[(2-bromophenyl)methylene]-2,3,6,7,8,9-hexahydro- (9CI) (CA INDEX NAME)

RN 745837-12-1 HCAPLUS

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 745837-13-2 HCAPLUS

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-3-(1,1-dimethylethyl)-7,8-dihydro-(9CI) (CA INDEX NAME)

RN 745837-14-3 HCAPLUS

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-3-cyclopropyl-7,8-dihydro- (9CI) (CA INDEX NAME)

RN 745837-15-4 HCAPLUS

CN Furo[2,3-d]pyrrolo[1,2-a]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 745837-36-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

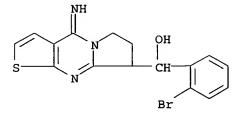
IT 745837-19-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrroloquinazolines as modulators of chemokine activity)

RN 745837-19-8 HCAPLUS

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidine-8-methanol, α -(2-bromophenyl)-4,6,7,8-tetrahydro-4-imino- (9CI) (CA INDEX NAME)



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PASCAL' - CONTINUE? (Y)/N:y

L67 ANSWER 2 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2003:656998 HCAPLUS

DOCUMENT NUMBER: 139:191372

TITLE: Antimalarial and antiproliferative pharmacophore

models, novel tryptanthrin compounds having increased solubility, and methods of making and using thereof

INVENTOR(S): Nichols, Daniel A.; Hicks, Rickey P.; Bhattacharjee,

Apurba K.

PATENT ASSIGNEE(S): U.S. Army Medical Research and Material Command, USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA | PATENT NO. | | | | | KIND DATE | | | 1 | APPL | ICAT: | ION I | DATE | | | | | | |
|------------------------|---------------|-----|-----|-----|-----|-------------|------|----------------------|-------|-------------------------|-------|-------|------|--------------|------------|------------|-------|--|--|
| | | | | | | | | | | | | | | | | | | | |
| WO | WO 2003069303 | | | | | A2 20030821 | | | 1 | WO 2003-US3517 | | | | | | 20030207 < | | | |
| WO | 2003069303 | | | Α3 | | 2003 | 1231 | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | KZ, | LC, | LK, | LR, | | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NO, | ΝZ, | OM, | PH, | | |
| | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | | |
| | | UA, | UG, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | | |
| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR, | BF, | | |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | | | |
| AU | AU 2003209012 | | | | | | 2003 | 0904 | i | AU 2003-209012 20030207 | | | | | | | 207 < | | |
| US | US 2004033934 | | | | | | 2004 | 40219 US 2003-359625 | | | | | | | 20030207 < | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | 1 | JS 20 | 002-3 | 3551 | 62P |] | P 20 | 00202 | 209 < | | | |
| | | | | | | | 1 | US 2002-396911P | | | | | | P 20020717 < | | | | | |
| | | | | | | | | | 1 | WO 2 | 003-1 | US351 | 17 | Ţ | W 20 | 0030 | 207 < | | |

ED Entered STN: 22 Aug 2003

AB Disclosed herein is a pharmacophore model for antimalarial activity and methods of making and using thereof. The pharmacophore comprises two hydrogen bond acceptor (lipid) functions and two hydrophobic (aromatic) functions. The pharmacophore model was made using a test set of tryptanthrin compds. which exhibit antimalarial activity. Also disclosed

are tryptanthrin compds. having greater solubility and bioactivity as compared to prior art tryptanthrin compds. and methods of making and using thereof. Also disclosed are methods of treating malaria in a subject.

IT 454699-59-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antimalarial and antiproliferative pharmacophore models, tryptanthrin compds. having increased solubility)

RN 454699-59-3 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 8-fluoro-4-methoxy-6-(phenylmethylene)-(9CI) (CA INDEX NAME)

L67 ANSWER 3 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

CORPORATE SOURCE:

2003:114417 HCAPLUS

DOCUMENT NUMBER:

139:7050

TITLE:

A facile synthesis of simple alkaloids-synthesis of

2,3-polymethylene-4(3H)-quinazolinones and related

alkaloids

AUTHOR (S):

Lee, Eung Seok; Park, Jae-Gyu; Jahng, Yurngdong

College of Pharmacy, Yeungnam University, Kyongsan,

712-749, S. Korea

SOURCE:

Tetrahedron Letters (2003), 44(9), 1883-1886

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:7050

ED Entered STN: 14 Feb 2003

AB An efficient procedure for preparation of the simple alkaloids, 2,3-polymethylene-4(3H)-quinazolinones, luotonin A (I), tryptanthrin (II), and rutaecarpine has been established by the reaction of lactam-HCl salts with POCl3 followed by cyclization with Me anthranilate.

IT 408321-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2,3-polymethylene-4(3H)-quinazolinones and related alkaloids by the reaction of lactam-HCl salts with POCl3 followed by cyclization with Me anthranilate)

RN 408321-71-1 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 6-(phenylmethylene)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

2003:416522 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:149803

TITLE: Synthesis and COX-2 inhibitory activities of

rutaecarpine homologues

AUTHOR (S): Chang, Hyeun Wook; Kim, Seung Ill; Jung, Hejin; Jahng,

Yurngdong

CORPORATE SOURCE: College of Pharmacy, Yeungnam University, Kyongsan,

712-749, S. Korea

Heterocycles (2003), 60(6), 1359-1366 CODEN: HTCYAM; ISSN: 0385-5414 SOURCE:

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal English LANGUAGE:

OTHER SOURCE(S): CASREACT 139:149803

Entered STN: 01 Jun 2003

AR Homologous series of rutaecarpine were prepared by structurally modifying the C-ring and were evaluated their inhibitory activities on COX-2. The inhibitory activity on COX-2 increased with the increase of methylene unit while the selectivity on COX-2 over COX-1 decreased to lead a loss in trimethylene bridged system.

IT 221186-21-6P 571172-27-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and COX-2 inhibitory activities of rutaecarpine homologs from a polymethylenequinazolinone)

RN221186-21-6 HCAPLUS

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)-, CN(3E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN571172-27-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)-,

(3Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 5 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:177227 HCAPLUS

DOCUMENT NUMBER: 140:406986

TITLE: A simple synthesis of tryptanthrin

AUTHOR(S): Son, Jong Keun; Park, Jae Gyu; Jahng, Yurngdong CORPORATE SOURCE: College of Pharmacy, Yeungnam University, Kyongsan,

712-749, S. Korea

SOURCE: Heterocyclic Communications (2003), 9(6),

621-624

CODEN: HCOMEX; ISSN: 0793-0283 Freund Publishing House Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:406986

ED Entered STN: 04 Mar 2004

PUBLISHER:

AB A simple synthetic procedure for tryptanthrin (I) was established from oxindole via indolo[2,1-b]quinazolin-12(6H)-one as a key intermediate.

IT 686289-50-9P 686289-51-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(simple synthesis of tryptanthrin from oxindole)

RN 686289-50-9 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 6-(phenylmethylene)-, (6E)- (9CI) (CA INDEX NAME)

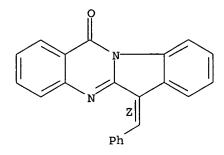
Double bond geometry as shown.

RN 686289-51-0 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 6-(phenylmethylene)-, (6Z)- (9CI) (CA

INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 6 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2004:6781 HCAPLUS

DOCUMENT NUMBER: 141:135342

TITLE: Activation of the Ah receptor and Ah receptor signal

transduction pathway by tryptophan-derived agonists Denison, Michael S.; Han, Dal-Ho; Heath-Pagliuso,

AUTHOR(S): Denison, Michael S.; Han, Dal-Ho; Heath-Pagliuso,

Sharon; Scovill, John; Meijer, Laurent; Skaltounis, Leandros; Gillam, Elizabeth M. J.; Nagy, Scott R.

CORPORATE SOURCE: Department of Environmental Toxicology, University of

California, Davis, CA, USA

SOURCE: Organohalogen Compounds (2003), 65, 90-93

CODEN: ORCOEP; ISSN: 1026-4892

PUBLISHER: International Symposium on Halogenated Environmental

Organic Pollutants and Persistent Organic Pollutants,

Inc.

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 06 Jan 2004

AB A series of derivs. of several of the indole-containing ligands was examined to understand the structural diversity of Ah receptor (AhR) ligands and to determine whether key structural characteristics exist in this class naturally-occurring ligands. Tryptanthrins are a class of naturally occurring compds. found in plants as well as being a microbial metabolite that has some therapeutic uses. Results showed that tryptanthrins compds. were significantly more potent than the classical PAH inducers beta-naphthoflavone and 3-methylcholanthrene. Results also demonstrate that the substituted indirubins represent some of the most potent nonHAH AhR activators that been identified to date. Indole-containing compds. likely represent one major group of potent naturally-occurring activators of the AhR to which humans are exposed.

IT 408321-71-1

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (activation of Ah receptor and Ah receptor signal transduction pathway by tryptophan-derived agonists)

RN 408321-71-1 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 6-(phenylmethylene)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS 10 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7 L67 ANSWER 7 OF 58

ACCESSION NUMBER:

2002:251288 HCAPLUS

DOCUMENT NUMBER:

137:210404

TITLE:

Analysis of stereoelectronic properties, mechanism of

action and pharmacophore of synthetic

indolo[2,1-b]quinazoline-6,12-dione derivatives in relation to antileishmanial activity using quantum chemical, cyclic voltammetry and 3-D-QSAR CATALYST

AUTHOR (S):

Bhattacharjee, Apurba K.; Skanchy, David J.; Jennings,

Barton; Hudson, Thomas H.; Brendle, James J.;

Werbovetz, Karl A.

CORPORATE SOURCE:

Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910,

SOURCE:

Bioorganic & Medicinal Chemistry (2002),

10(6), 1979-1989 CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal English

LANGUAGE:

Entered STN: 04 Apr 2002

ED Several indolo[2,1-b]quinazoline-6,12-dione (tryptanthrin) derivs. exhibited remarkable activity at concns. below 100 ng/mL when tested against in vitro Leishmania donovani amastigotes. The in vitro toxicity studies indicate that the compds. are fairly well tolerated in both macrophage and neuronal lines. An anal. based on qual. and quant. structure-activity relationship studies between in vitro antileishmanial activity and mol. electronic structure of 27 analogs of indolo[2,1-b]quinazoline-6,12-dione is presented here by using a combination of semi-empirical AM1 quantum chemical, cyclic voltammetry and a pharmacophore generation (CATALYST) methods. A modest to good correlation is observed between activity and a few calculated mol. properties such as mol. d., octanol-water partition coefficient, MO energies, and redox potentials. Electron transfer seems to be a plausible path in the mechanism of action of the compds. A pharmacophore generated by using the 3-D QSAR of CATALYST produced a fairly accurate predictive model of antileishmanial activity of the tryptanthrins. The validity of the pharmacophore model extends to structurally different class of compds. that could open new frontiers for study. The carbonyl group of the five- and six-membered rings in the indolo[2,1-b]quinazoline-6,12-dione skeleton and the electron transfer ability to the carbonyl atom appear to be crucial for activity.

IT 454699-59-3

RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anal. of stereoelectronic properties, mechanism of action and pharmacophore of synthetic tryptanthrin derivs. in relation to antileishmanial activity using quantum chemical, cyclic voltammetry and 3-D-QSAR CATALYST procedures)

RN 454699-59-3 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 8-fluoro-4-methoxy-6-(phenylmethylene)(9CI) (CA INDEX NAME)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 8 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2002:146295 HCAPLUS

DOCUMENT NUMBER: 136:291577

TITLE: Antitrypanosomal activities of tryptanthrins

AUTHOR(S): Scovill, John; Blank, Elizabeth; Konnick, Michael;

Nenortas, Elizabeth; Shapiro, Theresa

CORPORATE SOURCE: Department of Chemistry, Bucknell University,

Lewisburg, PA, 17837, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2002

), 46(3), 882-883

CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal LANGUAGE: English

ED Entered STN: 26 Feb 2002

AB New drugs and mol. targets are needed against Trypanosoma brucei, the protozoan that causes African sleeping sickness. Tryptanthrin (indolo[2,1-b]quinazoline-6,12-dione), a traditional antifungal agent, and 11 analogs were tested against T. brucei in vitro. The greatest activity was conferred by electron-withdrawing groups in the 8 position of the tryptanthrin ring system; the most potent compound had a 50% effective concentration of 0.40 μ M.

IT 408321-71-1

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitrypanosomal activities of tryptanthrins against Trypanosoma brucei)

RN 408321-71-1 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 6-(phenylmethylene)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 9 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 9

ACCESSION NUMBER:

2002:5125 HCAPLUS

DOCUMENT NUMBER:

136:319026

TITLE:

A pyrroloquinazoline derivative with anti-inflammatory

and analgesic activity by dual inhibition of

cyclo-oxygenase-2 and 5-lipoxygenase

AUTHOR (S):

Rioja, Inmaculada; Terencio, M. Carmen; Ubeda, Amalia;

Molina, Pedro; Tarraga, Alberto; Gonzalez-Tejero,

Antonia; Alcaraz, M. Jose

CORPORATE SOURCE:

Facultad de Farmacia, Departamento de Farmacologia, Universidad de Valencia, Burjasot, Valencia, 46100,

Spain

SOURCE:

European Journal of Pharmacology (2002),

434(3), 177-185

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal English

LANGUAGE:

Engits

ED Entered STN: 02 Jan 2002

In a previous study, we reported a new pyrroloquinazoline derivative, ΔR 3-(4'-acetoxy-3',5'-dimethoxy)benzylidene-1,2-dihydropyrrolo[2,1b]quinazoline-9-one (PQ), which inhibited human purified 5-lipoxygenase activity and prostaglandin E2 release in lipopolysaccharide-stimulated RAW 264.7 cells. In the present work, we show that PQ inhibits cyclo-oxygenase-2 activity in intact cell assays (human monocytes) and purified enzyme prepns. (ovine isoenzymes) without affecting cyclo-oxygenase-1 activity. This behavior was confirmed in vivo by using the zymosan-injected mouse air pouch model, where PQ caused a marked reduction in cell migration and leukotriene B4 levels at 4 h, as well as inhibition of prostaglandin E2 levels without affecting cyclo-oxygenase-2 expression at 24 h after zymosan stimulation. In addition, oral administration of this compound significantly reduced carrageenan-induced mouse paw edema and phenyl-p-benzoquinone-induced writhings in mice. These results indicate that oral PQ exerts analgesic and anti-inflammatory effects, which are related to dual inhibition of cyclo-oxygenase-2 and 5-lipoxygenase activities.

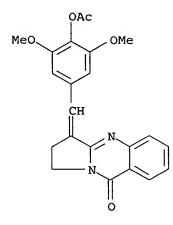
IT 371766-68-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrroloquinazoline derivative with antiinflammatory and analgesic activity by dual inhibition of cyclooxygenase-2 and 5-lipoxygenase)

RN 371766-68-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(acetyloxy)-3,5-dimethoxyphenyl]methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 10 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 11

ACCESSION NUMBER: 2001:627724 HCAPLUS

DOCUMENT NUMBER: 135:338742

TITLE: Inhibition of leukocyte functions by the alkaloid

isaindigotone from Isatis indigotica and some new

synthetic derivatives

AUTHOR(S): Molina, Pedro; Tarraga, Alberto; Gonzalez-Tejero,

Antonia; Rioja, Immaculada; Ubeda, Amalia; Terencio,

M. Carmen; Alcaraz, M. Jose

CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica,

Universidad de Murcia, Murcia, Spain

SOURCE: Journal of Natural Products (2001), 64(10),

1297-1300

CODEN: JNPRDF; ISSN: 0163-3864

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:338742

ED Entered STN: 30 Aug 2001

AB The alkaloid isaindigotone and seven derivs. have been synthesized to study their influence on several leukocyte functions and the generation of inflammatory mediators. Isaindigotone was found to be a scavenger of superoxide generated either by the hypoxanthine/xanthine oxidase system or stimulated human neutrophils. Isaindigotone and its acetylated derivative also inhibited 5-lipoxygenase activity and leukotriene B4 production in these cells, whereas none of the compds. affected degranulation. In RAW 264.7 macrophages stimulated with lipopolysaccharide, synthetic derivs. exerted higher inhibitory effects on PGE2 and NO generation when compared with isaindigotone. The presence of an acetoxyl group at C-4' favors the inhibition of NO and PGE2 production, whereas the fluoro substituent at C-4' or the absence of substituents on the aromatic ring of the benzylidene unit improves the inhibition of PGE2. Thus, this series of compds. can attenuate the production of mediators relevant to the inflammatory response.

IT 18549-16-1P 85620-22-0P 189316-00-5DP,

Isaindigotone, derivs. 189316-00-5P, Isaindigotone

371766-68-6P 371766-69-7P 371766-70-0P

371766-71-1P 371766-72-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(inhibition of leukocyte functions by alkaloid isaindigotone from Isatis indigotica and by its synthetic derivs.)

RN 18549-16-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85620-22-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 189316-00-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-dimethoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 189316-00-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-dimethoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 371766-68-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(acetyloxy)-3,5-dimethoxyphenyl]methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 371766-69-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(3,5-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 371766-70-0 HCAPLUS

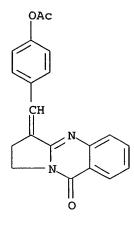
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-(trifluoromethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

RN 371766-71-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 371766-72-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(acetyloxy)phenyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 11 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 12

ACCESSION NUMBER: 2000:737821 HCAPLUS

DOCUMENT NUMBER: 134:29603

TITLE: A convenient divergent approach to the alkaloids

isaindigotone and luotonin A

AUTHOR(S): Molina, Pedro; Tarraga, Alberto; Gonzalez-Tejero,

Antonia

CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Quimica,

Universidad de Murcia, Murcia, E-30071, Spain

SOURCE: Synthesis (2000), (11), 1523-1525

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:29603

ED Entered STN: 19 Oct 2000

AB Deoxyvasicinone (I, X = CH2) has been used as the key intermediate to prepare the alkaloids isaindigotone (II) and luotonin A (III). This intermediate is directly converted into isaindigotone by condensation with 4-acetoxy-3,5-dimethoxybenzaldehyde; alternatively oxidation with SeO2 afforded the pyrrolo[2,1-b]quinazoline-3,9-dione (I, X = CO), a putative precursor of the luotonin A.

IT 18549-16-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(divergent approach to the alkaloids isaindigotone and luotonin A)

RN 18549-16-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI)
(CA INDEX NAME)

189316-00-5P, Isaindigotone IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(divergent approach to the alkaloids isaindigotone and luotonin A)

189316-00-5 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-CN dimethoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 11

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 13 L67 ANSWER 12 OF 58

1999:244150 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:44687

TITLE: Transformation of natural compounds. VII. Synthesis of

 α -piperazinylmethylenedeoxyvasicin-4-ones

Elmuradov, B. Zh.; Shakhidoyatov, Kh. M. AUTHOR (S):

CORPORATE SOURCE: Institute of the Chemistry of Plant Substances,

Academy of Sciences of the Republic of Uzbekistan,

Tashkent, Uzbekistan

SOURCE: Chemistry of Natural Compounds (Translation of Khimiya

Prirodnykh Soedinenii) (1998), 34(3),

298-299

CODEN: CHNCA8; ISSN: 0009-3130

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal LANGUAGE: English

ED Entered STN: 21 Apr 1999

AB α -(Piperazinylmethylene)deoxyvasicinones I [R = Me, Ph, C6H4Me-m,

C6H4OMe-o, C6H4Cl-p, C6H4F-p, C6HNO2-p, C6H4CF3-m, C6H3Me2-2,3, CHPh2,

C(OH)Ph2] have been synthesized by the interaction of α -

(hydroxymethylene)deoxyvasicin-4-one with various N-substituted piperazines.

TΤ 227189-12-0P 227189-13-1P 227189-14-2P

227189-15-3P 227189-20-0P 227189-21-1P

227189-22-2P 227189-23-3P 227189-24-4P 227189-25-5P 227189-26-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of α -piperazinylmethylenedeoxyvasicin-4-ones via

condensation with piperazine derivs.)

RN 227189-12-0 HCAPLUS CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methylene]- (9CI) (CA INDEX NAME)

RN 227189-13-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(2,3-dimethylphenyl)-1-piperazinyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 227189-14-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(diphenylmethyl)-1-piperazinyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 227189-15-3 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-(hydroxydiphenylmethyl)-1-piperazinyl]methylene]- (9CI) (CA INDEX NAME)

RN 227189-20-0 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-methyl-1-piperazinyl)methylene]- (9CI) (CA INDEX NAME)

RN 227189-21-1 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-phenyl-1-piperazinyl)methylene]- (9CI) (CA INDEX NAME)

RN 227189-22-2 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-(3-methylphenyl)-1-piperazinyl]methylene]- (9CI) (CA INDEX NAME)

RN 227189-23-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-(2-methoxyphenyl)-1-piperazinyl]methylene]- (9CI) (CA INDEX NAME)

RN 227189-24-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(4-chlorophenyl)-1-piperazinyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 227189-25-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(4-fluorophenyl)-1-piperazinyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 227189-26-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[4-(4-nitrophenyl)-1-piperazinyl]methylene]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 14

ACCESSION NUMBER:

1999:82507 HCAPLUS

DOCUMENT NUMBER:

130:223469

TITLE:

Synthesis of 9-arylidene derivatives of

deoxyvasicinone

AUTHOR (S):

Shakhidoyatov, Kh. M.; Kaisarov, I. K.

CORPORATE SOURCE:

Institute of the Chemistry of Plant Substances, Academy of Sciences of the Republic of Uzbekistan,

Tashkent, Uzbekistan

SOURCE:

Chemistry of Natural Compounds (Translation of Khimiya

Prirodnykh Soedinenii) (1998), 34(1), 59-61

CODEN: CHNCA8; ISSN: 0009-3130

PUBLISHER:

Consultants Bureau

DOCUMENT TYPE:

Journal

English

LANGUAGE:

Entered STN: 09 Feb 1999

AB A simple method has been developed for obtaining 9-

arylidenedeoxyvasicinones by condensing deoxyvasicinone with aromatic aldehydes in the presence of glacial acetic acid. The yields of reaction products amount to 69-95%.

IT 221186-21-6P 221186-22-7P 221186-23-8P

221186-24-9P 221186-25-0P 221186-26-1P

221186-27-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of benzylidene derivs. of deoxyvasicinone)

RN221186-21-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)-, (3E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-22-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-nitrophenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-23-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-nitrophenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-24-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(dimethylamino)phenyl]methylene]-2,3-dihydro-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-25-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-26-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(5-bromo-2-hydroxyphenyl)methylene]-2,3-dihydro-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 221186-27-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxy-3-

methoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 14 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 15

ACCESSION NUMBER: 1997:644530 HCAPLUS

DOCUMENT NUMBER: 127:328882

TITLE: New alkaloids from Isatis indigotica

AUTHOR(S): Wu, Xiaoyun; Qin, Guowei; Cheung, Kung Kai; Cheng, Kin

Fai

CORPORATE SOURCE: Shanghai Institute of Materia Medica, Chinese Academy

of Sciences, Shanghai, 200031, Peop. Rep. China

SOURCE: Tetrahedron (1997), 53(39), 13323-13328

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 11 Oct 1997

AB From the roots of Isatis indigotica, two new alkaloids named isaindigotidione (I) and isaindigotone (II) were isolated. Their structures were elucidated by spectral and X-ray crystallog. analyses. I

is the first discovered indolizino[7,6-c]quinoline derivative

OCCU (Occurrence); PREP (Preparation) (new alkaloids from Isatis indigotica)

RN 189316-00-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-dimethoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 15 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 16

ACCESSION NUMBER: 1992:128860 HCAPLUS

DOCUMENT NUMBER: 116:128860

TITLE: Reactions with activated nitriles. Synthesis of new

substituted pyridines, pyrano[2,3-d]imidazoles and

pyrrolo[2,1-b]quinazolines

AUTHOR(S): Hishmat, O. H.; Magd El Din, A. A.; Ismail, N. A.

CORPORATE SOURCE: Nat. Prod. Dep., Natl. Res. Cent., Cairo, Egypt

SOURCE: Organic Preparations and Procedures International (

1992), 24(1), 33-7

CODEN: OPPIAK; ISSN: 0030-4948

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:128860

ED Entered STN: 03 Apr 1992

AB Cyclization of ArNHCH:C(CN)CSNH2 (Ar = Ph, 4-MeC6H4, 4-ClC6H4) with XCH2CN (X = cyano, CO2Et, COPh) gave pyridinethiones I. Reacting CH2(CN)2 with quinazolines II (Ar = Ph, 4-MeC6H4, 4-ClC6H4) gave pyrroloquinazolinones III. Pyranoimidazoles IV (R = H, Ph, X = cyano, CO2Et, COPh) were also prepared

IT 139438-43-0P 139438-44-1P 139438-45-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 139438-43-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-2-carbonitrile, 1-amino-1,2,3,9-tetrahydro-9-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 139438-44-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-2-carbonitrile, 1-amino-1,2,3,9-tetrahydro-3-[(4-

methylphenyl)methyl]-9-oxo- (9CI) (CA INDEX NAME)

RN 139438-45-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-2-carbonitrile, 1-amino-3-[(4-chlorophenyl)methyl]-1,2,3,9-tetrahydro-9-oxo- (9CI) (CA INDEX NAME)

L67 ANSWER 16 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 17

ACCESSION NUMBER: 1987:176718 HCAPLUS

DOCUMENT NUMBER: 106:176718

TITLE: New reactions of deoxyvasicinone

AUTHOR(S): Dunn, A. D.; Kinnear, K. I.; Norrie, R.

CORPORATE SOURCE: Dep. Mol. Life Sci., Dundee Coll. Technol., Dundee,

DD1 1GH, UK

SOURCE: Zeitschrift fuer Chemie (1986), 26(8), 290-2

CODEN: ZECEAL; ISSN: 0044-2402

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:176718

ED Entered STN: 29 May 1987

AB Analogs of deoxyvasicinone, e.g. I (n = 1, 2, 3; R, R1, R2 = H, Me) were prepared by the cyclocondensation of aminopyridinecarboxylic acids with cyclic imidates II (n = 1, 2, 3; R, R1, R2 = H, Me). Condensation of I (n = 1; R - R2 = H, R = R1 = H, R2 = Me) with PhCHO gave benzylidene derivs. III (R = H, Me) in 22-69% yields.

IT 107805-66-3P 107805-67-4P 107805-68-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 107805-66-3 HCAPLUS

CN Pyrido[2,3-d]pyrrolo[1,2-a]pyrimidin-5(7H)-one, 8,9-dihydro-9(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 107805-67-4 HCAPLUS

CN Pyrido[3,4-d]pyrrolo[1,2-a]pyrimidin-5(7H)-one, 8,9-dihydro-9-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 107805-68-5 HCAPLUS

CN Pyrido[2,3-d]pyrrolo[1,2-a]pyrimidin-5(7H)-one, 8,9-dihydro-8-methyl-9-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 17 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 18

ACCESSION NUMBER:

1987:196368 HCAPLUS

DOCUMENT NUMBER:

106:196368

TITLE:

New reactions of deoxyvasicinone. Part 4

AUTHOR(S): Dunn, A. D.; Kinnear, K. I.

CORPORATE SOURCE:

Dundee Coll. Technol., Dundee, DD 1HG, UK Journal of Heterocyclic Chemistry (1986),

SOURCE: Journal of Heter

23(1), 53-7 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 106:196368

ED Entered STN: 13 Jun 1987

AB Analogs of deoxyvasicinone (I) in which the pyrrolo ring is substituted, enlarged, or attached to the a face of the quinazolone system were prepared and several reactions of these analogs with electrophilic reagents have been investigated.

IT 107954-47-2P 107954-48-3P 107954-49-4P

107954-50-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 107954-47-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-1-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 107954-48-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-1,1-dimethyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 107954-49-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-2-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 107954-50-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-1-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, ethyl ester (9CI) (CA INDEX NAME)

L67 ANSWER 18 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 19

ACCESSION NUMBER:

1985:523753 HCAPLUS

DOCUMENT NUMBER:

103:123753

TITLE:

New reactions of deoxyvasicinone. Part 3

AUTHOR (S):

SOURCE:

CORPORATE SOURCE:

Dunn, A. D.; Kinnear, K. I. Dundee Coll. Technol., Dundee, DD1 1HG, UK Journal of Heterocyclic Chemistry (1985),

22(2), 311-12

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 103:123753

ED

Entered STN: 19 Oct 1985

AB

The reaction of deoxyvascinone I with Bz2O, bis(dimethylamino) methane and amyl nitrite are reported. Metalation of I is also described. Thus, I

was treated with Bz2O to give the adduct II.

IT 95235-23-7P 98262-87-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

95235-23-7 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(hydroxyphenylmethylene)-CN

(Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN98262-87-4 HCAPLUS

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(benzoyloxy)phenylmethylene]-2,3-CN dihydro-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 20 L67 ANSWER 19 OF 58

ACCESSION NUMBER:

1984:407185 HCAPLUS

DOCUMENT NUMBER:

101:7185

TITLE:

Amino derivatives of benzylidenepyrrolo[2,1-

b]quinazolines and benzylidenepyrido[2,1-b]quinazolines and a drug containing them

INVENTOR(S): Doria, Gianfederico; Passarotti, Carlo; Corno, Maria

Luisa

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Italy

SOURCE: Ger. Offen., 99 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | 19840209 | DE 1983-3326511 | |
|-----------------|--|--|---|--|
| 524262 | A1 | 19841116 | ES 1983-524262 | 19830719 < |
| 69274 | A1 | 19860831 | IL 1983-69274 | 19830719 < |
| 8302649 | Α | 19840206 | FI 1983-2649 | 19830720 < |
| 74471 | В | 19871030 | | |
| 74471 | С | 19880208 | | |
| 8317113 | A1 | 19840209 | AU 1983-17113 | 19830720 < |
| 557305 | B2 | 19861218 | | |
| 8305288 | Α | 19840328 | ZA 1983-5288 | 19830720 < |
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| 8304111 | Α | 19840206 | SE 1983-4111 | 19830722 < |
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| 461526 | C | 19900621 | | |
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| 188929 | В | 19860528 | | |
| 654307 | Α | 19860214 | CH 1983-4035 | 19830722 < |
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| 8303400 | Α | 19840206 | DK 1983-3400 | 19830725 < |
| 2531957 | A1 | 19840224 | FR 1983-12283 | 19830725 < |
| 2531957 | B1 | 19861205 | | |
| 2125039 | A1 | 19840229 | GB 1983-19929 | 19830725 < |
| 2125039 | B2 | 19850904 | | |
| 8302642 | Α | 19840301 | NL 1983-2642 | 19830725 < |
| 59044388 | A2 | 19840312 | JP 1983-134515 | 19830725 < |
| 1212379 | A1 | 19861007 | CA 1983-433127 | 19830725 < |
| 1308197 | A3 | 19870430 | SU 1983-3624052 | 19830725 < |
| 8302705 | Α | 19911115 | AT 1983-2705 | 19830725 < |
| 4579847 | Α | 19860401 | US 1984-681694 | 19841214 < |
| Y APPLN. INFO.: | | | GB 1982-22591 | A 19820805 < |
| | | | US 1983-515646 | A1 19830720 < |
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OTHER SOURCE(S): CASREACT 101:7185

ED Entered STN: 07 Jul 1984

AB Title compds. (I) [m = 0, 1; n = 1, 2; R = H, alkyl, aryl, alkanoyl; R1 = halomethyl, (un)substituted aminomethyl, CO2H or derivative, etc.; R2 = H, or C1-6 alkyl, R3-5 = H, halo, haloalkyl, OH, etc.; Z = bond, alkylene, phenylene, etc.] were prepared as antiasthmatics. Thus, 6-amino-3-benzylidene-1,2,3,9-tetrahydropyrrolo[2,1-b]quinazolin-9-one was treated with EtO2CCOCl, and the ester saponified to give the 6-(carboxyamido) derivative II, which had antiasthmatic activity.

IT 85743-12-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (acylation of)

RN 85743-12-0 HCAPLUS

85743-13-1 85743-15-3 85743-16-4 IT 85743-17-5 85743-18-6 85743-19-7 85743-20-0 85743-21-1 85743-22-2 85743-23-3 90262-34-3 90262-35-4 90262-36-5 90262-37-6 90262-38-7 90262-39-8 90262-40-1 90262-41-2 90262-42-3 90262-43-4 90262-44-5 90262-45-6 90262-46-7 90262-47-8 RL: RCT (Reactant); RACT (Reactant or reagent) (acylation of, with ethoxalyl chloride) RN 85743-13-1 HCAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3,4,5-CN trimethoxyphenyl) methylene] - (9CI) (CA INDEX NAME)

RN 85743-15-3 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-16-4 HCAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-17-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 N CH

RN 85743-18-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-19-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-20-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH OMe

RN 85743-21-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH OMe

RN 85743-22-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH

RN 85743-23-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-(1,3-benzodioxol-5-ylmethylene)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 90262-34-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-35-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3,4-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 N
 CH
 OMe

RN 90262-36-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[[3-(trifluoromethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

RN 90262-37-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,5-dimethylphenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 90262-38-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2-ethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-39-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-ethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-40-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-ethoxyphenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 90262-41-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-42-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-43-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-ethoxy-2-methoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-44-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,5-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 OMe OMe OMe

RN 90262-45-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-diethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-46-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,4-dichlorophenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH CH

RN 90262-47-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,6-dichlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH
 $C1$

IT 90262-33-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antiasthmatic activity of)

RN 90262-33-2 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

IT 90262-48-9P 90262-49-0P 90262-50-3P 90262-51-4P 90262-52-5P 90262-53-6P 90262-54-7P 90262-55-8P 90262-56-9P 90262-57-0P 90262-58-1P 90262-59-2P 90262-60-5P 90262-61-6P 90262-62-7P 90262-63-8P 90262-64-9P 90262-65-0P 90262-66-1P 90262-67-2P 90262-68-3P 90262-69-4P 90262-70-7P 90262-71-8P 90262-72-9P 90262-73-0P 90262-74-1P 90262-75-2P 90262-76-3P 90262-77-4P 90262-78-5P 90262-79-6P 90262-80-9P 90262-81-0P 90262-82-1P 90262-83-2P 90262-84-3P 90262-85-4P 90288-13-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and saponification of)

RN 90262-48-9 HCAPLUS

CN Acetamide, 2-chloro-N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90262-49-0 HCAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90262-50-3 HCAPLUS

CN Benzoic acid, 4-[(1,2-dihydro-6-nitro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]- (9CI) (CA INDEX NAME)

RN 90262-51-4 HCAPLUS

CN Benzoic acid, 4-[(1,2-dihydro-6-nitro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-52-5 HCAPLUS

CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-53-6 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-54-7 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-55-8 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-56-9 HCAPLUS

CN Butanoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

- RN 90262-57-0 HCAPLUS
- CN Propanoic acid, 3-oxo-3-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 90262-58-1 HCAPLUS
- CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester, (E,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

- RN 90262-59-2 HCAPLUS
- CN Benzoic acid, 3-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-60-5 HCAPLUS

CN Benzoic acid, 4-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-61-6 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-62-7 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-63-8 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-64-9 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-65-0 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-66-1 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-67-2 HCAPLUS

CN Acetic acid, [[3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-

oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-68-3 HCAPLUS

CN Acetic acid, [[3-[(2-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-69-4 HCAPLUS

CN Acetic acid, [[3-[(2,3-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-70-7 HCAPLUS

CN Acetic acid, [[3-[(3,4-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-71-8 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[[3-(trifluoromethyl)phenyl]methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-72-9 HCAPLUS

CN Acetic acid, [[3-(1,3-benzodioxol-5-ylmethylene)-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-73-0 HCAPLUS

CN Acetic acid, [[3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-74-1 HCAPLUS

CN Acetic acid, [[3-[(2-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX

NAME)

RN

90262-75-2 HCAPLUS Acetic acid, [[3-[(3-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-CN oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

90262-76-3 HCAPLUS RN

Acetic acid, [[3-[(4-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-CNoxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

90262-77-4 HCAPLUS RN

Acetic acid, [[3-[(4-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-CNoxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN90262-78-5 HCAPLUS CN Acetic acid, [[3-[(3-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-79-6 HCAPLUS

CN Acetic acid, [[3-[(3-ethoxy-2-methoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-80-9 HCAPLUS

CN Acetic acid, [[3-[(2,5-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-81-0 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[(3,4,5-trimethoxyphenyl)methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-82-1 HCAPLUS

CN Acetic acid, [[3-[(2,3-diethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-83-2 HCAPLUS

CN Acetic acid, [[3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-84-3 HCAPLUS

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-85-4 HCAPLUS

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90288-13-4 HCAPLUS

CN Acetic acid, [[3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

IT 90262-86-5P 90263-40-4P 90263-41-5P

RN 90262-86-5 HCAPLUS

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, methyl ester, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-40-4 HCAPLUS

CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 90263-41-5 HCAPLUS
CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H) ylidene)methyl]- (9CI) (CA INDEX NAME)

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IT
     90262-88-7P 90262-89-8P 90262-90-1P
     90262-91-2P 90262-92-3P 90262-93-4P
     90262-94-5P 90262-95-6P 90262-96-7P
     90262-97-8P 90262-98-9P 90262-99-0P
     90263-00-6P 90263-01-7P 90263-02-8P
     90263-03-9P 90263-04-0P 90263-05-1P
     90263-06-2P 90263-07-3P 90263-08-4P
     90263-09-5P 90263-10-8P 90263-11-9P
     90263-12-0P 90263-13-1P 90263-14-2P
     90263-15-3P 90263-16-4P 90263-17-5P
     90263-18-6P 90263-19-7P 90263-20-0P
     90263-21-1P 90263-22-2P 90263-23-3P
     90263-24-4P 90263-25-5P 90263-26-6P
     90263-27-7P 90263-28-8P 90263-29-9P
     90263-30-2P 90263-31-3P 90263-32-4P
     90263-33-5P 90263-34-6P 90263-35-7P
     90263-36-8P 90263-37-9P 90263-38-0P
     90263-39-1P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as antiasthmatic)
RN
     90262-88-7 HCAPLUS
    Acetic acid, oxo[[1,2,3,9-tetrahydro-1-methyl-9-oxo-3-
     (phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX
    NAME)
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RN 90262-89-8 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-90-1 HCAPLUS

CN Butanoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90262-91-2 HCAPLUS

CN Butanoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 90262-92-3 HCAPLUS

CN Propanoic acid, 3-oxo-3-[[1,2,3,9-tetrahydro-9-oxo-3-

(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-93-4 HCAPLUS

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, (E,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90262-94-5 HCAPLUS

CN Benzoic acid, 3-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-95-6 HCAPLUS

CN Benzoic acid, 4-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-96-7 HCAPLUS

CN Carbamic acid, [1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-97-8 HCAPLUS

CN Benzoic acid, 2-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-98-9 HCAPLUS

CN 3-Cyclohexene-1-carboxylic acid, 6-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

RN 90262-99-0 HCAPLUS

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, (Z,?)- (9CI) (CAINDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-00-6 HCAPLUS

CN 2-Butenoic acid, 2,3-dichloro-4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-01-7 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-02-8 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-03-9 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-04-0 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-05-1 HCAPLUS

CN Acetic acid, oxo[{1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-06-2 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-07-3 HCAPLUS

CN Acetic acid, [[3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-08-4 HCAPLUS

CN Acetic acid, [[3-[(2-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-09-5 HCAPLUS

CN Acetic acid, [[3-[(2,3-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-10-8 HCAPLUS

CN Acetic acid, [[3-[(3,4-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-11-9 HCAPLUS

CN Acetic acid, [[3-[(3-ethoxy-2-methoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-12-0 HCAPLUS

CN Acetic acid, [[3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-13-1 HCAPLUS

CN Acetic acid, [[3-[(2-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-14-2 HCAPLUS

CN Acetic acid, [[3-[(3-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-15-3 HCAPLUS

CN Acetic acid, [[3-[(4-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-16-4 HCAPLUS

CN Acetic acid, [[3-[(4-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-17-5 HCAPLUS

CN Acetic acid, [[3-[(3-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-18-6 HCAPLUS

CN Acetic acid, [[3-[(2,3-diethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-19-7 HCAPLUS

CN Acetic acid, [[3-[(3,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-20-0 HCAPLUS

CN Acetic acid, [[3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-21-1 HCAPLUS

CN Acetic acid, [[3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-22-2 HCAPLUS

CN Acetic acid, [[3-(1,3-benzodioxol-5-ylmethylene)-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-23-3 HCAPLUS

RN 90263-24-4 HCAPLUS

CN Acetic acid, [[3-[(2,5-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-25-5 HCAPLUS

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[(3,4,5-trimethoxyphenyl)methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-26-6 HCAPLUS

CN Benzoic acid, 4-[[6-[(carboxycarbonyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

RN 90263-27-7 HCAPLUS

CN Benzoic acid, 4-[[6-[(carboxycarbonyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]- (9CI) (CA INDEX NAME)

RN 90263-28-8 HCAPLUS

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 90263-29-9 HCAPLUS

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90263-30-2 HCAPLUS

CN Acetic acid, [methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-31-3 HCAPLUS

CN Benzoic acid, 2-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90263-32-4 HCAPLUS

CN 3-Cyclohexene-1-carboxylic acid, 6-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

Na

RN 90263-33-5 HCAPLUS

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, monosodium salt, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

Na

RN 90263-34-6 HCAPLUS

CN 1-Piperidinepropanamide, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & &$$

RN 90263-35-7 HCAPLUS

CN 4-Morpholineacetamide, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{O} N - CH_2 - C - NH - \bigcap_{O} N - CH - Ph$$

RN 90263-36-8 HCAPLUS

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90263-37-9 HCAPLUS

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90263-38-0 HCAPLUS

CN Benzoic acid, 4-[[6-[(3-carboxy-1-oxo-2-propenyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]-, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-39-1 HCAPLUS

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

L67 ANSWER 20 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 21

ACCESSION NUMBER: 1985:39496 HCAPLUS

DOCUMENT NUMBER: 102:39496

TITLE: New derivatives of pyrrolo and pyrido[2,1-

b]quinazoline as antiulcer agents

AUTHOR(S): Doria, G.; Passarotti, C.; Magrini, R.; Sala, R.;

Sberze, P.; Tibolla, M.; Arcari, G.; Ceserani, R.;

Castello, R.

CORPORATE SOURCE: Dep. Chem. Res. Dev., Farmitalia Carlo Erba S.p.A.,

Milan, Italy

SOURCE: Farmaco, Edizione Scientifica (1984),

39(11), 968-78

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 102:39496

ED Entered STN: 09 Feb 1985

AB 1,2,3,9-Tetrahydro-9-oxopyrrolo[2, 1-b]quinazolines I (R = 6- or 7-CO2H; R1 = H, Me, F) or 6,7,8,9-tetrahydro-11-oxo-11H-pyrido[2,1-b]quinazolines II(R = 2- or 3-CO2H; R1 = H, Me, or F) were prepared and were effective antiulcer agents and gastric antisecretory agents in rats. Thus, 3-benzylidene-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazoline-7-carboxylic acid (I; R = 7-CO2H; R1 = H) [85742-73-0] prepared by refluxing 3-benzylidene-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazoline-7-carboxylic acid Me ester [85742-72-9] in HCl and acetic acid was effective in inhibiting restraint-induced ulcers and gastric acid secretion. 6-Benzylidene-6,7,8,9-tetrahydro-11-oxo-11H-pyrido[2,1-b]quinazoline-3-carboxylic acid (II; R = 3-CO2H; R1 = H) [85743-06-2] prepared by refluxing 1,2,3,9-tetrahydro-9-oxopyr[2,1-b]quinazoline-6-carboxylic acid Me ester [55762-24-8] with benzaldehyde [100-52-7] in anhydrous MeOH containing Na methylate was also an effective inhibitor of qastric

acid secretion and ulcer formation. These compds. lacked anticholinergic activity and had a low toxicity. Structure-activity relations are given.

IT 18549-16-1DP, analogs 85742-73-0P 85742-74-1P

85742-75-2P 85742-76-3P 85742-77-4P 85742-81-0P 85742-83-2P 85743-04-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antiulcer and gastric acid inhibitory activity of, structure in relation to)

RN 18549-16-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85742-73-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

î.

RN 85742-74-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-75-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-76-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-77-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-81-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-83-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85743-04-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

IT 85742-72-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and de-esterification of)

RN 85742-72-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

L67 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 22

ACCESSION NUMBER:

1983:438481 HCAPLUS

DOCUMENT NUMBER:

99:38481

TITLE:

Substituted pyrrolo[2,1-b]quinazolines,

pyrido[2,1-b] quinazolines and pharmaceutical compositions containing these compounds

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Italy

SOURCE:

Neth. Appl., 37 pp.

CODEN: NAXXAN

DOCUMENT TYPE:

Patent

LANGUAGE:

Dutch

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------------|--------------|-----------------|--------------|
| NL 8202602 | | 19830117 | NL 1982-2602 | 19820628 < |
| AT 7807753 | | 19840815 | AT 1978-7753 | |
| AT 377586 | В | 19850410 | | |
| US 4428952 | Α | 19840131 | US 1982-387181 | 19820610 < |
| AU 8284922 | A 1 | 19830106 | AU 1982-84922 | 19820616 < |
| AU 552065 | B2 | 19860522 | | |
| CH 657618 | Α | 19860915 | CH 1982-3785 | 19820618 < |
| ZA 8204502 | Α | 19830427 | ZA 1982-4502 | 19820624 < |
| AT 8202475 | Α | 19860115 | AT 1982-2475 | 19820625 < |
| AT 381092 | В | 19860825 | | |
| GB 2103207 | Α | 19830216 | GB 1982-18609 | 19820628 < |
| GB 2103207 | B2 | 19850109 | | |
| IL 66146 | A1 | 19851231 | IL 1982-66146 | 19820628 < |
| BE 893694 | A1 | 19821229 | BE 1982-208486 | 19820629 < |
| DK 8202927 | Α | 19821231 | DK 1982-2927 | 19820629 < |
| SE 8204034 | Α | 19821231 | SE 1982-4034 | 19820629 < |
| SE 448096 | В | 19870119 | | |
| SE 448096 | C | 19870430 | | |
| | A2 | 19830118 | JP 1982-110921 | |
| DE 3224213 | A1 | 19830127 | DE 1982-3224213 | |
| CA 1183135 | A1 | 19850226 | CA 1982-406308 | 19820629 < |
| SU 1279530 | A1 | 19861223 | SU 1982-3459054 | |
| FI 8202329 | Α | 19821231 | FI 1982-2329 | 19820630 < |
| FI 73997 | В | 19870831 | | |
| FI 73997 | C | 19871210 | | |
| FR 2508457 | A1 | 19821231 | FR 1982-11493 | 19820630 < |
| | B1 | 19850830 | | |
| PRIORITY APPLN. INFO.: | | | GB 1981-20126 | A 19810630 < |
| OTHER SOURCE(S): | CASREA | CT 99:38481; | MARPAT 99:38481 | |

ED Entered STN: 12 May 1984

- AB The title compds. I [n = 1,2; R, R1 = H, halogen, alkyl, cyano, carbamoyl, (un)esterified CO2H, amino, CH2OH; R2 = H, alkyl; R3 = Ph, substituted Ph] were prepared Thus 3,4-(HO2C)2C6H3NH2 was converted its monoester and cyclized with 2-piperidinone to give II (X = H2, R4 = Me) which was treated with PhCHO, followed by hydrolysis with HOAc, to give II (X = CHPh, R4 = H). This compound has an antiulcer ED50 of 8 mg/kg and a gastric secretion-inhibiting ED50 15 mg/kg, both orally in rats.
 - 85742-73-0P 85743-04-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiulcer activity of)

RN 85742-73-0 HCAPLUS

IT

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-04-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

IT 85743-45-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and dehydration of)

RN 85743-45-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxamide, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 N
 Me

IT 85742-72-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 85742-72-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

IT 65636-75-1P 85743-26-6P 85743-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

RN 65636-75-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-26-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-1-methyl-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-30-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

IT 85742-74-1P 85742-75-2P 85742-76-3P 85742-77-4P 85742-78-5P 85742-79-6P 85742-80-9P 85742-81-0P 85742-82-1P 85742-83-2P 85742-84-3P 85742-85-4P 85742-86-5P 85742-87-6P 85742-88-7P 85742-89-8P 85742-90-1P 85742-91-2P 85742-92-3P 85742-93-4P 85742-94-5P 85743-07-3P 85743-08-4P 85743-09-5P 85743-10-8P 85743-11-9P 85743-12-0P 85743-13-1P 85743-14-2P 85743-15-3P 85743-16-4P 85743-17-5P 85743-18-6P 85743-19-7P 85743-20-0P 85743-21-1P 85743-22-2P 85743-23-3P 85743-24-4P 85743-27-7P 85743-31-3P 85743-32-4P 85743-33-5P 85743-34-6P 85743-35-7P 85743-38-0P 85743-39-1P 85743-40-4P 85743-41-5P 85743-42-6P 85743-46-0P 85743-47-1P 85743-48-2P 85743-49-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 85742-74-1 HCAPLUS RN CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-75-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-76-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-77-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-78-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-79-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(3-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-80-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-81-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-82-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

$$HO_2C$$
 CH
 CH
 $C1$

RN 85742-83-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-84-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85742-85-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-86-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-87-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85742-88-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(2,6-dichlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85742-89-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-90-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-91-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(3,4-dimethoxyphenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 85742-92-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2,3,4-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-93-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-94-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-07-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-08-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-chloro-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-09-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 5,7-dichloro-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-10-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-11-9 HCAPLUS

CN Acetamide, N-(1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl)- (9CI) (CA INDEX NAME)

RN 85743-12-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-13-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-14-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3,4-dichlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH
 $C1$

RN 85743-15-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-16-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-17-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-18-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

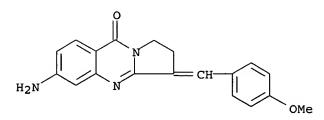
RN 85743-19-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH OMe

RN 85743-20-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)



RN 85743-21-1 HCAPLUS

1.

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85743-22-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH

RN 85743-23-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-(1,3-benzodioxol-5-ylmethylene)-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 85743-24-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-amino-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-27-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-1-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-31-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-(hydroxymethyl)-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-32-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 85743-33-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-34-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-35-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-38-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-39-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-40-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-41-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-42-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-46-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carbonitrile, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85743-47-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 2-(diethylamino)-1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 85743-48-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 2-(diethylamino)-1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, ethyl ester (9CI) (CA INDEX NAME)

RN 85743-49-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, sodium salt (9CI) (CA INDEX NAME)

Na

L67 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 23

ACCESSION NUMBER: 1983:614134 HCAPLUS

DOCUMENT NUMBER: 99:214134

TITLE: Cyanine dyes from deoxyvasicinone derivatives
AUTHOR(S): Andrianova, S. V.; Dil'manova, K.; Kovtun, T. V.;

Stetsenko, A. V.

CORPORATE SOURCE: Kiev. Gos. Univ., Kiev, USSR

SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (

1983), 49(9), 978-80

CODEN: UKZHAU; ISSN: 0041-6045

DOCUMENT TYPE: Journal LANGUAGE: Russian ED Entered STN: 12 May 1984

AB The alkaloid deoxyvasicinone (I) [530-53-0] from Peganum harmala was quaternized with Et p-toluenesulfonate and condensed (at position 3) with HC(OEt)3 to give the sym. cyanine perchlorate [87886-76-8], \(\lambda\text{max}\) 532 nm. Similar conventional condensations gave 4 unsym. cyanines, a merocyanine, and a styryl dye. The merocyanine (a rhodamine

derivative) showed pos. solvatochromism: the color of a CHCl3 solution was deeper

than that of an EtOH solution

IT 87886-76-8P 87886-81-5P 87886-82-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and visible absorption of)

RN 87886-76-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolinium, 4-ethyl-3-[(4-ethyl-1,2,4,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)methylene]-1,2,3,9-tetrahydro-9-oxo-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 87886-75-7 CMF C27 H27 N4 O2

CM 2

CRN 14797-73-0 CMF Cl O4

87886-81-5 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(4H)-one, 4-ethyl-3-[(3-ethyl-4-oxo-2-thioxo-5-CN thiazolidinylidene)methyl]-1,2-dihydro- (9CI) (CA INDEX NAME)

RN87886-82-6 HCAPLUS

Pyrrolo[2,1-b]quinazolinium, 3-[[4-(dimethylamino)phenyl]methylene]-4-CN ethyl-1,2,3,9-tetrahydro-9-oxo-, iodide (9CI) (CA INDEX NAME)

L67 ANSWER 23 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 24

ACCESSION NUMBER: 1983:505211 HCAPLUS

DOCUMENT NUMBER: 99:105211

New reactions of deoxyvasicinone TITLE:

AUTHOR (S): Dunn, A. D.; Guy, E. L. M.; Kinnear, K. I. CORPORATE SOURCE: Dundee Coll. Technol., Dundee, DD1 1HG, UK SOURCE: Journal of Heterocyclic Chemistry (1983),

20(3), 779-80 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal English LANGUAGE: ED Entered STN: 12 May 1984

Deoxyvasicinone (I; R = R1 = H) reacted at the 3 position of the AB

pyrrolidine ring with ClCO2R2 (R2 = Et, Bu) and benzoyl chloride to give, e.g., I [RR1 = C(OEt)OCO2Et]. D exchange also occurred at this site.

IT 86988-80-9P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 86988-80-9 HCAPLUS

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-(chlorophenylmethylene)-2,3-dihydro-CN (CA INDEX NAME)

L67 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 25

ACCESSION NUMBER: 1982:406605 HCAPLUS

DOCUMENT NUMBER: 97:6605

TITLE: Synthesis of vasicinone derivatives

AUTHOR(S): Sharma, V. K.; Jain, M. P.

Reg. Res. Lab., Jammu Tawi, 180 001, India CORPORATE SOURCE:

Indian Journal of Chemistry, Section B: Organic SOURCE:

Chemistry Including Medicinal Chemistry (1982

), 21B(1), 75-6

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal LANGUAGE: English

Entered STN: 12 May 1984 ED

AΒ The vasicinone derivs. I [R = (un) substituted Ph, 2-furyl] were prepd in

40-80% yield by the condensation of aromatic aldehydes with deoxyvasicinone.

18549-16-1P 64791-88-4P 64791-92-0P IT

82083-65-6P 82083-66-7P 82083-67-8P

82083-68-9P 82083-69-0P 82083-70-3P

82083-72-5P 82083-73-6P 82083-74-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

18549-16-1 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) CN(CA INDEX NAME)

64791-88-4 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-CN nitrophenyl) methylene] - (9CI) (CA INDEX NAME)

RN 64791-92-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 82083-65-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 82083-66-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 82083-67-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(4-chlorophenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 82083-68-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(4-aminophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 82083-69-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(3,4-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 82083-70-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(3,4-dihydroxyphenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 82083-72-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3-

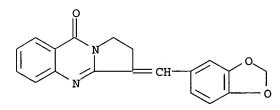
methoxyphenyl) methylene] - (9CI) (CA INDEX NAME)

RN 82083-73-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-hydroxy-4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 82083-74-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-(1,3-benzodioxol-5-ylmethylene)-2,3-dihydro-(9CI) (CA INDEX NAME)



L67 ANSWER 25 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 26

ACCESSION NUMBER: 1982:406604 HCAPLUS

DOCUMENT NUMBER: 97:6604

TITLE: Synthesis of vasicine analogs

AUTHOR(S): Gupta, V. N.; Jain, M. P.; Atal, C. K.; Bhardawaj, M.

CORPORATE SOURCE: Reg. Res. Lab., Jammu Tawi, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1982

), 21B(1), 74-5

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 12 May 1984

AB Vasicine analogs I [R = (un) substituted Ph, 2-furyl] were prepared in 24-80% yield by the condensation of deoxyvascine with aromatic aldehydes. I were

screened for bronchodilator and uterine activity. IT

82083-75-8P 82083-76-9P 82083-77-0P

82083-78-1P 82083-79-2P 82083-80-5P

82083-81-6P 82083-82-7P 82083-83-8P

82083-84-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

82083-75-8 HCAPLUS RN

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(phenylmethylene)- (9CI) CN (CA INDEX NAME)

RN 82083-76-9 HCAPLUS

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME) CN

RN 82083-77-0 HCAPLUS

Pyrrolo[2,1-b]quinazoline, 3-[(4-chlorophenyl)methylene]-1,2,3,9-CN tetrahydro- (9CI) (CA INDEX NAME)

RN82083-78-1 HCAPLUS

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[(3-nitrophenyl)methylene]-CN (CA INDEX NAME)

82083-79-2 HCAPLUS RN

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[(4methylphenyl) methylene] - (9CI) (CA INDEX NAME)

RN 82083-80-5 HCAPLUS

CN Phenol, 2-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-(9CI) (CA INDEX NAME)

RN 82083-81-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-(2-furanylmethylene)-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 82083-82-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 3-(1,3-benzodioxol-5-ylmethylene)-1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

RN 82083-83-8 HCAPLUS

CN Phenol, 4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 82083-84-9 HCAPLUS

CN Phenol, 5-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-2methoxy- (9CI) (CA INDEX NAME)

L67 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 27

ACCESSION NUMBER: 1981:192253 HCAPLUS

DOCUMENT NUMBER: 94:192253

TITLE: Synthesis of potential fungicides in the quinazoline

series

AUTHOR(S): Shakhidoyatov, Kh. M.; Oripov, E. O.; Yun, L. M.;

Yamankulov, M. Ya.; Kadyrov, Ch. Sh.

CORPORATE SOURCE: USSR

SOURCE: Fungitsidy (1980), 66-81. Editor(s):

Mel'nikov, N. N. Izd. Fan Uzb. SSR: Tashkent, USSR.

CODEN: 44UOAK

DOCUMENT TYPE: Conference LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 94:192253

ED Entered STN: 12 May 1984

AB Acylaminoquinazolines I (R = MeO, Me, Me2CHCH2, Ph, benzyl, PhCH:CH, p-O2NC6H4, p-MeOC6H4, p-tolyl, 3,5-xylyl) were obtained in 20-69% yield by acylation of the resp. amine. Pyrimidoquinazolines II (R1-3 = H; R1-2 = H, R3 = Me; R1 = Me, R2-3 = H; R1-2 = Me, Ph, R3 = H) were obtained in 61-90% yield by cycloaddn. of R1CR2:CR3CO2H with the amine. Polymethylenedihydroquinazolones III (n = 1, 2, 3) were brominated, chlorosulfonated, nitrated and formylated to give 6.1-100% expected products. Aminomethylene derivative IV (X = e.g., NO2; n = 1, 2, 3; NR4R5 = piperidino, morpholino; R4 = R5 = H, Me; R4 = H, R5 = OH, Bu, Me2CHCH2, CMe3) were also prepared Condensation of III (n = 1) with 26 aldehydes and ketones gave V e.g., R6 = Ph, R7 = H). The prepared compds. had low fungicidal activity (no data).

IT 18549-16-1P 64791-88-4P 64791-89-5P 64791-90-8P 64791-91-9P 64791-92-0P

04/91-90-0F 04/91-91-9F 04/91-92-0F

64791-93-1P 64791-95-3P 64791-96-4P

64791-97-5P 64791-98-6P 69185-63-3P 69185-64-4P 69185-65-5P 69185-66-6P

77478-86-5P 77478-87-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as potential fungicide)

RN 18549-16-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 64791-88-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-89-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-90-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(4-bromophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-91-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(dimethylamino)phenyl]methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-92-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-93-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(5-bromo-2-hydroxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-95-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-(2-furanylmethylene)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-96-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(5-iodo-2furanyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-97-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[hydroxy(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 64791-98-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[hydroxy(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 69185-63-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(1-piperidinylmethylene)-(9CI) (CA INDEX NAME)

RN 69185-64-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(4-morpholinylmethylene)-(9CI) (CA INDEX NAME)

RN 69185-65-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-nitro-3-(1-piperidinylmethylene)- (9CI) (CA INDEX NAME)

RN 69185-66-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(4-morpholinylmethylene)-7-nitro-(9CI) (CA INDEX NAME)

RN 77478-86-5 HCAPLUS

CN 11H-Pyrido[2,1-b]quinazolin-11-one, 6,7,8,9-tetrahydro-6-[(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)methylene]- (9CI) (CA INDEX NAME)

RN 77478-87-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxy-3methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

L67 ANSWER 27 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 28

ACCESSION NUMBER:

1979:87388 HCAPLUS

DOCUMENT NUMBER:

90:87388

TITLE:

Some reactions of α -hydroxy- and

 α -dimethylaminoformylidene-2,3-polymethylene-3,4-

dihydro-4-quinazolinones

AUTHOR (S):

Oripov, E.; Yun, L. M.; Shakhidoyatov, Kh. M.;

Kadyrov, Ch. Sh.

CORPORATE SOURCE:

Inst. Khim. Rastit. Veshchestv, Tashkent, USSR

SOURCE:

Khimiya Prirodnykh Soedinenii (1978), (5),

603-9

CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

OTHER SOURCE(S):

CASREACT 90:87388

ED Entered STN: 12 May 1984

AB Acylation of the title compds. by (RCO)20 (R = Me, Pr, Ph) gave 49-86% I (Z = O2CR, X = H, 6-O2N, 7-O2N, n = 1-3). Amination of the title compds. by RR1NH [R = H, R1 = H, OH, Bu, CH2CH2CHMe2, CMe3, Ph, p-tolyl, PhNH, 2,4-(O2N)2C6H3NH; R = R1 = Me; RR1 = (CH2)5, (CH2)2O(CH2)2] gave 31-92% I (Z = NRR1). Addnl. obtained were II (n = 1, 2) by hydrolysis of the title compds.

IT 69185-63-3P 69185-64-4P 69185-65-5P 69185-66-6P 69185-67-7P 69185-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 69185-63-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(1-piperidinylmethylene)-(9CI) (CA INDEX NAME)

RN 69185-64-4 HCAPLUS

RN 69185-65-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-nitro-3-(1-piperidinylmethylene)- (9CI) (CA INDEX NAME)

RN 69185-66-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(4-morpholinylmethylene)-7-nitro-(9CI) (CA INDEX NAME)

RN 69185-67-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-6-nitro-3-(1-piperidinylmethylene)- (9CI) (CA INDEX NAME)

RN 69185-74-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

L67 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:847194 HCAPLUS

DOCUMENT NUMBER: 136:118618

TITLE: Concise and Efficient Synthesis of Bioactive Natural

Products Pegamine, Deoxyvasicinone, and (-)-Vasicinone

AUTHOR(S): Mhaske, Santosh B.; Argade, Narshinha P.

CORPORATE SOURCE: Division of Organic Chemistry (Synthesis), National

Chemical Laboratory, Pune, 411 008, India

SOURCE: Journal of Organic Chemistry (2001), 66(26),

9038-9040

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:118618

ED Entered STN: 22 Nov 2001

AB The authors have demonstrated a most concise, efficient, and practical synthesis of naturally occurring bioactive quinazolinone alkaloids pegamine (I), deoxyvasicinone (II, R = H), (-)-vasicinone (II, R = OH), for the first time starting from succinic anhydride and (S)-acetoxysuccinic anhydride. A formal synthesis of rutecarpine, isaindigotone, and luotonins A and B has been implied. The present approach also provides a new general method for designing several quinazolinone derivs. using a variety of cyclic anhydrides for structure activity relationship studies.

IT 189316-00-5P, Isaindigotone

RL: PNU (Preparation, unclassified); PREP (Preparation)
 (formal synthesis of)

RN 189316-00-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-dimethoxyphenyl)methylene]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 29 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:227660 HCAPLUS

DOCUMENT NUMBER: 132:260669

TITLE: Indolo[2,1-b]quinazole-6,12-dione antimalarial

compounds and methods using them for treating malaria INVENTOR(S): Pitzer, Kevin K.; Scovill, John P.; Kyle, Dennis E.;

Gerena, Lucia

PATENT ASSIGNEE(S): WRAIR (Walter Reid Army Institute of Research), USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | | APPLICATION NO. | | | | DATE | | | | | | | |
|---------------|-------------|-----|-----|----------|-------------|-----------------|-----------------|------|--------------|----------------|------|------------|------|-------|------|-------|-----------------|
| | | | | | | | | | | | | | | | | | |
| | | | | | A2 20000406 | | WO 1999-US22569 | | | | | 19990928 < | | | | | |
| WO 2000018769 | | | A3 | 20000908 | | | | | | | | | | | | | |
| | W: | ΑE, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, |
| | | CZ, | DE, | DK, | DM, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, |
| | | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, |
| | | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD. | SE, | SG, | SI. | SK. |
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| ~ | 2217 | | - | | | | | ML, | | | | | | | | | 220 |
| CA 2317711 | | | | | | | | | | | | | | 928 < | | | |
| | | | | | | | | | | AU 1999-65023 | | | | | 19 | 9990 | 928 <- - |
| ΑU | J 765401 | | | | | | | | | | | | | | | | |
| EΡ | P 1032574 | | | | A2 | A2 20000906 | | | | EP 1999-952979 | | | | 19 | 9990 | 928 < | |
| EΡ | 1032574 | | | | A3 | A3 20001220 | | | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | FI | | | | | | | | | | | | | | |
| US | 6284 | 772 | | | В1 | | 2001 | 0904 | • | US 1 | 999- | 4071 | 96 | | 19 | 9990 | 928 < |
| ZA | A 200003315 | | | | Α | | | | ZA 2000-3315 | | | 2 | 0000 | 630 < | | | |
| | | | | | A1 | | | | | US 2001-850996 | | | | | | | |
| | US 6531487 | | | | | | | | | -01 | JJ | | | 2 | | | |
| US | 09 0331401 | | | | | B2 20030311 | | | | | | | | | | | |

US 2003191138 A1 20031009 US 2003-384979 20030310 <-PRIORITY APPLN. INFO.:

US 1998-102399P P 19980930 <-US 1999-407196 A3 19990928 <-WO 1999-US22569 W 19990928 <-US 2001-850996 A3 20010508 <--

OTHER SOURCE(S): MARPAT 132:260669

ED Entered STN: 07 Apr 2000

Compds., compns. and methods are provided for treating malaria parasites in vitro and in vivo by administering indolo[2,1-b]quinazoline-6,12-dione compds. I [A-H = C, N, or A and B or C and D can be taken together to be N or S, with proviso that not more than three of A-H are other than C; R1-R8 independently selected from, but not limited to, F, Cl, Br, I, alkyl, CF3, CH3O, COOCH3, COOCH2CH3, nitro, aryl, heteroaryl, cyano, amino, dialkylaminoalkyl, 1-(4-alkylpiperazinyl), and pharmaceutically acceptable salts thereof; X = any atom (especially O) or any side chain necessary to make the indolo[2,1-b]quinazoline-6,12-dione compound a prodrug]. The compds. include a side chain having a structure where a carbon-nitrogen double bond bears substituents that make the prodrug more water soluble and bioavailable.

IT 263239-55-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(indoloquinazoledione antimalarial compds., methods, and combinations)

RN 263239-55-0 HCAPLUS

CN Indolo[2,1-b]quinazolin-12(6H)-one, 4-methoxy-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:508176 HCAPLUS

DOCUMENT NUMBER: 129:245112

TITLE: Utilization of 2-(2-carboxyethyl)-4(3H)-

quinazolinethione in the synthesis of condensed and

noncondensed heterocycles

AUTHOR(S): Amine, M. S.; Eissa, A. M. F.; Shaaban, A. F.;

El-Sawy, A.; El-Sayed, R.

CORPORATE SOURCE: Chemistry Department, Faculty of Science, Benha

University, Benha, Egypt

SOURCE: Indian Journal of Heterocyclic Chemistry (1998

), 7(4), 289-292

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER: Prof. R. S. Varma

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 17 Aug 1998

AB Reactions of the title compound under different reaction conditions have yielded condensed and noncondensed heterocyclic systems, e.g., I and II (R

= H, OMe). Their structures have been ascertained on the basis of IR, NMR and mass spectral data. The antibacterial activity of the products was examined

IT 213203-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(2-(2-carboxyethyl)-4(3H)-quinazolinethione in preparation of condensed and noncondensed heterocycles)

RN 213203-14-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-1(2H)-one, 3,9-dihydro-3-[(4-methoxyphenyl)methylene]-9-thioxo-(9CI) (CA INDEX NAME)

IT 213203-13-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (2-(2-carboxyethyl)-4(3H)-quinazolinethione in preparation of condensed and noncondensed heterocycles)

RN 213203-13-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-1(2H)-one, 3,9-dihydro-3-(phenylmethylene)-9-thioxo-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 31 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:225199 HCAPLUS

DOCUMENT NUMBER: 126:314807

TITLE: Chemical studies of ban-lan-gen. 2. Alkaloids from

Isatis indigotica

AUTHOR(S): Deng, Ke Min; Wu, Xiao Yun; Yang, Gen Jin; Qin, Guo

Wei

CORPORATE SOURCE:

Shanghai Inst. Mater. Med., Chinese Acad. Sci.,

Shanghai, 200031, Peop. Rep. China

SOURCE:

Chinese Chemical Letters (1997), 8(3),

237-238

CODEN: CCLEE7

PUBLISHER:

Chinese Chemical Society

DOCUMENT TYPE:

Journal English

LANGUAGE:

ED

Entered STN: 07 Apr 1997

AB

Isaindigotone (I) and 3-(2'-hydroxyphenyl)-4(3H)-quinazolinone (II) were isolated from the roots of I. indigotica. I was elucidated as a new alkaloid. II was discovered for the 1st time from a natural source.

189316-00-5, Isaindigotone IT

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP

(Properties); BIOL (Biological study); OCCU (Occurrence)

(alkaloids from Isatis indigotica)

189316-00-5 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxy-3,5-CN

dimethoxyphenyl) methylene] -, (3E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 32 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

1996:281574 HCAPLUS

DOCUMENT NUMBER:

124:317160

TITLE:

Preparation of pyrroloimidazoles as photochromic

compounds.

INVENTOR(S):

Kawase, Ichiro; Tanaka, Masao Dainippon Ink & Chemicals, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|------------|
| | | | | |
| JP 08012677 | A2 | 19960116 | JP 1994-150942 | 19940701 < |
| PRIORITY APPLA TAFO : | | | JP 1994-150942 | 19940701 < |

OTHER SOURCE(S): MARPAT 124:317160

ED Entered STN: 14 May 1996

AB The title compds. I [A = (un) substituted divalent aromatic moiety; one of R2 - R4 is (un) substituted aromatic heterocyclic ring, the other two and R1 are independently alkyl, etc.; further details on R1 - R4 are given] are claimed. The title compound II (preparation given) became red-violet under UV light. The title compds. showed good durability.

IT 176308-21-7P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(preparation of pyrroloimidazoles as photochromic compds.)

RN 176308-21-7 HCAPLUS

CN 10H-Pyrrolo[1,2-a]perimidin-10-one, 8-[1-(2,5-dimethyl-3-furanyl)ethylidene]-8,9-dihydro-9-(1-methylethylidene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L67 ANSWER 33 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:24438 HCAPLUS

DOCUMENT NUMBER: 126:157463

TITLE: Heterocyclic compounds from 3-(4-

phenylbenzoyl) propionic acid

AUTHOR(S): Soliman, A. Y.; Bakeer, H. M.; Attia, I. A. CORPORATE SOURCE: Science Department, Faculty of Teachers, Alhasa,

31982, Saudi Arabia

SOURCE: Chinese Journal of Chemistry (1996), 14(6),

532-540

CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Science Press

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 15 Jan 1997

AB 3-(4-Phenylbenzoyl)propionic acid was used as the starting material for the synthesis of furanones I (Ar = Ph, 4-ClC6H4, 4-MeOC6H4), pyrrolinones II (R = Cl, H, OMe, R' = Me, Et, 4-MeC6H4, Ph), pyridazinone III, benzoxazinone IV and quinazolinones, e.g., V. The behavior of the derivs.

of furanones and benzoxazinones toward different nucleophiles is reported.

IT 186788-24-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of heterocyclic compds. from (phenylbenzoyl)propionic acid)

RN 186788-24-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(3H)-one, 1-[1,1'-biphenyl]-4-yl-3-[(4-chlorophenyl)methylene]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 34 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:74879 HCAPLUS

DOCUMENT NUMBER: 104:74879

TITLE: Preformulation stability studies on

deoxyvasicinebenzylidene (DVB)

AUTHOR(S): Gupta, V. N.; Jain, M. P.; Atal, C. K.; Rao, V. B.;

Nagavi, B. G.

CORPORATE SOURCE: Reg. Res. Lab., Jammu Tawi, 180 001, India

SOURCE: Indian Drugs (1985), 22(12), 644-6

CODEN: INDRBA; ISSN: 0019-462X

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 08 Mar 1986

AB DVB (I) [82083-75-8] degradation in solution under various conditions of temperature and pH followed 1st-order kinetics. I did not show any decompose

in solid state. I was most stable in solution at pH 4.5 having a shelf life of 2.53 yr. The stability of I at pH values >6.0 was independent of H+ and OH- concns.

IT 82083-75-8

RL: PRP (Properties)

(stability of, in solids and solns.)

RN 82083-75-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 35 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:123475 HCAPLUS

DOCUMENT NUMBER: 102:123475

TITLE: $(Z) -3 - (\alpha - Hydroxybenzylidene) -1, 2, 3, 9 -$

tetrahydropyrrolo[2,1-b]quinazolin-9-one, C18H14N2O2

AUTHOR(S): Barnes, John C.; Low, John N.; Paton, John D.; Dunn,

Allan D.; Kinnear, Kenneth I.

CORPORATE SOURCE: Chem. Dep., Univ. Dundee, Dundee, DD1 4HN, UK

SOURCE: Acta Crystallographica, Section C: Crystal Structure

Communications (1985), C41(2), 282-4

CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 06 Apr 1985

AB The title compound is monoclinic, space group P21/c, with a 11.309(5), b 11.121(5), c 11.672(5) Å, and β 110.23(5)°; Z = 4 for dc =

1.4002. The final R = 0.0689 for 1115 reflections. The OH proton is involved in H bonding to the sp2-hybridized N atom of the quinazoline ring. The Ph group is close to coplanar with the pyrroloquinazolinone fragment giving the maximum extended π bonding. Atomic coordinates are given.

IT 95235-23-7

RL: PRP (Properties) (structure of)

RN 95235-23-7 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(hydroxyphenylmethylene), (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L67 ANSWER 36 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:198523 HCAPLUS

DOCUMENT NUMBER: 98:198523

TITLE: Synthesis of vasicine and vasicinone derivatives for

oxytocic and bronchodilatory activity

AUTHOR(S): Rao, M. N. A.; Krishnan, S.; Jain, M. P.; Anand, K. K.

CORPORATE SOURCE: Reg. Res. Lab., Jammu Tawi, 180 001, India SOURCE: Indian Journal of Pharmaceutical Sciences (

1982), 44(6), 151-3

CODEN: IJSIDW; ISSN: 0250-474X

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 12 May 1984

The title derivs. I [X = H2, O, X1 = RCH (R = m-HOC6H4, p-HOC6H4, PhCH:CH, (MeO)2C6H3, H, Me, Pr, Bu, Me2CHCH2, MeCH:CH)] were prepared by condensation of I (X1 = H2) with RCHO. Some I had oxytocic activity at 10-3 mg/mL and none showed bronchodilator activity at 3 x 10-2 mg/mL.

IT 85620-12-8P 85620-13-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and oxytocic activity of)

RN 85620-12-8 HCAPLUS

CN Phenol, 3-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl](9CI) (CA INDEX NAME)

CH OH

RN 85620-13-9 HCAPLUS

CN Phenol, 4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-(9CI) (CA INDEX NAME)

IT 85620-21-9P 85620-22-0P 85620-23-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 85620-21-9 HCAPLUS

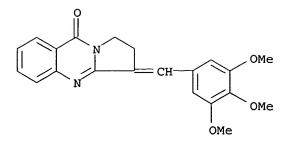
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85620-22-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85620-23-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)



L67 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:501913 HCAPLUS

DOCUMENT NUMBER: 89:101913

TITLE: Agent for influencing plant growth and development INVENTOR(S): Bergner, Christoph; Groeger, Detlef; John, Siegfried;

Jung, Barbara; Schreiber, Klaus; Sembdner, Guenther Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger.

SOURCE: Ger. (East), 9 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|------------|
| | | | | |
| DD 128758 | Z | 19771207 | DD 1976-196573 | 19761223 < |
| PRIORITY APPLN. INFO.: | | | DD 1976-196573 A | 19761223 < |

ED Entered STN: 12 May 1984

AB Vasicinone derivs. are plant-growth inhibitors. Thus, 10-3M 7-nitrodeoxyvasicinone (I) [55727-51-0] inhibited the growth of spring rye seedlings by 17%. The synthesis of the derivs. is given.

IT 41759-33-5P 65636-73-9P 65636-74-0P

65636-75-1P 65636-76-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and plant growth-inhibiting activity of)

RN 41759-33-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 65636-73-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(2-pyridinylmethylene)-(9CI) (CA INDEX NAME)

RN 65636-74-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(4-pyridinylmethylene)-(9CI) (CA INDEX NAME)

RN 65636-75-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 65636-76-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 38 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:424589 HCAPLUS

DOCUMENT NUMBER: 89:24589

TITLE: Synthesis and carbon-13 NMR spectroscopy of some

pyrrolo[2,1-b]quinazolines

AUTHOR(S): Johne, S.; Jung, B.; Groeger, D.; Radeglia, R.

CORPORATE SOURCE: Inst. Biochem. Pflanzen, DAW, Halle/Saale, Ger. Dem.

Rep.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1977

), 319(6), 919-26

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal LANGUAGE: German ED Entered STN: 12 May 1984

AB Peganine and vasicinone derivs. I (X = CH, N; X1 = O, H2; R = OH, H, C1; R1 = H, NO2; R2 = H, NO2) were prepared by reaction of anthranilic acid

derivs. with either 4-aminobutyric acid or 2-methoxy- Δ 1-pyrroline.

C-3 substituted deoxyvasicinones were prepared also. The 13C NMR of all C atoms in peganine were assigned.

IT 41759-33-5P 65636-73-9P 65636-74-0P

65636-75-1P 65636-76-2P

RN 41759-33-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 65636-73-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(2-pyridinylmethylene)-(9CI) (CA INDEX NAME)

RN 65636-74-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(4-pyridinylmethylene)-(9CI) (CA INDEX NAME)

RN 65636-75-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 65636-76-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:7166 HCAPLUS

DOCUMENT NUMBER: 88:7166

TITLE: Quinazolines. XI. Condensation of deoxyvasicinone

with aldehydes

AUTHOR(S): Shakhidoyatov, Kh. M.; Yamankulov, M. Ya.; Kadyrov,

Ch. Sh.

CORPORATE SOURCE: Inst. Khim. Rastit. Veshchestv, Tashkent, USSR

SOURCE: Khimiya Prirodnykh Soedinenii (1977), (4),

552-6

CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE: Journal LANGUAGE: Russian

ED Entered STN: 12 May 1984

AB Deoxyvasicinone derivs. I [R = Ph, m-, p-O2NC6H4, p-BrC6H4, p-Me2NC6H4, o-HOC6H4, 2,5-(HO)BrC6H3, 2,3-(HO)MeC6H3, 2-furyl, 5-iodo-2-furyl] were obtained in 17-98% yields by condensation of deoxyvasicinone with RCHO 0.5-4 h at 150-200°.

IT 64791-97-5P 64791-98-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and dehydration of)

RN 64791-97-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[hydroxy(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 64791-98-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[hydroxy(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

IT 18549-16-1P 64791-88-4P 64791-89-5P

64791-90-8P 64791-91-9P 64791-92-0P

64791-93-1P 64791-94-2P 64791-95-3P

64791-96-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 18549-16-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 64791-88-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(3-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-89-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(4-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-90-8 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(4-bromophenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 64791-91-9 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[4-(dimethylamino)phenyl]methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 64791-92-0 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-93-1 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[(5-bromo-2-hydroxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-94-2 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-hydroxy-3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 64791-95-3 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-(2-furanylmethylene)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 64791-96-4 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(5-iodo-2-furanyl)methylene]- (9CI) (CA INDEX NAME)

L67 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1975:4452 HCAPLUS

DOCUMENT NUMBER: 82:4452

TITLE: Pseudorutecarpine INVENTOR(S): Kametani, Tetsuji

PATENT ASSIGNEE(S): Japan Chemipha Co., Ltd.

Jpn. Kokai Tokkyo Koho, 3 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| | | | | |
| JP 49085100 | A2 | 19740815 | JP 1972-128970 | 19721222 < |
| JP 50029480 | B4 | 19750923 | | |
| PRIORITY APPLN. INFO.: | | | JP 1972-128970 | A 19721222 < |

Entered STN: 12 May 1984 Pseudorutecarpine (I) was prepared by reacting 3-(2-nitrobenzylidene)-AB 1,2,3,9-tetrahydropyrrolo[2,1-b]quinazolin-9-one (II) with trialkyl phosphites. Thus, 1.9 g II was refluxed with 2 g (EtO)3P 20 hr at

170° under N and SiO2 to give 0.1 g I. I had analgesic and hypotensive actions.

IT 41759-33-5

> RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with trialkyl phosphites)

RN 41759-33-5 HCAPLUS

Pyrrolo [2, 1-b] quinazolin-9 (1H) -one, 2, 3-dihydro-3-[(2-CN nitrophenyl)methylene] - (9CI) (CA INDEX NAME)

L67 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1975:16864 HCAPLUS

DOCUMENT NUMBER: 82:16864

3-(2-Alkylaminobenzal)-1,2,3,9-tetrahydropyrrolo[2,1-TITLE:

b]quinazolin-9-ones

INVENTOR(S):

Kametani, Tetsuji

PATENT ASSIGNEE(S):

Japan Chemipha Co., Ltd.

SOURCE:

Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|------------------------|------|----------|------------------|------------|--|
| | | | | | |
| JP 49085096 | A2 | 19740815 | JP 1972-128969 | 19721222 < | |
| JP 51002478 | B4 | 19760126 | | | |
| PRIORITY APPLN. INFO.: | | | JP 1972-128969 A | 19721222 < | |

ED Entered STN: 12 May 1984

Analgesic and hypotensive title compds. (I; R1 = lower alkyl; R2 = H, AB acyl) were prepared by treating 3-(2-nitrobenzylidene)-1,2,3,9tetrahydropyrrolo[2,1-b]quinazolin-9-one (II) with trialkyl phosphites followed by acylation, if needed. E.g., refluxing 1.9 g II with 2 g (EtO)3P 20 hr at 170° under N gave 0.8 g I (R1 Et, R2 = H) (III). Heating 100 mg III with Ac2O 3 hr gave 65 mg I (R1 = Et, R2 = Ac).

IT 41759-34-6P 41759-35-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 41759-34-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[2-(ethylamino)phenyl]methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 41759-35-7 HCAPLUS

CN Acetamide, N-[2-[(1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]phenyl]- (9CI) (CA INDEX NAME)

IT 41759-33-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with trialkyl phosphites)

RN 41759-33-5 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

L67 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:97850 HCAPLUS

DOCUMENT NUMBER: 78:97850

TITLE: Synthesis of heterocyclic compounds. CDXCIX.

Synthesis of pseudorutecarpine with triethyl phosphite

AUTHOR(S): Kametani, Tetsuji; Yamanaka, Tohru; Nyu, Kiyosato

CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan SOURCE: Journal of Heterocyclic Chemistry (1972),

9(6), 1281-2

CODEN: JHTCAD; ISSN: 0022-152X DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

AB Pseudorutecarpine (I) was synthesized by nitrene reaction of 3-(2-nitrobenzylidene)-1,2,3,9-tetrahydropyrrolo[2,1-b]quinazolin-9-one.

IT 41759-33-5P 41759-34-6P 41759-35-7P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 41759-33-5 HCAPLUS

RN

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(2nitrophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 41759-34-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-[[2-(ethylamino)phenyl]methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 41759-35-7 HCAPLUS

CN Acetamide, N-[2-[(1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]phenyl]- (9CI) (CA INDEX NAME)

L67 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:47397 HCAPLUS

DOCUMENT NUMBER: 70:47397

TITLE: Chemistry of azacyclols. II. Synthesis and

properties of C-acyl derivatives of anhydrooxa- and

anhydroazacyclols

AUTHOR(S): Shkrob, A. M.; Krylova, Yu. I.; Antonov, V. K.;

Shemyakin, M. M.

CORPORATE SOURCE: Inst. Khim. Prir. Soedin., Moscow, USSR

SOURCE: Zhurnal Obshchei Khimii (1968), 38(9),

2030-46

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 70:47397

ED Entered STN: 12 May 1984

AB Anhydro derivs. of aromatic oxa and aza cyclols were shown to be able to acylate to form C-acyl derivs. The C-acetyl derivs. of the aza cyclols on further acylation gave pyrones. Hydration converted the C-acyl derivs. into unstable aza cyclols, which by retroaldol cleavage give quinazolinediones. Refluxing 2 hrs. I(n = 1) in AcOH-AcONa gave 58% 1,2-dihydro-3-acetyl-9H-pyrrolo[2,1-b][1,3]benzoxazin-9-one, m. 256-8°, also formed from N-salicyloylbutyrolactam and Ac2O at 130°. Heating II in Ac20 2.5 hrs. gave 1,2,3,10-tetrahydro-4acetylpyrido[2,1-b][1,3]benzoxazin-10-one, m. 170-1°, in 55% yield and more soluble (26%) N-(o-acetylsalicyloyl)valerolactam, m. 111-12°. The latter also formed by heating acetylsalicylic acid with SOC12 and treating the product with valerolactam in MePh. From appropriate analogy of II was similarly prepared 73% N-(O-acetylsalicyloyl)caprolactam, m. 81-2°. 3-Carbethoxyvalerolactam and Et3N in Et2O treated with o-Ph-CH2OC6H4COCl gave 63% 1-(o-benzyloxybenzoyl)-3-carbethoxyvalerolactam, m. 85-6°, which on hydrogenolysis over Pd gave 25% III, m. 88-91°: Appropriately substituted O-methyllactims and anthranilic acid mixed in Me2CO at 5° gave after final heating to 40° 1 hr. the following acylamidines: 1,2,3,9-tetrahydropyrrolo[2,1b]quinazolin-9-one, m. 109-10° (HCl salt, m. 298-302°); 1,2,3,4-tetrahydro-1H-pyrido[2,1-b]quinazolin-10-one, m. 99-100° (HCl salt, m. 269-72°); and 1,2,3,4,5,11-hexahydroazepino[2,1b]quinazolin-11-one (IV), m. 96-7° (HCl salt, m. 221-4°). These and Me tosylate heated in MePh 4 hrs. gave (V) toluenesulfonate of 1,2,3,9-tetrahydro-4-methylpyrrolo[2,1-b]quinazolin-9-onium m. 177-8° and 1,2,3,4-tetrahydro-5-methyl-1H-pyrido[2,1-b]quinazolin-10-onium (VI), m. 185-6°. The acylamidines and MeI in 10 hrs. at 120° gave 1,2,3,9-tetrahydro-4-methylpyrrolo[2,1-b]quinazolin-9-on-4-ium iodide, m. 289-90°; 1,2,3,4,5,11-hexahydro-6-methylazepino-[2,1-b]quinazolin-11-onium iodide (VII) m. 231-3°. The latter

heated with pyridine 0.5 hr. gave 52% IV. V heated 20 min. with Acona-Ac20 gave after purification on Al203 80% 1,2-dihydro-3-acetyl-4methyl - 9H - pyrrolo[2,1 -b]quinazolin - 9 - one (VIII) m. 163-4°; the N-CD3 analog was prepared similarly as well as the COCD3 analog. product heated in Ac20 at 150° 8 hrs. gave 2,3-dihydro-1-(N-acetyl-N-methylanthranoyl)-4-methylpyrrolo[2,1-b]pyran-6-one, m. 243-5°. VI acetylated similarly gave 1,2,3,10-tetrahydro-4-acetyl-5methylpyrido[2,1-b]-quinazolin-10-one, m. 162-4°, and 65% 1,2,3,4-tetrahydro-1-(N-acetyl-N-methylanthranoyl)-5-methylpyrido[2,3b]pyran-7-one, m. 245-7°, also prepared by acetylation of the preceding product under similar conditions in 60% yield. Heating the tosylates V and VI with BzCl in pyridine at 100° 3 hrs. gave: 33% 1,2-dihydro-3-benzoyl-4 - methyl -9H - pyrrolo[2,1 -b]quinazolin -9-one (IX) m. 223-5° (the D taged N-Me analog prepared similarly); 90% 1,2,3,10-tetrahydro-4-benzoyl-5-methylpyrido[2,1-b]-quinazolin-10-one (X) m. 191-2°. N-Methylisatic anhydride heated with 3-carbethoxyvalerolactam 1 hr. at 190° gave 21% 1,2,3,10-tetrahydro-4-carbethoxy-5-methylpyrido[2,1-b]quinazolin-10-one (XI) m. 130-1°, 10% 1-methyl-3-(4-carbethoxybutyl)quinazoline-2,4dione, m. 78-9°; and 13% 1,2,3,4,5,10-hexahydro-1-(Nmethylanthranoyl) - 10 -methylpyrido[2,3 - b]quinolin - 5 - one, m. 204-6°, the latter also being prepared by C-acylation of the tosylate VI with Et chlorocarbonate in the presence of K2CO3. Hydrolysis in 80% aqueous EtOH converted VIII into 1-methyl-3-(4-oxo-amyl)quinazoline-2,4-dione, m. 110-11°; similarly IX and X gave 1-methyl-3-(4-phenyl-4oxobutyl)quinazoline-2,4-dione, m. 140-1°, and 1-methyl-3-(5-phenyl-5-oxopentyl)quinazoline-2,4-dione, m. 89-90°, while XI gave 1-methyl-3-(4-carbethoxybutyl)-quinazoline-2,4-dione, m. 78-9°. The products were characterized by mass spectra and ir spectra. 16015-62-6P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 16015-62-6 HCAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-benzoyl-2,4-dihydro-4-methyl- (8CI)

Ph Me O

(CA INDEX NAME)

IT

RN

CN

L67 ANSWER 44 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:473732 HCAPLUS

DOCUMENT NUMBER: 67:73732

TITLE: Alkaloids of anisotes sessiliflorus. Five new

4-quinazolone alkaloids

AUTHOR (S): Arndt, Reinhard R.; Eggers, S. H.; Jordaan, A.

Council Sci. Ind. Res., Pretoria, S. Afr. CORPORATE SOURCE:

SOURCE: Tetrahedron (1967), 23(8), 3521-3532

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal English LANGUAGE:

Entered STN: 12 May 1984

- AB The structures of 5 new 4-quinazolone alkaloids I-V were elucidated by the extensive use of spectrographic techniques and a few selected chemical reactions.
- IT 18549-16-1P

- RN 18549-16-1 HCAPLUS
- CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 45 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:500091 HCAPLUS

DOCUMENT NUMBER: 67:100091

TITLE: Synthesis of stable derivatives of azacyclols AUTHOR(S): Shkrob, A. M.; Krylova, Yu. I.; Antonov, V. K.

CORPORATE SOURCE: U.S.S.R. Acad. Sci., Moscow, USSR

SOURCE: Tetrahedron Letters (1967), (28), 2701-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 12 May 1984

Attempts to prepare the azacyclols (I, R = Me, n = 1, 2, and 3) by way of AB the N-anthranoyllactams or the salts (II, X = TsO, n = 1, m. $177-8^{\circ}$; X = I, n = 1, m. $289-90^{\circ}$; X = TsO, n = 2, m. 185-6°; X = I, n = 3) showed that I immediately underwent either isomerization to the cyclodiamides (III, n = 3, m. 163-6°) or dehydration to the unstable anhydro compds. (IV). It was found possible to prepare stable derivs. of I, the ortho amides (V), by intramol. addition of nucleophilic residues to the double bond of the anhydro compds. (VI). VI were synthesized by acrylation of IV. Treatment of the salts II by acylating agents in the presence of bases gave stable C-acylated anhydro compds. (VII, R = Me, n = 1, m. 163-4°; R = Me, n = 2, m. $162-4^{\circ}$; R = Ph, n = 1, m. 223-5°; R = Ph, n = 2, m. 191-2°). The properties of these anhydro compds. were similar to those of the known compound VII (R = OEt, n = 2, m. 131-2°). VII underwent hydration on heating in aqueous alc. but the resultant azacyclols (VIII) were unstable and underwent retro-aldol fission yielding the quinazolinedione derivs. (IX, R = Me, n = 1, m. 110-11°; R = Ph, n = 1, m. $140-1^\circ$; R = Ph, n = 2, m. $89-90^\circ$; R = OEt, n = 2, m. 78-9°) (CA 51: 4262g) with structure confirmed by N.M.R. and mass spectra. The hydration of VII provided an example of addition to the double bond in anhydroazacyclols, and a similar intramol. reaction was observed on refluxing the salts II with excess Ac2O in the presence of K2CO3 or NaOAc. Under these drastic conditions the initially formed VII react further to give the pyrone derivs. X (n = 1, 2, and 3), m. 243-5°, 245-7°, and 172-4°, resp. The structure of X followed from the ir, N.M.R., and mass spectra. The reaction mechanism was schematically outlined. The ease of conversion of VII (R = Me) was in the order n = 1 < n = 2 < n = 3. Intramol. nucleophilic addition occurred on

acylation of the anhydrocyclols IV with N-methylisatoic anhydride (XI). In the presence of bases XI reacted with the salts II with intermediate formation of ortho-amides (XII, R = H, n = 1), m. 196-8°, and production of the N-anthranoyl compds. (XIII, R = H, n = 1, 2, and 3), m. 290-3°, 204-6°, and 178-80°, resp. The structure of XIII was supported by ir and mass spectra and confirmed by hydrolysis to XIV (R = H, n = 1, 2, and 3), m. 278-80°, 249-51°, and248-9°, resp. Acylation of XIV with XI regenerated XIII. The labeled compound XIII (R = D, n = 1), obtained from the salt IIa (R = D, X = 1) I) contained the N-CD3 group in the anthranoyl moiety split off by hydrolysis or on mass spectrometric fragmentation. Compds. XII (R = H, n = 1; R = D, n = 1) were isolated from acylation of the butyrolactam (XV, n= 1) or the salts IIa (R = H, n = 1; R = D, n = 1), and are the 1st examples of stable azacyclol derivs. On heating above the m.p. they isomerized to the corresponding N-anthranoyl compds. XIII, by thermal syn-1,2-elimination. Results of mass spectrometric fragmentation of XII (R = D, n = 1) were rationalized.

IT 16015-62-6P

RN 16015-62-6 HCAPLUS

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-benzoyl-2,4-dihydro-4-methyl- (8CI) (CA INDEX NAME)

L67 ANSWER 46 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1935:33603 HCAPLUS

DOCUMENT NUMBER: 29:33603
ORIGINAL REFERENCE NO.: 29:4365a-c

TITLE: Structure of vasicine. III. Position of the hydroxyl

group

AUTHOR(S): Morris, R. C.; Hanford, W. E.; Adams, R.

SOURCE: Journal of the American Chemical Society (1935)

), 57, 951-4

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

ED Entered STN: 16 Dec 2001

Oxidation of vasicine (I) with H2O2 in Me2CO gives 2,3-(α-hydroxytrimethylene)-4-quinazolone (II), m. 213-4°; a mixture with I m. 168-70° and this may be the product reported by Ghose (C. A. 27, 510). Oxidation of desoxyvasicine (III) gives 2,3-trimethylene-4-quinazolone (IV), m. 110-10.5°; benzal derivative, yellow, m. 137-9°. II and SOCl2 give the α-Cl derivative, m. 109°, which is reduced by Zn and AcOH to III. o-(γ-Phenoxybutyrylamino) benzamide, m. 150°; heating to 230-5° gives 2-(γ-phenoxypropyl)-4-quinazolone, light yellow, m. 181°; the action of HBr followed by alkali gives IV. Oxidation of IV with Pb(OAc)4 in C6H6 gives II. These facts indicate that the HO in I is on the CH2

attached to the 2-C atom.

18549-16-1, Pyrrolo[2,1-b]quinazolin-9(1)-one, IT

3-benzal-2,3-dihydro-(preparation of)

18549-16-1 HCAPLUS RN

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) CN(CA INDEX NAME)

=> d ibib ab hitstr 47 YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' - CONTINUE? (Y) /N:y

L67 ANSWER 47 OF 58 USPATFULL on STN **DUPLICATE 10**

2001:188716 USPATFULL ACCESSION NUMBER:

Indolo[2,1-b] quinazole-6,12-dione antimalarial TITLE:

compounds and methods of treating malaria therewith

INVENTOR (S):

Pitzer, Kevin K., Pasadena, MD, United States Scovill, John P., Walkersville, MD, United States Kyle, Dennis E., Gaithersburg, MD, United States Gerena, Lucia, Silver Spring, MD, United States

| | NUMBER | KIND | DATE | |
|---|-----------------------------|--------|----------------------|-----------------------------|
| PATENT INFORMATION: | US 2001034350 US 6531487 | | 20011025 20030311 | < |
| APPLICATION INFO.: RELATED APPLN. INFO.: | US 2001-850996 | | | (9) < 6. filed on 28 Sep |
| RELATED APPLN. INFO.: | 1999, PENDING | NO. US | 1999-40/19 | 6, IIIeu on 28 Sep |

NUMBER DATE

PRIORITY INFORMATION: US 1998-102399P 19980930 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

Caroline Nash, Nash & Titus, LLC, 3415 Brookeville LEGAL REPRESENTATIVE:

Road, Brookeville, MD, 20833

NUMBER OF CLAIMS: 43 EXEMPLARY CLAIM: 1 LINE COUNT: 1023

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided for treating malaria AB parasites in vitro and in vivo by administering indolo[2,1-b]quinazoline-6,12-dione compounds of Formula I. ##STR1##

wherein A, B, C, D, E, F, G and H are independently selected from carbon and nitrogen, or A and B or C and D can be taken together to be nitrogen

or sulfur, with the proviso that not more than three of A, B, C, D, E, F, G and H are other than carbon; wherein R.sub.1 through R.sub.8 are independently selected from the group consisting of, but not limited to, the halogens (F, Cl, Br, and I), alkyl groups, trifluoromethyl groups, methoxyl groups, the carboxy methyl or carboxy ethyl group (COOCH.sub.3 or COOCH.sub.2CH.sub.3), nitro, aryl, heteroaryl, cyano, amino, dialkylaminoalkyl, 1-(4-alkylpiperazinyl), and the pharmaceutically acceptable salts thereof; and wherein X is independently selected from the group consisting of any atom especially oxygen, or any side chain necessary to make the indolo[2,1-b]quinazoline-6,12-dione compound a "prodrug" as the term is understood by one of ordinary skill in the art of medicinal chemistry. In other words, a side chain having a structure where a carbon-nitrogen double bond bears substituents that make the prodrug more water soluble and bioavailable.

IT 263239-55-0

RN

(indoloquinazoledione antimalarial compds., methods, and combinations) 263239-55-0 USPATFULL

CN Indolo[2,1-b]quinazolin-12(6H)-one, 4-methoxy-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

=> d ibib ab hitstr 48-53
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' - CONTINUE? (Y)/N:y

L67 ANSWER 48 OF 58 USPATFULL on STN

ACCESSION NUMBER: 2005:31684 USPATFULL

TITLE: Pyrrolidinohydrochinazolines

INVENTOR(S): Anderskewitz, Ralf, Laupheim, GERMANY, FEDERAL REPUBLIC

OF

Dollinger, Horst, Schemmerhofen, GERMANY, FEDERAL

REPUBLIC OF

Heine, Claudia, Biberach, GERMANY, FEDERAL REPUBLIC OF Pouzet, Pascale Arielle Jane-Josee, Biberach, GERMANY,

FEDERAL REPUBLIC OF

Bouyssou, Thierry, Mietingen, GERMANY, FEDERAL REPUBLIC

OF

Birke, Franz, Ingelheim, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Ingelheim,

GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

| | NUMBER | KIND | DATE | |
|---------------------|----------------|------|----------|------|
| | | | | |
| PATENT INFORMATION: | US 2005027122 | A1 | 20050203 | |
| APPLICATION INFO.: | US 2004-771756 | A1 | 20040204 | (10) |

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NUMBER
                                            DATE
                        -----
                        EP 2003-3007
PRIORITY INFORMATION:
                                           20030212
                                                                    <---
                        US 2003-499529P
                                                                    <--
                                           20030902 (60)
DOCUMENT TYPE:
                        Utility
                        APPLICATION
FILE SEGMENT:
                       BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD,
LEGAL REPRESENTATIVE:
                        P. O. BOX 368, RIDGEFIELD, CT, 06877
NUMBER OF CLAIMS:
                        11
EXEMPLARY CLAIM:
                        1
LINE COUNT:
                        1343
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      Disclosed are compounds of formula (I):
                                                 ##STR1##
       or stereoisomers or pharmaceutically acceptable salts thereof, wherein
       the groups Ar.sup.1, Ar.sup.2, A, R.sup.1, R.sup.2, R.sup.3, E.sup.1,
       E.sup.2, X and n are as defined in the description and claims, which are
       effective modulators of chemokine activity.
IT 82083-81-6P 745836-70-8P 745836-71-9P
      745836-72-0P 745836-73-1P 745836-74-2P
      745836-75-3P 745836-76-4P 745836-77-5P
      745836-78-6P 745836-79-7P 745836-80-0P
      745836-81-1P 745836-82-2P 745836-83-3P
      745836-84-4P 745836-85-5P 745836-86-6P
      745836-87-7P 745836-88-8P 745836-89-9P
      745836-90-2P 745836-91-3P 745836-92-4P
      745836-93-5P 745836-95-7P 745836-96-8P
      745836-97-9P 745836-98-0P 745836-99-1P
      745837-00-7P 745837-01-8P 745837-02-9P
      745837-03-0P 745837-04-1P 745837-05-2P
      745837-06-3P 745837-07-4P 745837-09-6P
      745837-10-9P 745837-11-0P 745837-12-1P
      745837-13-2P 745837-14-3P 745837-15-4P
      745837-36-9P
        (preparation of pyrrologuinazolines as modulators of chemokine activity)
RN
     82083-81-6 USPATFULL
CN
     Pyrrolo [2,1-b] quinazoline, 3-(2-furanylmethylene)-1,2,3,9-tetrahydro-
             (CA INDEX NAME)
```

RN 745836-71-9 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 5,7-dibromo-3-[(2-chlorophenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-72-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-chlorophenyl)methylene]-1,2,3,9tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-73-1 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 7-bromo-3-[(2-chlorophenyl)methylene]-6-(1,1-dimethylethyl)-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

745836-74-2 USPATFULL RN

Pyrrolo[2,1-b]quinazoline, 3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-CNtetrahydro- (9CI) (CA INDEX NAME)

745836-75-3 USPATFULL RN

Pyrrolo[2,1-b]quinazoline, 3-[(2-fluorophenyl)methylene]-1,2,3,9-CNtetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN

745836-76-4 USPATFULL Benzenamine, 3-chloro-4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-CN ylidene)methyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

HCl

RN 745836-79-7 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-ethylphenyl)methylene]-1,2,3,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 745836-80-0 USPATFULL

CN Benzenamine, 4-[(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 745836-81-1 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(3,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-82-2 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-83-3 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(2-thienylmethylene)(9CI) (CA INDEX NAME)

RN 745836-84-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-(3-thienylmethylene)(9CI) (CA INDEX NAME)

RN 745836-85-5 USPATFULL

CN Pyrrolo[2,1-b] quinazoline, 3-([1,1'-biphenyl]-2-ylmethylene)-1,2,3,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 745836-86-6 USPATFULL
CN Pyrrolo[2,1-b]quinazoline, 3-[(2-chloro-4-fluorophenyl)methylene]-1,2,3,9 tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-87-7 USPATFULL
CN Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[(4-methoxy-3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 745836-88-8 USPATFULL
CN Pyrrolo[2,1-b]quinazoline, 3-[(2,4-dichlorophenyl)methylene]-1,2,3,9 tetrahydro- (9CI) (CA INDEX NAME)

RN 745836-89-9 USPATFULL

CN Benzaldehyde, 2-[amino(1,2-dihydropyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

CN

RN 745836-90-2 USPATFULL

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-3-[[2 (trifluoromethoxy)phenyl]methylene]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 745836-91-3 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-bromophenyl)methylene]-1-(4-fluorophenyl)-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-92-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(8-bromo-1-naphthalenyl)methylene]-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-93-5 USPATFULL

CN Pyrrolo[2,1-b]quinazoline, 3-[(2-bromophenyl)methylene]-1-butyl-1,2,3,9-tetrahydro-(9CI) (CA INDEX NAME)

RN 745836-95-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-ethylphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745836-96-8 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745836-97-9 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 2,3-dihydro-3-[(2-iodophenyl)methylene]- (9CI) (CA INDEX NAME)

RN 745836-98-0 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME),

RN 745836-99-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

RN 745837-00-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-8-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 745837-01-8 USPATFULL

CN Pyrido[2,3-d]pyrrolo[1,2-a]pyrimidin-5(7H)-imine, 9-[(2-bromophenyl)methylene]-8,9-dihydro- (9CI) (CA INDEX NAME)

RN 745837-02-9 USPATFULL

CN Methanamine, N-[3-[(2-bromophenyl)methylene]-2,3-dihydropyrrolo[2,1-b]quinazolin-9(1H)-ylidene]- (9CI) (CA INDEX NAME)

RN 745837-03-0 USPATFULL

CN Pyrrolo[2,1-b] quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-8-chloro-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 745837-04-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

RN 745837-05-2 USPATFULL

CN Cyanamide, [3-[(2-bromophenyl)methylene]-2,3-dihydropyrrolo[2,1-b]quinazolin-9(1H)-ylidene]- (9CI) (CA INDEX NAME)

RN 745837-06-3 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-1-carboxylic acid, 3-[(2-bromophenyl)methylene]-1,2,3,9-tetrahydro-9-imino-, methyl ester (9CI) (CA INDEX NAME)

RN 745837-07-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-1-carboxamide, 3-[(2-bromophenyl)methylene]-1,2,3,9-tetrahydro-9-imino-(9CI) (CA INDEX NAME)

RN 745837-09-6 USPATFULL

CN Formic acid, compd. with 5-[(2-bromophenyl)methylene]-1,5,6,7-tetrahydro-9H-pyrrolo[1,2-a]purin-9-imine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 745837-08-5 CMF C15 H12 Br N5

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 745837-10-9 USPATFULL

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-(9CI) (CA INDEX NAME)

RN 745837-11-0 USPATFULL

CN [1]Benzothieno[2,3-d]pyrrolo[1,2-a]pyrimidin-10(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3,6,7,8,9-hexahydro-(9CI) (CA INDEX NAME)

RN 745837-12-1 USPATFULL

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 745837-13-2 USPATFULL

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-3-(1,1-dimethylethyl)-7,8-dihydro-(9CI) (CA INDEX NAME)

RN 745837-14-3 USPATFULL

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-3-cyclopropyl-7,8-dihydro-(9CI) (CA INDEX NAME)

RN 745837-15-4 USPATFULL

CN Furo[2,3-d]pyrrolo[1,2-a]pyrimidin-4(6H)-imine, 8-[(2-bromophenyl)methylene]-7,8-dihydro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 745837-36-9 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-imine, 3-[(2-bromophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

IT 745837-19-8P

(preparation of pyrroloquinazolines as modulators of chemokine activity)

RN 745837-19-8 USPATFULL

CN Pyrrolo[1,2-a]thieno[2,3-d]pyrimidine-8-methanol, α -(2-bromophenyl)-4,6,7,8-tetrahydro-4-imino- (9CI) (CA INDEX NAME)

INVENTOR(S):

L67 ANSWER 49 OF 58 USPATFULL on STN

ACCESSION NUMBER: 2004:44941 USPATFULL

TITLE: Antimalarial and antiproliferative pharmacophore

models, novel tryptanthrin compounds having increased solubility, and methods of making and using thereof Nichols, Daniel A., Sparrows Point, MD, UNITED STATES

Hicks, Rickey P., Woodbridge, VA, UNITED STATES

Bhattacharjee, Apurba K., Silver Spring, MD, UNITED

STATES

| | NUMBER | KIND | DATE | | |
|--|---------------------------------|------|----------------------|------|---|
| PATENT INFORMATION: APPLICATION INFO.: | US 2004033934 US 2003-359625 | | 20040219 20030207 | (10) | < |
| | | | | (20) | , |

NUMBER DATE

PRIORITY INFORMATION: US 2002-355162P 20020209 (60) <-US 2002-396911P 20020717 (60) <--

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Suzannah K. Sundby, Esq., Smith, Gambrell & Russell,

LLP, Suite 800, 1850 M Street, NW, Washington, DC,

20036

NUMBER OF CLAIMS: 39 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 18 Drawing Page(s)

LINE COUNT: 3074

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed herein is a pharmacophore model for antimalarial activity and methods of making and using thereof. The pharmacophore comprises two hydrogen bond acceptor (lipid) functions and two hydrophobic (aromatic) functions. The pharmacophore model was made using a test set of tryptanthrin compounds which exhibit antimalarial activity. Also disclosed are tryptanthrin compounds having greater solubility and bioactivity as compared to prior art tryptanthrin compounds and methods of making and using thereof. Also disclosed are methods of treating malaria in a subject.

IT 454699-59-3

(antimalarial and antiproliferative pharmacophore models, tryptanthrin compds. having increased solubility)

RN 454699-59-3 USPATFULL

CN Indolo[2,1-b]quinazolin-12(6H)-one, 8-fluoro-4-methoxy-6-(phenylmethylene)(9CI) (CA INDEX NAME)

L67 ANSWER 50 OF 58 USPATFULL on STN

ACCESSION NUMBER: 2003:271530 USPATFULL

Indolo[2,1-b] quinazole-6,12-dione antimalarial TITLE:

compounds and methods of treating malaria therewith

INVENTOR(S):

NUMBER

Pitzer, Kevin K., Pasadena, MD, UNITED STATES Scovill, John P., Walkersville, MD, UNITED STATES Kyle, Dennis E., Gaithersburg, MD, UNITED STATES Gerena, Lucia, Silver Spring, MD, UNITED STATES

DATE ----- -----US 2003191138 A1 20031009 US 2003-384979 A1 20030310 (10) US 2003191138 PATENT INFORMATION: <--APPLICATION INFO.: <--Division of Ser. No. US 2001-850996, filed on 8 May RELATED APPLN. INFO.: 2001, GRANTED, Pat. No. US 6531487 Division of Ser. No. US 1999-407196, filed on 28 Sep 1999, GRANTED, Pat. No. US 6284772

KIND

NUMBER DATE -----

PRIORITY INFORMATION: US 1998-102399P 19980930 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Caroline Nash, Nash & Titus, LLC, 3415 Brookeville

Road, Brookeville, MD, 20833

NUMBER OF CLAIMS: 43 EXEMPLARY CLAIM: 1 LINE COUNT: 1041

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided for treating malaria parasites in vitro and in vivo by administering indolo[2,1-b]quinazoline-6,12-dione compounds of Formula I. ##STR1##

wherein A, B, C, D, E, F, G and H are independently selected from carbon and nitrogen, or A and B or C and D can be taken together to be nitrogen or sulfur, with the proviso that not more than three of A, B, C, D, E, F, G and H are other than carbon; wherein R.sub.1 through R.sub.8 are independently selected from the group consisting of, but not limited to, the halogens (F, Cl, Br, and I), alkyl groups, trifluoromethyl groups, methoxyl groups, the carboxy methyl or carboxy ethyl group (COOCH.sub.3 or COOCH.sub.2CH.sub.3), nitro, aryl, heteroaryl, cyano, amino, dialkylaminoalkyl, 1-(4-alkylpiperazinyl), and the pharmaceutically acceptable salts thereof; and wherein X is independently selected from the group consisting of any atom especially oxygen, or any side chain necessary to make the indolo[2,1-b]quinazoline-6,12-dione compound a "prodrug" as the term is understood by one of ordinary skill in the art of medicinal chemistry. In other words, a side chain having a structure where a carbon-nitrogen double bond bears substituents that make the

prodrug more water soluble and bioavailable.

IT 263239-55-0

(indologuinazoledione antimalarial compds., methods, and combinations)

RN 263239-55-0 USPATFULL

CN Indolo[2,1-b]quinazolin-12(6H)-one, 4-methoxy-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 51 OF 58 USPATFULL on STN

ACCESSION NUMBER: 2001:147979 USPATFULL

TITLE: Indolo[2,1-B] quinazole-6,12-dione antimalarial

compounds and methods of treating malaria therewith

INVENTOR(S): Pitzer, Kevin K., Pasadena, MD, United States

Scovill, John P., Walkersville, MD, United States Kyle, Dennis E., Gaithersburg, MD, United States Gerena, Lucia, Silver Spring, MD, United States

PATENT ASSIGNEE(S): The United States of America as represented by the

Secretary of the Army, Washington, DC, United States

(U.S. government)

NUMBER DATE

PRIORITY INFORMATION: US 1998-102399P 19980930 (60) <--

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Weddington, Kevin E.

LEGAL REPRESENTATIVE: Arwine, Elizabeth, Harris, Charles H.Nash & Titus, LLC

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1 LINE COUNT: 1018

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds, compositions and methods are provided for treating malaria parasites in vitro and in vivo by administering indolo[2,1-b]quinazoline-6,12-dione compounds of Formula I. ##STR1##

wherein A, B, C, D, E, F, G and H are independently selected from carbon and nitrogen, or A and B or C and D can be taken together to be nitrogen or sulfur, with the proviso that not more than three of A, B, C, D, E, F, G and 14 are other than carbon; wherein R.sub.1 through R.sub.8 are independently selected from the group consisting of, but not limited to, the halogens (F, Cl, Br, and I), alkyl groups, trifluoromethyl groups, methoxyl groups, the carboxy methyl or carboxy ethyl group (COOCH.sub.3 or COOCH.sub.2 CH.sub.3), nitro, aryl, heteroaryl, cyano, amino, dialkylaminoalkyl, 1-(4-alkylpiperazinyl), and the pharmaceutically

acceptable salts thereof; and wherein X is independently selected from the group consisting of any atom especially oxygen, or any side chain necessary to make the indolo[2,1 -b]quinazoline-6,12-dione compound a "prodrug" as the term is understood by one of ordinary skill in the art of medicinal chemistry. In other words, a side chain having a structure where a carbon-nitrogen double bond bears substituents that make the prodrug more water soluble and bioavailable.

IT 263239-55-0

(indoloquinazoledione antimalarial compds., methods, and combinations)

RN 263239-55-0 USPATFULL

CN Indolo[2,1-b]quinazolin-12(6H)-one, 4-methoxy-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

L67 ANSWER 52 OF 58 USPATFULL on STN

ACCESSION NUMBER: 86:18662 USPATFULL

TITLE: Amino derivatives of benzylidene-pyrrolo[2,1-

b]quinazolines useful for treating conditions of

allergic origin

INVENTOR(S): Doria, Gianfederico, Milan, Italy

Passarotti, Carlo, Gallarate, Italy

Corno, Maria L., Milan, Italy

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Milan, Italy (non-U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 4579847 19860401 <-APPLICATION INFO.: US 1984-681694 19841214 (6) <--

RELATED APPLN. INFO.: Continuation of Ser. No. US 1983-515646, filed on 20

Jul 1983, now abandoned

NUMBER DATE

PRIORITY INFORMATION: GB 1982-22591 19820805 <--

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Daus, Donald G. ASSISTANT EXAMINER: Rivers, D. G.

LEGAL REPRESENTATIVE: Murray and Whisenhunt

NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1,5,6
LINE COUNT: 1740

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Amino derivatives of benzylidene-Pyrrolo[2,1-b] Quinazolines are provided, together with pharmaceutical compositions containing them. The compounds and the compositions have pharmaceutical utility and are

particularly useful as anti allergy agents.

IT 85743-12-0

RN 85743-15-3 USPATFULL CN Pyrrolo[2,1-b]quinazolin-9(1H)

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-16-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-17-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4methylphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH Me

RN 85743-18-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-19-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-20-0 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH OMe

RN 85743-21-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85743-22-2 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 N CH

RN 85743-23-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-(1,3-benzodioxol-5-ylmethylene)-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-34-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-35-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3,4-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-36-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[[3-(trifluoromethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

RN 90262-37-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,5-dimethylphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 N
 CH
 Me
 Me

RN 90262-38-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2-ethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-39-8 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-ethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-40-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-ethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-41-2 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH C

RN 90262-42-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-chlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-43-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3-ethoxy-2-methoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-44-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,5-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-45-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-diethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 90262-46-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,4-dichlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$_{\text{H}_{2}\text{N}}$$
 $_{\text{N}}$ $_{\text{CH}}$ $_{\text{C1}}$

RN90262-47-8 USPATFULL

Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,6-CN dichlorophenyl) methylene] -2, 3-dihydro- (9CI) (CA INDEX NAME)

$$H_{2N}$$
 CH $C1$

IT 90262-33-2P

(preparation and antiasthmatic activity of)

RN 90262-33-2 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

90262-48-9P 90262-49-0P 90262-50-3P 90262-51-4P 90262-52-5P 90262-53-6P 90262-54-7P 90262-55-8P 90262-56-9P 90262-57-0P 90262-58-1P 90262-59-2P 90262-60-5P 90262-61-6P 90262-62-7P 90262-63-8P 90262-64-9P 90262-65-0P 90262-66-1P 90262-67-2P 90262-68-3P 90262-69-4P 90262-70-7P 90262-71-8P 90262-72-9P 90262-73-0P 90262-74-1P 90262-75-2P 90262-76-3P 90262-77-4P 90262-78-5P 90262-79-6P 90262-80-9P 90262-81-0P 90262-82-1P 90262-83-2P 90262-84-3P 90262-85-4P 90288-13-4P (preparation and saponification of) RN

90262-48-9 USPATFULL

CN

Acetamide, 2-chloro-N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90262-49-0 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90262-50-3 USPATFULL

RN 90262-51-4 USPATFULL

CN Benzoic acid, 4-[(1,2-dihydro-6-nitro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-52-5 USPATFULL

CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-53-6 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-54-7 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-55-8 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-56-9 USPATFULL

CN Butanoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-57-0 USPATFULL

CN Propanoic acid, 3-oxo-3-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-58-1 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester, (E,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90262-59-2 USPATFULL

CN Benzoic acid, 3-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-60-5 USPATFULL

CN Benzoic acid, 4-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-61-6 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-62-7 USPATFULL

RN 90262-63-8 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-64-9 USPATFULL

RN 90262-65-0 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-66-1 USPATFULL

RN 90262-67-2 USPATFULL

CN Acetic acid, [[3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-68-3 USPATFULL

RN 90262-69-4 USPATFULL

CN Acetic acid, [[3-[(2,3-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA
INDEX NAME)

RN 90262-70-7 USPATFULL

CN Acetic acid, [[3-[(3,4-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA
INDEX NAME)

RN 90262-71-8 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[[3-(trifluoromethyl)phenyl]methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-72-9 USPATFULL

CN Acetic acid, [[3-(1,3-benzodioxol-5-ylmethylene)-1,2,3,9-tetrahydro-9oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA
INDEX NAME)

RN 90262-73-0 USPATFULL

CN Acetic acid, [[3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA
INDEX NAME)

RN 90262-74-1 USPATFULL

RN 90262-75-2 USPATFULL

RN 90262-76-3 USPATFULL

CN Acetic acid, [[3-[(4-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-77-4 USPATFULL

RN 90262-78-5 USPATFULL

RN 90262-79-6 USPATFULL

CN Acetic acid, [[3-[(3-ethoxy-2-methoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-80-9 USPATFULL

CN Acetic acid, [[3-[(2,5-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-81-0 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[(3,4,5-trimethoxyphenyl)methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-82-1 USPATFULL

CN Acetic acid, [[3-[(2,3-diethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-83-2 USPATFULL

CN Acetic acid, [[3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-84-3 USPATFULL

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 90262-85-4 USPATFULL

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90288-13-4 USPATFULL

CN Acetic acid, [[3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-, ethyl ester (9CI) (CA

INDEX NAME)

IT 90262-86-5P 90263-40-4P 90263-41-5P

(preparation of)

RN 90262-86-5 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, methyl ester, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-40-4 USPATFULL

CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$H_2N$$
 CH CO_2H

HCl

RN 90263-41-5 USPATFULL

CN Benzoic acid, 4-[(6-amino-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene)methyl]- (9CI) (CA INDEX NAME)

90262-88-7P 90262-89-8P 90262-90-1P 90262-91-2P 90262-92-3P 90262-93-4P 90262-94-5P 90262-95-6P 90262-96-7P 90262-97-8P 90262-98-9P 90262-99-0P 90263-00-6P 90263-01-7P 90263-02-8P 90263-03-9P 90263-04-0P 90263-05-1P 90263-06-2P 90263-07-3P 90263-08-4P 90263-09-5P 90263-10-8P 90263-11-9P 90263-12-0P 90263-13-1P 90263-14-2P 90263-15-3P 90263-16-4P 90263-17-5P 90263-18-6P 90263-19-7P 90263-20-0P 90263-21-1P 90263-22-2P 90263-23-3P 90263-24-4P 90263-25-5P 90263-26-6P 90263-27-7P 90263-28-8P 90263-29-9P 90263-30-2P 90263-31-3P 90263-32-4P 90263-33-5P 90263-34-6P 90263-35-7P 90263-36-8P 90263-37-9P 90263-38-0P 90263-39-1P

(preparation of, as antiasthmatic)

RN 90262-88-7 USPATFULL

CN

Acetic acid, oxo[[1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-89-8 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-90-1 USPATFULL

CN Butanoic acid, 4-oxo-4-[{1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ \text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2-\text{C}-\text{NH} \end{array}$$

Na

RN 90262-91-2 USPATFULL

CN Butanoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-92-3 USPATFULL

CN Propanoic acid, 3-oxo-3-[[1,2,3,9-tetrahydro-9-oxo-3- (phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90262-93-4 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, (E,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by ${\tt E}$ or ${\tt Z}$.

$$_{HO_2C}$$
 $_{H}$ $_{N}$ $_{N}$ $_{Ph}$

RN 90262-94-5 USPATFULL

CN Benzoic acid, 3-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-95-6 USPATFULL

CN Benzoic acid, 4-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-96-7 USPATFULL

CN Carbamic acid, [1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 90262-97-8 USPATFULL

CN Benzoic acid, 2-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90262-98-9 USPATFULL

CN 3-Cyclohexene-1-carboxylic acid, 6-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

RN 90262-99-0 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-00-6 USPATFULL

CN 2-Butenoic acid, 2,3-dichloro-4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-01-7 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-02-8 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-03-9 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-04-0 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(2-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-05-1 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-06-2 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-3-[(4-methoxyphenyl)methylene]-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 90263-07-3 USPATFULL

CN Acetic acid, [[3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-08-4 USPATFULL

CN Acetic acid, [[3-[(2-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-09-5 USPATFULL

CN Acetic acid, [[3-[(2,3-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-10-8 USPATFULL

CN Acetic acid, [[3-[(3,4-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-11-9 USPATFULL

CN Acetic acid, [[3-[(3-ethoxy-2-methoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo-(9CI) (CA INDEX NAME)

RN 90263-12-0 USPATFULL

CN Acetic acid, [[3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 90263-13-1 USPATFULL

CN Acetic acid, [[3-[(2-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-14-2 USPATFULL

CN Acetic acid, [[3-[(3-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-15-3 USPATFULL

CN Acetic acid, [[3-[(4-ethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-16-4 USPATFULL

CN Acetic acid, [[3-[(4-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-17-5 USPATFULL

CN Acetic acid, [[3-[(3-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-18-6 USPATFULL

CN Acetic acid, [[3-[(2,3-diethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-19-7 USPATFULL

CN Acetic acid, [[3-[(3,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-20-0 USPATFULL

CN Acetic acid, [[3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-21-1 USPATFULL

CN Acetic acid, [[3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-22-2 USPATFULL

CN Acetic acid, [[3-(1,3-benzodioxol-5-ylmethylene)-1,2,3,9-tetrahydro-9-...oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-23-3 USPATFULL

CN Acetic acid, oxo[[1,2,3,9-tetrahydro-9-oxo-3-[[3-(trifluoromethyl)phenyl]methylene]pyrrolo[2,1-b]quinazolin-6-yl]amino]-(9CI) (CA INDEX NAME)

RN 90263-24-4 USPATFULL

CN Acetic acid, [[3-[(2,5-dimethoxyphenyl)methylene]-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-25-5 USPATFULL

RN 90263-26-6 USPATFULL

CN Benzoic acid, 4-[[6-[(carboxycarbonyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

$$_{\text{HO}_2\text{C}-\text{C}-\text{NH}}^{\circ}$$
 $_{\text{N}}^{\circ}$ $_{\text{C}-\text{OMe}}^{\circ}$

RN 90263-27-7 USPATFULL

CN Benzoic acid, 4-[[6-[(carboxycarbonyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]- (9CI) (CA INDEX NAME)

RN 90263-28-8 USPATFULL

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90263-29-9 USPATFULL

CN Benzoic acid, 3-[[methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 90263-30-2 USPATFULL

CN Acetic acid, [methyl[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]oxo- (9CI) (CA INDEX NAME)

RN 90263-31-3 USPATFULL

CN Benzoic acid, 2-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90263-32-4 USPATFULL

CN 3-Cyclohexene-1-carboxylic acid, 6-[[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]carbonyl]-, monosodium salt, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

Na

RN 90263-33-5 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, monosodium salt, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

Na

RN 90263-34-6 USPATFULL

CN 1-Piperidinepropanamide, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90263-35-7 USPATFULL

CN 4-Morpholineacetamide, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{O} N - CH_2 - C - NH - \bigcap_{O} N - CH_2 - CH_2 - O$$

RN 90263-36-8 USPATFULL

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 90263-37-9 USPATFULL

CN Glycine, N-[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]- (9CI) (CA INDEX NAME)

RN 90263-38-0 USPATFULL

CN Benzoic acid, 4-[[6-[(3-carboxy-1-oxo-2-propenyl)amino]-1,2-dihydro-9-oxopyrrolo[2,1-b]quinazolin-3(9H)-ylidene]methyl]-, (Z,?)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

RN 90263-39-1 USPATFULL

CN 2-Butenoic acid, 4-oxo-4-[[1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

L67 ANSWER 53 OF 58 USPATFULL on STN

ACCESSION NUMBER: 84:5957 USPATFULL

TITLE: Substituted pyrrolo[2,1-b]quinazolines and

pyrido[2,1-b]quinazolines useful for the treatment of

or the prevention of gastrointestinal ulcers

INVENTOR(S): Doria, Gianfederico, Milan, Italy

Passarotti, Carlo, Gallarate, Italy

Arcari, Giuliana, Milan, Italy

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Milan, Italy (non-U.S.

corporation)

APPLICATION INFO.: US 1982-387181 19820610 (6) <--

NUMBER DATE

PRIORITY INFORMATION: GB 1981-20126 19810630 <--

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Daus, Donald G.
ASSISTANT EXAMINER: Rivers, Diana G.
LEGAL REPRESENTATIVE: Murray and Whisenhunt

NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1,13,14
LINE COUNT: 1202

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted Pyrrolo [2,1-b] and Pyrido [2, 1 b] Quinazolines are provided, together with pharmaceutical compositions, containing them. The compounds have pharmaceutical utility, and are particularly useful for the treatment of or prevention of the formation of gastrointestinal ulcers.

IT 85742-73-0P 85743-04-0P

(preparation and antiulcer activity of)

RN 85742-73-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-04-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

IT 85743-45-9P

(preparation and dehydration of)

RN 85743-45-9 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxamide, 1,2,3,9-tetrahydro-3-[(2methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 N
 CH
 Me

IT 85742-72-9P

(preparation and hydrolysis of)

RN 85742-72-9 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

IT 65636-75-1P 85743-26-6P 85743-30-2P

(preparation and reduction of)

RN 65636-75-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-26-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-1-methyl-6-nitro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-30-2 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)



85742-74-1P 85742-75-2P 85742-76-3P 85742-77-4P 85742-78-5P 85742-79-6P 85742-80-9P 85742-81-0P 85742-82-1P 85742-83-2P 85742-84-3P 85742-85-4P 85742-86-5P 85742-87-6P 85742-88-7P 85742-89-8P 85742-90-1P 85742-91-2P 85742-92-3P 85742-93-4P 85742-94-5P 85743-07-3P 85743-08-4P 85743-09-5P 85743-10-8P 85743-11-9P 85743-12-0P 85743-13-1P 85743-14-2P 85743-15-3P 85743-16-4P 85743-17-5P 85743-18-6P 85743-19-7P 85743-20-0P 85743-21-1P 85743-22-2P 85743-23-3P 85743-24-4P 85743-27-7P 85743-31-3P 85743-32-4P 85743-33-5P 85743-34-6P 85743-35-7P 85743-38-0P 85743-39-1P 85743-40-4P 85743-41-5P 85743-42-6P 85743-46-0P 85743-47-1P 85743-48-2P 85743-49-3P (preparation of) RN 85742-74-1 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-75-2 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-76-3 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,5dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-77-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-78-5 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-79-6 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(3-chlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-80-9 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,6-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX

NAME)

RN 85742-81-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85742-82-1 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dichlorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-83-2 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-(9CI) (CA INDEX NAME)

RN 85742-84-3 USPATFULL

RN 85742-85-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-86-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-87-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85742-88-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(2,6-dichlorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85742-89-8 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-90-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-91-2 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-3-[(3,4-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85742-92-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2,3,4-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-93-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(2,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85742-94-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-3-[(3,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-07-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-08-4 USPATFULL

RN 85743-09-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 5,7-dichloro-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-10-8 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-11-9 USPATFULL

CN Acetamide, N-(1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)pyrrolo[2,1-b]quinazolin-6-yl)- (9CI) (CA INDEX NAME)

RN 85743-12-0 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-13-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3,4,5-trimethoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 85743-14-2 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(3,4-dichlorophenyl)methylene]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 85743-15-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2methylphenyl)methylene] - (9CI) (CA INDEX NAME)

$$H_2N$$
 N CH Me

RN 85743-16-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-17-5 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 N CH

RN 85743-18-6 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(2-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 CH
 MeO

RN 85743-19-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(3-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-20-0 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-3-[(4-methoxyphenyl)methylene]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH OME

RN 85743-21-1 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(2,3-dimethoxyphenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 N
 CH
 OMe

RN 85743-22-2 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-[(4-fluorophenyl)methylene]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 85743-23-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-3-(1,3-benzodioxol-5-ylmethylene)-2,3-dihydro-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH O

RN 85743-24-4 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-amino-2,3-dihydro-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-27-7 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-amino-2,3-dihydro-1-methyl-3-(phenylmethylene)- (9CI) (CA INDEX NAME)

RN 85743-31-3 USPATFULL

CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-7-(hydroxymethyl)-3-[(2-methylphenyl)methylene]- (9CI) (CA INDEX NAME)

RN 85743-32-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 85743-33-5 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(4-fluorophenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-34-6 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-1-methyl-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-35-7 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-38-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-39-1 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(4-methylphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-40-4 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-3-[(3-methoxyphenyl)methylene]-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-41-5 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,4-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743-42-6 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 3-[(2,5-dimethylphenyl)methylene]-1,2,3,9-tetrahydro-9-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 85743~46-0 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carbonitrile, 1,2,3,9-tetrahydro-3-[(2-methylphenyl)methylene]-9-oxo- (9CI) (CA INDEX NAME)

RN 85743-47-1 USPATFULL

RN 85743-48-2 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-6-carboxylic acid, 2-(diethylamino)-1,2,3,9tetrahydro-9-oxo-3-(phenylmethylene)-, ethyl ester (9CI) (CA INDEX NAME)

RN 85743-49-3 USPATFULL

CN Pyrrolo[2,1-b]quinazoline-7-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-3-(phenylmethylene)-, sodium salt (9CI) (CA INDEX NAME)

Na

```
=> d ibib ed ab hitind 54
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE,
PASCAL' - CONTINUE? (Y)/N:y
L67 ANSWER 54 OF 58 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on
                    2000:544022 BIOSIS
ACCESSION NUMBER:
                    PREV200000544022
DOCUMENT NUMBER:
                    Effects of some pyrrolo(2,1-b)quinazoline-3-one derivatives
TITLE:
                    on macrophage functions.
                    Rioja, I. [Reprint author]; Terencio, M. C. [Reprint
AUTHOR (S):
                    author]; Ubeda, A. [Reprint author]; Alcaraz, M. J.
                    [Reprint author]; Tarraga, A.; Gonzalez-Tejero, A.
CORPORATE SOURCE:
                    Department of Pharmacology, University of Valencia,
                    Valencia, Spain
SOURCE:
                    Methods and Findings in Experimental and Clinical
                    Pharmacology, (July-August, 2000) Vol. 22, No. 6,
                    pp. 512. print.
                    Meeting Info.: XXIII Congress of the Spanish Society of
                    Pharmacology. Alicante, Spain. September 24-27, 2000.
                    CODEN: MFEPDX. ISSN: 0379-0355.
DOCUMENT TYPE:
                    Conference; (Meeting)
                    Conference; Abstract; (Meeting Abstract)
                    Conference; (Meeting Poster)
LANGUAGE:
                    English
                    Entered STN: 13 Dec 2000
ENTRY DATE:
                    Last Updated on STN: 11 Jan 2002
     Entered STN: 13 Dec 2000
     Last Updated on STN: 11 Jan 2002
     Immunology - General and methods
CC
                                        34502
     General biology - Symposia, transactions and proceedings
     Cytology - Animal
                         02506
     Biochemistry studies - General
                                      10060
     Pathology - Therapy
                           12512
     Pharmacology - Connective tissue, bone and collagen-acting drugs
     Pharmacognosy and pharmaceutical botany
                                               54000
\mathbf{IT}
    Major Concepts
        Immune System (Chemical Coordination and Homeostasis); Pharmacognosy
        (Pharmacology)
IT
     Chemicals & Biochemicals
        COX-2 [cyclooxygenase-2]; PGE-2 [prostaglandin E-2]: generation; iNOS
        [inducible nitric oxide synthase]; isaindigotone: antiinflammatory-drug;
        nitric oxide: generation; pyrrolo[2,1-b]quinazoline-3-one derivatives:
        antiinflammatory effect; superoxide: generation
IT
     Miscellaneous Descriptors
       Meeting Abstract; Meeting Poster
ORGN Classifier
        Cruciferae
                     25880
     Super Taxa
       Dicotyledones; Angiospermae; Spermatophyta; Plantae
    Organism Name
        Isatis indigotica: medicinal plant
     Taxa Notes
        Angiosperms, Dicots, Plants, Spermatophytes, Vascular Plants
```

ORGN Classifier

÷.

Muridae 86375

Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

RAW 264.7 cell line: murine macrophage

Taxa Notes

RN

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals,

Rodents, Vertebrates **189316-00-5** (isaindigotone)

10102-43-9 (nitric oxide)

11062-77-4 (superoxide)

363-24-6 (PROSTAGLANDIN E-2)

=> d ibib ed ab hitind 55

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' - CONTINUE? (Y)/N:y

REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT): ibib ed

L67 ANSWER 55 OF 58 SYNTHLINE COPYRIGHT 2006 PROUS SCIENCE on STN

ACCESSION NUMBER: 2003:196 SYNTHLINE

TITLE: Inhibition of leukocyte functions by the alkaloid

isaindigotone from Isatis indigotica and some new

synthetic derivatives

AUTHOR(S): Molina, P.; Tarraga, A.; Gonzalez-Tejero, A.; Rioja, I.;

Ubeda, A.; Terencio, M.C.; Alcaraz, M.J.

SOURCE: J Nat Prod (2001), 64(10), 1297

Entered STN: 14 Mar 2003

Last Updated on STN: 16 May 2006

=> d ibib ed ab hitind 56-58

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' - CONTINUE? (Y)/N:y

L67 ANSWER 56 OF 58 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

2003268916 EMBASE ACCESSION NUMBER:

Ca(2+)-independent protein kinase Cs mediate heterologous TITLE:

desensitization of leukocyte chemokine receptors

by opioid receptors.

Zhang N.; Hodge D.; Rogers T.J.; Oppenheim J.J. AUTHOR: CORPORATE SOURCE: J.J. Oppenheim, Lab. of Molecular Immunoregulation,

Intramural Research Support Program, Bldg. 560, Frederick,

MD 21702-1201, United States

SOURCE: Journal of Biological Chemistry, (11 Apr 2003) Vol. 278,

No. 15, pp. 12729-12736. .

Refs: 37

ISSN: 0021-9258 CODEN: JBCHA3

United States COUNTRY: DOCUMENT TYPE: Journal: Article

Immunology, Serology and Transplantation FILE SEGMENT: 026

> 029 Clinical Biochemistry

LANGUAGE: English English SUMMARY LANGUAGE:

ENTRY DATE:

Entered STN: 31 Jul 2003

Last Updated on STN: 31 Jul 2003

ED Entered STN: 31 Jul 2003

Last Updated on STN: 31 Jul 2003

AB Heterologous desensitization of chemokine receptors by opioids has been considered to contribute to their immunosuppressive effects. Previous studies show that Met-enkephalin, an endogenous opioid, down-regulates chemotaxis of selected chemokine receptors via phosphorylation. In the present study, we further investigated the molecular mechanism of such cross-regulation. Our data showed that preincubation with Metenkephalin inhibited both MIP-1α-mediated chemotaxis and Ca(2+) flux of monocytes in a dose-dependent manner. inhibitory effects were maximal using nanomolar concentrations of activating chemokines, a concentration found in physiological conditions. A decrease both in chemokine receptor affinity and in coupling efficiency between receptors and G protein were observed, which directly contributed to the desensitization effects. However, comparing with chemokines such as MIP-1 α and MCP-1, opioids did not elicit a calcium flux, failed to induce MIP- 1α receptors internalization, and mediated a less potent heterologous desensitization. We hypothesized that these differences might originate from the involvement of different protein kinase C (PKC) isotypes. studies, opioid-mediated down-regulation of MIP-lα receptors could be blocked by the general PKC inhibitor calphostin C, but not by the calcium-dependent classic PKC inhibitor Go6976. Western blotting analysis and immunofluorescent staining further showed that only calcium-independent PKCs were activated upon opioid stimulation. Thus, opioids achieve desensitization of chemokine receptors via a unique pathway, involving only calcium-independent PKC isotypes.

CT Medical Descriptors:

*immunoregulation

monocyte

desensitization

signal transduction

enzyme activity

enzyme activation

human

normal human

controlled study

human cell

article

RN

priority journal

Drug Descriptors:

*calcium ion

*protein kinase C

*opiate receptor: EC, endogenous compound

protein kinase C inhibitor

calphostin C

chemokine

chemokine receptor: EC, endogenous compound

monocyte chemotactic protein 1

macrophage inflammatory protein lalpha

12 (2 cyanoethyl) 6,7,12,13 tetrahydro 13 methyl 5 oxoindolo[2,3 a]pyrrolo[3,4 c]carbazole

(calcium ion) 14127-61-8; (protein kinase C) 141436-78-4; (calphostin C) 121263-19-2; (macrophage inflammatory protein lalpha) 155075-84-6; (12 (2 cyanoethyl) 6,7,12,13 tetrahydro 13 methyl 5 oxoindolo[2,3 a] pyrrolo[3,4 c]carbazole) 136194-77-9

L67 ANSWER 57 OF 58 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

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ACCESSION NUMBER: 2002405511 EMBASE

TITLE: Involvement of classical and novel protein kinase C

isoforms in the response of human Vγ9V82 T

cells to phosphate antigens.

AUTHOR: Cipriani B.; Knowles H.; Chen L.; Battistini L.; Brosnan

C.F.

CORPORATE SOURCE: Dr. C.F. Brosnan, Department of Pathology, Albert Einstein

College of Medicine, 1300 Morris Park Avenue, Bronx, NY

10461, United States. Brosnan@aecom.yu.edu

SOURCE: Journal of Immunology, (15 Nov 2002) Vol. 169, No. 10, pp.

5761-5770. . Refs: 47

ISSN: 0022-1767 CODEN: JOIMA3

COUNTRY: United States
DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 026 Immunology, Serology and Transplantation

029 Clinical Biochemistry 037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 12 Dec 2002

Last Updated on STN: 12 Dec 2002

ED Entered STN: 12 Dec 2002

Last Updated on STN: 12 Dec 2002 Human γδ T cells expressing the Vγ9Vδ2 gene AB segments are activated polyclonally by phosphoantigens found on a wide variety of pathogenic organisms. After liquid exposure, Vγ9V82 T cells proliferate and rapidly secrete large amounts of cytokines and chemokines that contribute to the innate immune response to these pathogens. Neither APCs nor costimulatory molecules are required. In this study we examined whether these phosphoantiqens activate protein kinase $C\theta$ (PKC θ). This novel PKC isoform is essential for Ag signaling through the $\alpha\beta$ TCR in a costimulation-dependent fashion. The results showed that isopentenyl pyrophosphate (IPP), a soluble phospholigand released by mycobacteria, led to the rapid and persistent activation of PKC θ in $\gamma\delta$ T cells, as determined by evidence of translocation and phosphorylation. contrast, no ligand-dependent response was detected for $PKC\alpha/\beta$ or PKC8. Using the inhibitors Go6976 and rottlerin, a role for both conventional and novel PKC isoforms in IPP-induced proliferation, CD25 expression, and cytokine and chemokine production was demonstrated. Gel-shift assays indicated that the transcription factors NF-kB and AP-1 were downstream targets of PKC activation. IPP also induced the rapid and persistent phosphorylation of extracellular signal-regulated kinases 1 and 2, p38 mitogen-activated kinase, and stress-activated kinase/c-Jun N-terminal kinase, but only an inhibitor of conventional PKCs blocked these responses. We conclude that the $\gamma\delta$ T cell response to phosphoantigens is regulated by both novel and conventional PKC isoforms, with PKC0 being more responsive to ligand stimulation and $PKC\alpha/\beta$ to growth-factor availability.

CT Medical Descriptors:

*gene expression
*T lymphocyte
pathogenesis
immune response
cytokine production
cytokine release
signal transduction
Mycobacterium

```
enzyme activation
    cell activation
    gene translocation
    phosphorylation
    antigen expression
    enzyme inhibition
    human
    normal human
    controlled study
    human cell
    article
    priority journal
    Drug Descriptors:
     *protein kinase C: EC, endogenous compound
     *phosphate: EC, endogenous compound
     *phosphoantigen: EC, endogenous compound
    cytokine: EC, endogenous compound
       chemokine: EC, endogenous compound
     isopentenyl diphosphate
     ligand
    protein kinase C alpha
    protein kinase C beta: EC, endogenous compound
    protein kinase C delta: EC, endogenous compound
       12 (2 cyanoethyl) 6,7,12,13 tetrahydro 13 methyl 5 oxoindolo[2,3
     a]pyrrolo[3,4 c]carbazole
     rottlerin
     interleukin 2 receptor: EC, endogenous compound
     transcription factor: EC, endogenous compound
     immunoglobulin enhancer binding protein: EC, endogenous compound
     transcription factor AP 1: EC, endogenous compound
    mitogen activated protein kinase 1: EC, endogenous compound
    mitogen activated protein kinase 2: EC, endogenous compound
     synaptophysin: EC, endogenous compound
     mitogen activated protein kinase: EC, endogenous compound
     stress activated protein kinase: EC, endogenous compound
     growth factor: EC, endogenous compound
     unclassified drug
     (protein kinase C) 141436-78-4; (phosphate) 14066-19-4, 14265-44-2;
     (isopentenyl diphosphate) 358-71-4; (12 (2 cyanoethyl) 6,7,12,13
     tetrahydro 13 methyl 5 oxoindolo[2,3 a]pyrrolo[3,4 c]
     carbazole) 136194-77-9; (rottlerin) 82-08-6; (mitogen activated
     protein kinase 1) 137632-07-6; (mitogen activated protein kinase 2)
     137632-08-7; (mitogen activated protein kinase) 142243-02-5; (stress
     activated protein kinase) 155215-87-5
     Go 6976
     ANSWER 58 OF 58 PASCAL COPYRIGHT 2006 INIST-CNRS. ALL RIGHTS RESERVED.
      on STN
                         2002-0240527
                                        PASCAL
                         Copyright .COPYRGT. 2002 INIST-CNRS. All rights
                         reserved.
TITLE (IN ENGLISH):
                         CCR5 antagonists: Bicyclic isoxazolidines as
```

L67

ACCESSION NUMBER:

RN

CN

COPYRIGHT NOTICE:

conformationally constrained N-1-substituted

pyrrolidines

AUTHOR: LYNCH Christopher L.; GENTRY Amy L.; HALE Jeffrey J.;

MILLS Sander G.; MACCOSS Malcolm; MALKOWITZ Lorraine; SPRINGER Martin S.; GOULD Sandra L.; DEMARTINO Julie A.; SICILIANO Salvatore J.; CASCIERI Margaret A.; DOSS George; CARELLA Anthony; CARVER Gwen; HOLMES Karen; SCHLEIF William A.; DANZEISEN Renee; HAZUDA Daria;

KESSLER Joseph; LINEBERGER Janet; MILLER Michael;

EMINI Emilio A.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research

Laboratories, PO Box 2000, Rahway, NJ 07065, United States; Department of Immunology Research, Merck Research Laboratories, PO Box 2000, Rahway, NJ 07065, United States; Department of Drug Metabolism-NMR Spectroscopy, Merck Research Laboratories, PO Box 2000, Rahway, NJ 07065, United States; Department of Antiviral Research, Merck Research Laboratories, PO

Box 4, West Point, PA 19486, United States

SOURCE: Bioorganic & medicinal chemistry letters, (2002),

12(4), 677-679 ISSN: 0960-894X

DOCUMENT TYPE: Journal

BIBLIOGRAPHIC LEVEL: Analytic

COUNTRY: United Kingdom

LANGUAGE: English

NOTE: 1/4 p. ref. et notes

AVAILABILITY: INIST-22446, 354000102178840390

UP 20020528

AB A series of CCR5 antagonists containing bicyclic isoxazolidines was generated through a nitrone mediated cycloaddition with olefins bearing the preferred pharmacophores previously described. Potent antagonists (3 and 16) were generated with enhanced affinity for the CCR5 receptor while maintaining antiviral activity against HIV.

```
=> d que 133
                QUE ABB=ON PLU=ON ANDERSKEWITZ, R?/AU
L19
                QUE ABB=ON PLU=ON DOLLINGER, H?/AU
L20
L21
                QUE ABB=ON PLU=ON HEINE, C?/AU
L22
                QUE ABB=ON PLU=ON POUZET, P?/AU
                QUE ABB=ON PLU=ON BOUYSSOU, T?/AU
L23
                QUE ABB=ON PLU=ON BIRKE, F?/AU
L24
                QUE ABB=ON PLU=ON (BOEHRINGER OR INGELHEIM) / PA, CS, SO
L25
            219 SEA FILE=HCAPLUS ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR
L26
                L23 OR L24)
                OUE ABB=ON PLU=ON ?PYRROL? (4A) ?AZOL?
L27
              2 SEA FILE=HCAPLUS ABB=ON PLU=ON L26 AND L27
L28
             99 SEA FILE=HCAPLUS ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR
L29
                L23 OR L24) AND L25
                QUE ABB=ON PLU=ON ?CHEMOKIN? OR (CHEMO(W)KIN?)
L30
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L31
             7 SEA FILE=HCAPLUS ABB=ON PLU=ON (L29 OR L31) AND L30
L32
              7 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 OR L32
L33
=> d que 152
                OUE ABB=ON PLU=ON ANDERSKEWITZ, R?/AU
L19
                OUE ABB=ON PLU=ON DOLLINGER, H?/AU
L20
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L21
                QUE ABB=ON PLU=ON POUZET, P?/AU
L22
                QUE ABB=ON PLU=ON BOUYSSOU, T?/AU
L23
                QUE ABB=ON PLU=ON BIRKE, F?/AU
L24
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L49
                OR L24)
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L50
                (CHEMO/BIX (W) KIN?/BIX))
L51
              6 SEA FILE=WPIX ABB=ON PLU=ON L49 AND (?PYRROL?/BIX(4A)?AZOL?/B
                IX)
L52
             11 SEA FILE-WPIX ABB-ON PLU-ON L50 OR L51
=> d his 160
     (FILE 'MEDLINE, BIOSIS, EMBASE, CABA, LIFESCI, DRUGU, DRUGB, VETU, VETB,
     SCISEARCH, CONF, CONFSCI, DISSABS' ENTERED AT 11:47:28 ON 05 JUN 2006)
L60
             10 S L58 OR L59
=> d que 160
                QUE ABB=ON PLU=ON ANDERSKEWITZ, R?/AU
L19
                    ABB=ON PLU=ON DOLLINGER, H?/AU
ABB=ON PLU=ON HEINE, C?/AU
ABB=ON PLU=ON POUZET, P?/AU
L20
                QUE
L21
                QUE
L22
                QUE ABB=ON
                             PLU=ON BOUYSSOU, T?/AU
                    ABB=ON
L23
                QUE
                             PLU=ON BIRKE, F?/AU
L24
                QUE ABB=ON
                QUE ABB=ON PLU=ON ?PYRROL?(4A)?AZOL?
QUE ABB=ON PLU=ON ?CHEMOKIN? OR (CHEMO(W)KIN?)
L27
L30
            563 SEA (L19 OR L20 OR L21 OR L22 OR L23 OR L24)
L57
L58
             9 SEA L57 AND L30
L59
              1 SEA L57 AND L27
L60
             10 SEA L58 OR L59
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=> d his 165

(FILE 'PASCAL, JICST-EPLUS' ENTERED AT 11:54:17 ON 05 JUN 2006)
2 S L64 AND (L27 OR L30)

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=> d que 165
               QUE ABB=ON PLU=ON ANDERSKEWITZ, R?/AU
L19
L20
               QUE ABB=ON PLU=ON DOLLINGER, H?/AU
               QUE ABB=ON PLU=ON HEINE, C?/AU
L21
               QUE ABB=ON PLU=ON POUZET, P?/AU
L22
               QUE ABB=ON PLU=ON BOUYSSOU, T?/AU
L23
               QUE ABB=ON PLU=ON BIRKE, F?/AU
L24
L27
               QUE ABB=ON PLU=ON ?PYRROL? (4A) ?AZOL?
L30
               QUE ABB=ON PLU=ON ?CHEMOKIN? OR (CHEMO(W)KIN?)
L64
            68 SEA (L19 OR L20 OR L21 OR L22 OR L23 OR L24)
L65
             2 SEA L64 AND (L27 OR L30)
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=> dup rem 133 152 160 165

DUPLICATE IS NOT AVAILABLE IN 'CONF'.

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FILE 'HCAPLUS' ENTERED AT 12:07:01 ON 05 JUN 2006

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FILE 'PASCAL' ENTERED AT 12:07:01 ON 05 JUN 2006

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PROCESSING COMPLETED FOR L33
PROCESSING COMPLETED FOR L52
PROCESSING COMPLETED FOR L60
PROCESSING COMPLETED FOR L65
L68

15 DUP REM L33 L52 L60 L65 (15 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE HCAPLUS
ANSWERS '8-13' FROM FILE WPIX

=> file stnguide

L65

FILE 'STNGUIDE' ENTERED AT 12:07:08 ON 05 JUN 2006
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

ANSWERS '14-15' FROM FILE MEDLINE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 2, 2006 (20060602/UP).

=> d ibib ed ab 1-15
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, WPIX, MEDLINE' - CONTINUE? (Y)/N:y

L68 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:472116 HCAPLUS

DOCUMENT NUMBER: 143:26498

TITLE: Preparation of novel piperidine-substituted indoles as

CCR3 modulators

INVENTOR(S): Martyres, Domnic; Anderskewitz, Ralf;

Dollinger, Horst; Pouzet, Pascale; Birke, Franz; Bouyssou, Thierry

PATENT ASSIGNEE(S): Boehringer Ingelheim International

G.m.b.H., Germany; Boehringer

Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | KIND DATE | | | APPLICATION NO. | | | | | DATE | | | | | | |
|------------------------|------|------|-----------|-----|-----|-----------------|------|---------------|-----|------|-------|------------|-----|-----|-----|------|-----|
| | | | | | | _ | | | | | | | | | | | |
| WO | 2005 | 0495 | 59 | | A2 | A2 20050602 | | | 1 | WO 2 | 004-1 | EP12' | 775 | | 20 | 0041 | 111 |
| WO | 2005 | 0495 | 59 | | A3 | | 2006 | 0413 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | ŪĠ, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LU, | MC, | NL, | PL, | PT, | RO, |
| | | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, |
| | | NΕ, | SN, | TD, | TG | | | | | | | | | | | | |
| US | 2005 | 1539 | 79 | | A1 | | 2005 | 0714 | 1 | US 2 | 004- | 9900 | 59 | | 20 | 0041 | 116 |
| PRIORITY APPLN. INFO.: | | | | | | | | EP 2003-26170 | | | | A 20031117 | | | | | |
| | | | | | | US 2003-528619P | | | | | 19P | P 20031211 | | | | | |

OTHER SOURCE(S): MARPAT 143:26498

ED Entered STN: 03 Jun 2005

The title compds. I [R1 = (un) substituted aryl, het or a annelated species AB thereof (wherein het = heterocyclic ring and the annelated species = aryl-het, het-aryl or het-het-annelations); R5 = alkyl, alkoxy, acyloxy, aralkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, thioalkyl, halo, NO2, CN; R6 = alkyl, alkoxy, acyloxy, aralkyl, cycloalkyl, haloalkyl, thioalkyl, halo etc.; A = cycloalkylalkylene, alkylene, optionally substituted with halogen or OH; B = aryl or het; DE = CHCH2 or C:CH; XWV = NC:CR7 or C:CNR7; R7 = H, alkyl; Y = CF2, NR4, O, S(O)n (R4 = H, alkyl, cycloalkyl, etc.); i, j = 0-2 (wherein 0 < i+j < 4); n = 0-2; m = 0-4], useful as agonists or antagonists of CCR-3 (no data), were prepared E.g., a multi-step synthesis of II, starting from p-fluorothiophenol and 1,3-dibromopropane, is given. The present invention also provides pharmaceutical compns. comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compds. I or a pharmaceutically acceptable salt thereof.

L68 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

```
2005:182651 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          142:280209
                          Preparation of piperidine-substituted benzimidazoles
TITLE:
                         as CCR-3 receptor modulators
INVENTOR (S):
                         Anderskewitz, Ralf; Martyres, Domnic;
                         Dollinger, Horst; Pouzet, Pascale;
                         Birke, Franz; Bouyssou, Thierry
PATENT ASSIGNEE(S):
                         Boehringer Ingelheim International
                          GmbH, Germany; Boehringer Ingelheim
                          Pharma GmbH & Co. Kg
                          PCT Int. Appl., 33 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                 DATE
                                            APPLICATION NO.
                                                                     DATE
     _____
                         ----
                                 -----
                                             _____
     WO 2005019203
                                           WO 2004-EP9292
                          A1
                                 20050303
                                                                     20040819
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2536787
                          AA
                                 20050303
                                             CA 2004-2536787
                                                                     20040819
     EP 1660476
                          A1
                                 20060531
                                             EP 2004-764276
                                                                     20040819
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     US 2005124659
                          A1
                                 20050609
                                             US 2004-926123
                                                                     20040823
PRIORITY APPLN. INFO.:
                                             EP 2003-18705
                                                                  Α
                                                                     20030825
                                             US 2003-504823P
                                                                  Р
                                                                     20030922
                                             WO 2004-EP9292
                                                                  W
                                                                     20040819
OTHER SOURCE(S):
                         CASREACT 142:280209; MARPAT 142:280209
     Entered STN: 04 Mar 2005
ED
AB
     The title compds. I [R1 = aryl, heterocyclyl or a annulated species
     thereof, optionally substituted with R2 = alkyl, cycloalkyl, haloalkyl,
     etc.; R5 = haloalkyl; R6 = alkyl, alkoxy, acyloxy, etc.; A = alkylene
     optionally substituted with alkyl, halo, OH; B = aryl, heterocyclyl; Y =
     bond, CH2, CF2, etc.; i, j = 1-3; m = 0-4], useful for prevention and/or
     treatment of diseases wherein the activity of a CCR-3 receptor is
     involved, were prepared E.g., a multi-step synthesis of II.HCl, starting
     from 2,5-difluoronitrobenzene and 1-benzyl-4-aminopiperidine, was given.
     Compds. of the invention were evaluated for binding to CCR-3 receptors,
     e.g., II possessed a Ki of 100 nM in binding assays. The present
     invention also provides pharmaceutical compns. comprising a
     pharmaceutically acceptable carrier and a therapeutically effective amount
     of at least one of the compds. I or a pharmaceutically acceptable salt
     thereof.
REFERENCE COUNT:
                                THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                         3
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

L68 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3 ACCESSION NUMBER: 2005:33074 HCAPLUS

DOCUMENT NUMBER: 142:114070

TITLE: Preparation of novel N-(heterobicycloalkane)-

substituted indoles or hetero derivatives for treating

or preventing CCR-3 receptor-related diseases

INVENTOR(S): Anderskewitz, Ralf; Martyres, Domnic;

Dollinger, Horst; Pouzet, Pascale; Birke, Franz; Bouyssou, Thierry

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH &

Co.KG, Germany

SOURCE: Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                      KIND DATE
                                        APPLICATION NO.
    -----
                      ----
                       A1 20050112 EP 2003-15434
    EP 1496058
                                                               20030709
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                     AA
                            20050120 CA 2004-2531749 20040706
    CA 2531749
    WO 2005005425
                       A1
                              20050120 WO 2004-EP7356
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    EP 1648888
                        A1
                              20060426
                                        EP 2004-740684
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
    US 2005014782
                       A1 20050120
                                         US 2004-886973
                                                                20040708
PRIORITY APPLN. INFO.:
                                          EP 2003-15434
                                                           A 20030709
                                          US 2003-500513P P 20030905
WO 2004-EP7356 W 20040706
```

OTHER SOURCE(S): MARPAT 142:114070

ED Entered STN: 14 Jan 2005

The title compds. I [A = C2-C8 alkylene optionally substituted with halide or OH; B = aryl, heteroaryl ring; R1 = aryl, heteroaryl, annulated heteroaryl, etc.; R5 = C1-C6 alkyl, C1-C6 alkoxy, C1-C6 aralkyl, C3-C6 cycloalkyl, halide, NO2, etc.; X = N, CH, CR6; Y = CF2, NR4, O, S(O)n; n = 0, 1, 2; T, U, V, Z = independently (CH2)x, x = 0, 1, 2; W = C1-C3 alkylene, CH2-Z-CH2; Z = O, S, NR4; R4 = H, C1-C6 alkyl, C3-C8-cycloalkyl, (C3-C8-cycloalkyl)-C1-C6-alkyl, etc.; R6 = C1-C6 alkyl, C1-C6 alkoxy, C3-C6 cycloalkyl, OR3, SR3, cyano, COR3, SO2R3, aryl, etc.; R3 = H, C1-C6 alkyl, C3-C8-cycloalkyl, (C3-C8-cycloalkyl)-C1-C6-alkyl; etc.] were prepared to be used for treating or preventing diseases related to the CCR-3 receptor. Thus, 4-FC6H4CF2(CH2)3Br was reacted with

(azabicyclooctyl)benzimidazole II to give alkylated derivative III. The binding constant, Ki, for III was 10 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2005:74680 HCAPLUS

DOCUMENT NUMBER: 142:212050

TITLE: Pyrrolidinohydroquinazolines--a novel class of CCR3

modulators

AUTHOR(S): Anderskewitz, Ralf; Bauer, Rolf; Bodenbach,

Gisela; Gester, Dirk; Gramlich, Bernd; Morschhaeuser,

Gerd; Birke, Franz W.

CORPORATE SOURCE: Boehringer Ingelheim Pharma GmbH

and Co. KG, Biberach an der Riss, D-88397, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(3), 669-673

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:212050

ED Entered STN: 28 Jan 2005

AB A novel class of CCR3 modulators is described. Starting with lead compound I (Ki: 110 nM), which turned out to be an antagonist of eotaxin at the CCR3 receptor, further optimization led to compound 8b (Ki: 28 nM), which

surprisingly proved to be an agonist.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2004:696376 HCAPLUS

DOCUMENT NUMBER: 141:225527

TITLE: Preparation of pyrroloquinazolines as modulators of

chemokine activity

INVENTOR(S): Anderskewitz, Ralf; Dollinger, Horst; Heine, Claudia; Pouzet, Pascale

Arielle Jane-josee; Birke, Franz;

Bouyssou, Thierry

PATENT ASSIGNEE(S): Boehringer Ingelheim International

GmbH, Germany; Boehringer Ingelheim

Pharma GmbH & Co. Kg PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

SOURCE:

| PATENT NO. | | | | | KIN | ND DATE | | | APPLICATION NO. | | | | | | DATE | | |
|------------|---------------|------|-----|-----|-----|------------|------|------|-----------------|------|-------|------|-----|-----|----------|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| WO | WO 2004072074 | | | | | . 20040826 | | | 1 | WO 2 | 004-1 | EP10 | 43 | | 20040205 | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AT, | BE, |
| | | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, |
| | | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, |
| | | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | | • | | | | | | |
| US | 2005 | 0271 | 22 | | A1 | | 2005 | 0203 | 1 | US 2 | 004- | 7717 | 56 | | 2 | 0040 | 204 |
| CA | 2515 | 730 | | | AA | | 2004 | 0826 | (| CA 2 | 004- | 2515 | 730 | | 2 | 0040 | 205 |
| EP | 1594 | 873 | | | A1 | | 2005 | 1116 | : | EP 2 | 004- | 7083 | 47 | | 2 | 0040 | 205 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | ΑL, | TR, | BG, | CZ, | EE, | HU, | SK | |
| JP | 2006 | 5150 | 02 | | T2 | | 2006 | 0518 | , | JP 2 | 005- | 5184 | 17 | | 2 | 0040 | 205 |

PRIORITY APPLN. INFO.: EP 2003-3007 A 20030212
US 2003-499529P P 20030902
WO 2004-EP1043 W 20040205

OTHER SOURCE(S): MARPAT 141:225527

ED Entered STN: 26 Aug 2004

The title compds. [I; R1, R2 = H, alkyl, alkenyl, cycloalkyl, etc.; or R1 AB and R2 together with the interjacent carbon atom form (un) substituted 3-8 membered cycloalkyl; or R1 and R2 form together :NR4; R3 = H, alkyl, alkenyl, aryl, etc.; R4 = H, CO2R5, COR5, CN, etc.; R5 = H, alkyl, cycloalkyl, aryl, etc.; or R2 and R3 together with the interjacent group form 5-8 membered ring; or R3 and R4 together with the interjacent group form 5-8 membered ring; E1, E2 = H or taken together form a double bond; X = H, halo, alkyl, cycloalkyl, aryl, etc.; the ring A may be substituted; Ar1, Ar2 = (un)substituted 6-10 membered homoarom. ring, 5-10 membered heteroarom. ring containing up to three heteroatoms selected from N, O and S; n = 1-4] and their pharmaceutically acceptable salts, which are effective modulators of chemokine activity, were prepared Thus, reacting 2-aminobenzylamine with γ -butyrolactone followed by treatment of the reaction mixture with POCl3, and then reacting the resulting 1,2,3,9tetrahydropyrrolo[2,1-b]quinazoline with 2-bromobenzaldehyde afforded 3-(2-bromobenzylidene)-1,2,3,9tetrahydropyrrolo[2,1-b] quinazoline which showed Ki in the range 1-100 nM against CCR-3 receptor binding. The pharmaceutical composition comprising the compound I is claimed.

L68 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2004:269868 HCAPLUS

DOCUMENT NUMBER: 140:303415

TITLE: Preparation of 1-phenyl-1,2-diaminoethane derivatives

as modulators of the chemokine receptor

activity

INVENTOR(S): Dollinger, Horst; Birke, Franz;

Pouzet, Pascale Arielle Jane-Josee; Cereda,

Enzo; Quai, Monica

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH &

Co. KG, Germany

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------------------------|------|----------|-----------------|---|----------|
| | | | | - | |
| US 2004063779 | A1 | 20040401 | US 2003-614363 | | 20030707 |
| PRIORITY APPLN. INFO.: | | | EP 2002-425454 | Α | 20020710 |
| | | | US 2002-412910P | P | 20020923 |

OTHER SOURCE(S): MARPAT 140:303415

ED Entered STN: 02 Apr 2004

AB The title compds. [I; R11-R15 = H, halo, alkyl, alkoxy, etc.; R21-R25 = H, halo, alkyl, alkoxy, etc.; R1, R2 = H, alkyl, alkenyl, Ph, etc.; or NR1R2 = 5-7 membered (un)saturated heterocyclyl which optionally contain 1-2 heteroatoms selected from O, N, S; A = (CH2)2, CONH, COCH2], useful for the treatment and/or prevention of a disease, wherein the activity of a CCR3 receptor is involved, were prepared and formulated. E.g., a 4-step synthesis of I [A = (CH2)2; NR1R2 = 4-cyclohexylpiprazin-1-yl; R11, R12, R14, R15 = H; R13 = MeO; R21, R23, R25 = H; R22, R24 = Me], starting from 4-methoxybenzaldehyde and 1-cyclohexylpiperazine, was given. All the exemplified compds. I showed Ki of 0.05-1.5 μM in the CCR3 receptor

binding protocol.

L68 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:123158 HCAPLUS

DOCUMENT NUMBER:

144:212775

TITLE:

Preparation of alkyl- and piperidine-substituted

benzimidazoles as CCR3 modulators

INVENTOR (S):

Anderskewitz, Ralf; Martyres, Domnic;

Birke, Franz; Bouyssou, Thierry

PATENT ASSIGNEE(S):

Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim

Pharma Gmbh & Co. KG

SOURCE:

PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| P | PATENT NO. | | | KIND DATE | | | | APPLICATION NO. | | | | | DATE | | | | |
|--------|---------------|------|-----|-------------|-----|------|------|-----------------|-------|-------|------|-------|------|------|-----|------|-----|
| W(| WO 2006013073 | | | A1 20060209 | | | 1 | WO 2 | 005-1 | EP82: | 94 | | 20 | 0050 | 730 | | |
| | W: | ΑE, | AG, | АL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KM, | ΚP, | KR, | ΚZ, |
| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, |
| | | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, |
| | | SL, | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, |
| | | ZA, | ZM, | ZW | | | | | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | ΗU, | ΙE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | | | | | | | | |
| U | S 2006 | 0305 | 90 | | A1 | | 2006 | 0209 | 1 | US 2 | 005- | 1915 | 39 | | 2 | 0050 | 728 |
| PRIORI | | | | | | EP 2 | 004- | 1869 | 0 | i | A 20 | 00408 | 806 | | | | |
| OTHER | SOURCE | (S): | | | MAR | PAT | 144: | 2127 | 75 | | | | | | | | |
| ਦਾ ਹਵ | ntered | h 20 | 0.6 | | | | | | | | | | | | | | |

Entered STN: 10 Feb 2006

The title compds. I [R1 = (un) substituted aryl, heterocyclyl or annelated species; R5 = alkyl; R6 = alkyl, alkoxy, acyloxy, etc.; A = alkylene (optionally substituted with alkyl, halo, OH); B = aryl, heterocyclyl; Y = CF3, NR4, O, SOn; n = 0-2; m = 0-4; and their pharmaceutically acceptable salts], useful for the prevention of diseases in which CCR3 activity modulators have a therapeutic benefit, were prepared E.g., a multi-step synthesis of II.HCl, starting from 2,5-difluoronitrobenzene and 1-benzyl-4-aminopiperidine, was given. The present invention also provides pharmaceutical compns. comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compds. I or a pharmaceutically acceptable salt thereof.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 8 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER:

2006-154164 [16] WPIX

DOC. NO. CPI:

C2006-051806

2

TITLE:

New benzimidazole-derivatives, useful for treatment and prevention of inflammatory diseases e.g. asthma and

allergic diseases, are chemokine receptor

activity modulators.

DERWENT CLASS:

B02

INVENTOR(S): ANDERSKEWITZ, R; BIRKE, F; BOUYSSOU, T; MARTYRES, D

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM INT GMBH; (BOEH) BOEHRINGER

INGELHEIM PHARMA GMBH & CO KG

COUNTRY COUNT: 111

PATENT INFORMATION:

| PATENT NO | KIND DATE | WEEK LA | A PG |
|--------------------------------|-------------|----------------|--|
| US 2006030590 WO 2006013073 | | · · · | 14 |
| RW: AT BE BG | BW CH CY CZ | DE DK EA EE ES | FI FR GB GH GM GR HU IE IS IT PT RO SD SE SI SK SL SZ TR TZ |
| UG ZM ZW | | | N BY BZ CA CH CN CO CR CU CZ DE |
| DK DM DZ | EC EE EG ES | FI GB GD GE GH | H GM HR HU ID IL IN IS JP KE KG |
| NO NZ OM | PG PH PL PT | | E SG SK SL SM SY TJ TM TN TR TT |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---------------|------|----------------|----------|
| | | | |
| US 2006030590 | A1 | US 2005-191539 | 20050728 |
| WO 2006013073 | A1 | WO 2005-EP8294 | 20050730 |

PRIORITY APPLN. INFO: EP 2004-18690 20040806

ED 20060308

AB US2006030590 A UPAB: 20060308

NOVELTY - Benzimidazole derivatives (I) are new.

 ${\tt DETAILED}$ <code>DESCRIPTION</code> - <code>Benzimidazole-derivatives</code> of formula (I) and their salts are new.

R1 = aryl, het or their annelated species het-aryl, aryl-het or het-het (all optionally substituted by 1-3 R2);

het = heterocyclic ring;

R2 = T1;

T1 = 1-6C alkyl, 3-6C cycloalkyl, 1-6C haloalkyl, 1-6C aralkyl, halogen, CN, C(O)OR3, C(O)R3, C(O)NR3R4, NR3R4, NR3C(O)R4, NR3SO2R4, OR3, NO2, SR3, S(O)R3, SO2R3 or SO2NR3R4;

R3, R4 = H or 1-6C alkyl;

R5 = 1-6C alkyl;

R6 = T1, 1-6C alkoxy, 1-6C acyloxy, 1-6C thioalkyl, aryl or het; A = 2-8C alkylene (optionally substituted by 1-3C alkyl, halogen or OH);

B1 = aryl or het;

Y = CF2, NR4, O or S(0)n;

n = 0-2;

m = 0-4.

ACTIVITY - Antiinflammatory; Antiasthmatic; Antiallergic; Immunosuppressive; Antirheumatic; Antiarthritic; Antiarteriosclerotic; Respiratory-Gen.; Gastrointestinal-Gen.; Dermatological; Antipsoriatic; Neuroprotective; Antithyroid; Antidiabetic; Nephrotropic; Vasotropic; Antiulcer; Cytostatic; Vulnerary; Hemostatic; Antibacterial; Antiparasitic; Virucide; Anti-HIV.

 $\begin{tabular}{ll} {\tt MECHANISM OF ACTION - Chemokine} & {\tt receptor (e.g. CCR5)} \\ {\tt activity modulator.} \end{tabular}$

Test details are described but no results for the specific compounds are given. In general, (I) showed IC50 value at most 10 mM.

USE - For preparation of a medicament for prevention or treatment of diseases in which CCR3 activity modulators have a therapeutic benefit (all claimed); as modulators of chemokine receptor activity; as agent for the treatment and prevention of inflammatory diseases e.g. asthma and allergic diseases, autoimmune pathologies (e.g. rheumatoid arthritis and atherosclerosis); for treatment of e.g. respiratory allergic diseases such as allergic rhinitis, hypersensitivity lung diseases, hypersensitivity pneumonitis, eosinophilic cellulitis (e.g. Well's syndrome), eosinophilic pneumonias (e.g., Loeffler's syndrome, chronic eosinophilic pneumonia), eosinophilic fasciitis (e.g. Shulman's syndrome), delayed-type hypersensitivity, interstitial lung diseases (ILD, e.g. idiopathic pulmonary fibrosis or ILD associated with rheumatoid arthritis, systemic lupus erythematosus, ankylosing spondylitis, systemic sclerosis, Sjogren's syndrome, polymyositis or dermatomyositis); systemic anaphylaxis or hypersensitivity responses, drug allergies (e.g. to penicillin or cephalosporins), eosinophilia-myalgia syndrome due to ingestion of contaminated tryptophan, insect sting allergies; autoimmune diseases such as psoriatic arthritis, multiple sclerosis, systemic lupus erythematosus, myasthenia gravis, juvenile onset diabetes; glomerulonephritis, autoimmune thyroiditis, Behcet's disease; graft rejection (e.g. in transplantation) including allograft rejection or graft versus-host disease; inflammatory bowel diseases such as Crohn's disease and ulcerative colitis; spondyloarthropathies; scleroderma; psoriasis (including T-cell mediated psoriasis) and inflammatory dermatoses such as dermatitis, eczema, atopic dermatitis, allergic contact dermatitis, urticaria; vasculitis (e.g., necrotizing, cutaneous and hypersensitivity vasculitis); eosinophilic myositis, eosinophilic fasciitis; cancers with leukocyte infiltration of the skin or organs, reperfusion injury, hematologic malignancies, cytokine-induced toxicity (e.g. septic or endotoxic shock), polymyositis, dermatomyositis and infectious diseases or conditions of human or other species e.g. HIV, AIDS, viral infections, parasitic diseases; immunoregulatory disorders or diseases.

ADVANTAGE - (I) promotes at least one function of the mammalian chemokine receptor (e.g. human chemokine) and modulates chemokine receptor activity. Dwq.0/0

L68 ANSWER 9 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

2003-767778 [72] ACCESSION NUMBER: WPIX

DOC. NO. CPI: C2003-211050

Use of leukotriene antagonists, preferably benzamidine TITLE:

derivatives, for treatment or prophylaxis of respiratory diseases in animals, e.g. chronic cough,

obstructive pulmonary disease or bronchitis.

DERWENT CLASS: B05 C02 C03

INVENTOR (S): BIRKE, F; MATZEK, K

(BOEH) BOEHRINGER INGELHEIM PHARMA GMBH & CO KG PATENT ASSIGNEE(S):

COUNTRY COUNT: 105

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|-----------|------|------|------|----|----|
| | | | | | |

WO 2003080037 A2 20031002 (200372)* GE

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS

LU MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU

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DE 10213350
               A1 20031016 (200376)
US 2003225004
               A1 20031204 (200380)
AU 2003212375
               A1 20031008 (200432)
EP 1490042
               A2 20041229 (200502) GE
    R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LT LU LV
       MC MK NL PT RO SE SI SK TR
US 6921752
               B2 20050726 (200549)
JP 2005529085
               W 20050929 (200568)
                                           30
TW 2004007109 A 20040516 (200628)
```

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE | | |
|---------------|----------------|------------------|----------|--|--|
| WO 2003080037 | A2 | WO 2003-EP3021 | 20030324 | | |
| DE 10213350 | A1 | DE 2002-10213350 | 20020326 | | |
| US 2003225004 | A1 Provisional | US 2002-385147P | 20020603 | | |
| | | US 2003-395955 | 20030324 | | |
| AU 2003212375 | A1 | AU 2003-212375 | 20030324 | | |
| EP 1490042 | A2 | EP 2003-708263 | 20030324 | | |
| | | WO 2003-EP3021 | 20030324 | | |
| US 6921752 | B2 Provisional | US 2002-385147P | 20020603 | | |
| | | US 2003-395955 | 20030324 | | |
| JP 2005529085 | W | JP 2003-577866 | 20030324 | | |
| | | WO 2003-EP3021 | 20030324 | | |
| TW 2004007109 | A | TW 2003-106507 | 20030324 | | |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO | | | | | |
|---------------|-------------|---------------|--|--|--|--|--|
| AU 2003212375 | A1 Based on | WO 2003080037 | | | | | |
| EP 1490042 | A2 Based on | WO 2003080037 | | | | | |
| JP 2005529085 | W Based on | WO 2003080037 | | | | | |

PRIORITY APPLN. INFO: DE 2002-10213350 20020326

ED 20031107

AB WO2003080037 A UPAB: 20031107

NOVELTY - Use of leukotriene B4 (LTB4) antagonists (I) in the production of medicaments for the treatment or prophylaxis of respiratory diseases in pets, working or farm animals.

DETAILED DESCRIPTION - Use of leukotriene B4 (LTB4) antagonists of formula (I), including their prodrugs, in the production of medicaments for the treatment or prophylaxis of respiratory diseases in pets, working or farm animals.

```
A = -O-CmH2m-O-(Ph')n- or -OCH2-C6H4-CH2O-;

m = 2-6;

n = 0 or 1;

Ph' = 1,4-phenylene (optionally substituted by 1 or T);

T = 1-6C alkyl;

R1 = H, OH, CN, COR10 or CHO;

R2 = H, F, Cl, Br, CF3, CHF2, OH, OSO3H, T, OT, 5-7C cycloalkyl,

CONR8R9, Ar, OAr, CH2Ar, -CR5R6-Ar or -CMe2-R7;

R3 = H, T, OT, OH, F or Cl;

R4 = H or T;

R5 = U, CF3, CH2OH, COOH or COOU;

U = 1-4C alkyl;

R6 = H, U or CF3;

R7 = CH2OH, COOH, COOU, CONR8R9 or CH2N8R9;

R8 = H, T, Ph'', Ph''-(1-6C) alkyl, COR10, COOR10, CHO, CONH2,
```

CONHR10, SO2T or SO2Ph'';

Ph'' = phenyl (optionally substituted by 1 or 2 of Cl, F, CF3, U, OH and/or OU);

R9 = H or T; or

R8 + R9 = 4-6C alkylene;

R10 = T, 5-7C cycloalkyl, Ar, Het, Ar-alkyl or Het-(1-6C) alkyl;

Ar = phenyl or naphthyl (both optionally substituted by 1 or 2 of Cl, F, CF3, U, OH, OSO3H and/or OU); and

Het = pyrrolyl, pyrazolyl, imidazolyl,

furyl, thienyl, pyridinyl or pyrimidinyl (all optionally substituted as for Ar).

INDEPENDENT CLAIMS are also included for:

- (1) Pharmaceutical preparations containing (I) in combination with one or more of beta -mimetics and/or steroids; and
- (2) Two component systems, with one component containing (I) and the other containing one or more of beta -mimetics and/or steroids.

ACTIVITY - Antiinflammatory; Antitussive; Respiratory-Gen.

A 12-year old horse, showing symptoms of coughing, respiratory problems and lethargy due to chronic bronchitis, was treated once daily for 20 days with N-ethoxycarbonyl-4-(3-(4-(2-(4-hydroxyphenyl)-prop-2-yl)-phenoxymethyl)-benzyloxy)-benzamidine (Ia) (20 g), added to fodder (oats) in the form of a methyl cellulose suspension.

After 7 days the coughing was markedly reduced and exercise capacity, vitality and agility were greatly improved. When treatment was ceased, the symptoms recurred within 2 weeks.

MECHANISM OF ACTION - Leukotriene B4 (LTB4) antagonist.

USE - (I) are used for the treatment or prophylaxis of respiratory diseases in pets, working or farm animals, e.g. dogs, cats, horses, cows or pigs, especially for treating or preventing chronic cough, chronic obstructive pulmonary disease or chronic bronchitis in horses (all claimed).

ADVANTAGE - (I) have a rapid and lasting effect in improving the health and performance of animals suffering from respiratory diseases, are well tolerated and free of side-effects and are free of disadvantages of prior art drugs such as beta -mimetics and/or steroids, e.g. they do not affect the meat of animals for slaughter or interfere with doping tests in racing animals.

Dwg.0/0

L68 ANSWER 10 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1995-312160 [41] WPIX

CROSS REFERENCE: 1995-312159 [41] DOC. NO. CPI: C1995-139007

TITLE: New acyl-(chloro-benzyl-oxo-tetra hydro)-quinolinyl-

aminoacid derivs. - useful as neurokinin antagonists for

treating inflammatory disorders, etc..

DERWENT CLASS: B02

INVENTOR(S): BUERGER, E; DOLLINGER, H; ESSER, F; JUNG, B;

SCHNORRENBERG, G; SPECK, G; BURGER, E

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM INT GMBH; (BOEH) BOEHRINGER

INGELHEIM KG: (BOEH) BOEHRINGER INGELHEIM PHARMA KG;

(BOEH) BOEHRINGER INGELHEIM GMBH

COUNTRY COUNT: 34

PATENT INFORMATION:

| PAT | ENT | МО | | | KI | ID I | DATI | Ξ | V | VEE | Κ | | LA | 1 | PG - | | | |
|-----|------|------|----|----|-----------|------|------|-----|-----|-----|------|----|----|----|---------|----|----|--|
| DE | 4406 | 6889 | 5 | | A1 | 199 | 9509 | 907 | (19 | 954 | 11), | | | 9 | - | | | |
| WO | 9523 | 381 |) | | A1 | 199 | 9509 | 908 | (19 | 954 | 11) | GI | Ξ | 44 | | | | |
| | RW: | AT | ΒE | CH | DE | DK | ES | FR | GB | GR | ΙE | IT | LU | MC | NL | PΤ | SE | |

| | W: AU CA | CN | CZ | FI HU JP | KR MX NO | NZ PL | RU | SI | UA | VN | |
|----|----------|----|-----------|----------|----------|-------|----|----|----|----|----|
| ΑU | 9518127 | | Α | 19950918 | (199551) | | | | | | |
| FI | 9603440 | | Α | 19960903 | (199649) | | | | | | |
| NO | 9603655 | | Α | 19961101 | (199702) | | | | | | |
| CZ | 9602542 | | A3 | 19970611 | (199730) | | | | | | |
| JP | 09505317 | | W | 19970527 | (199731) | | 33 | | | | |
| NZ | 281240 | | Α | 19970922 | (199745) | | | | | | |
| EP | 802922 | | A1 | 19971029 | (199748) | GE | | | | | |
| | R: AT BE | CH | DE | DK ES FR | GB GR IE | IT LI | LU | MC | NL | PT | SE |
| HU | 75527 | | T | 19970528 | (199805) | | | | | | |
| US | 5712397 | | Α | 19980127 | (199811) | | 10 | | | | |
| KR | 97701727 | | Α | 19970412 | (199817) | | | | | | |
| MX | 9603272 | | A1 | 19970301 | (199820) | | | | | | |
| JP | 2801087 | | B2 | 19980921 | (199843) | | 13 | | | | |
| US | 5922878 | | Α | 19990713 | (199934) | | | | | | |
| CN | 1142228 | | Α | 19970205 | (200053) | | | | | | |
| MX | 194397 | | В | 19991203 | (200110) | | | | | | |
| ΕP | 802922 | | В1 | 20010926 | (200157) | GE | | | | | |
| | R: AT BE | CH | DE | DK ES FR | GB GR IE | IT LI | LU | MC | NL | PT | SE |
| DE | 59509647 | | G | 20011031 | (200173) | | | | | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-------------|-----------|-----------------|----------|
| DE 4406885 | A1 | DE 1994-4406885 | 19940303 |
| WO 9523810 | A1 | WO 1995-EP760 | 19950302 |
| AU 9518127 | A | AU 1995-18127 | 19950302 |
| FI 9603440 | A | WO 1995-EP760 | 19950302 |
| | | FI 1996-3440 | 19960903 |
| NO 9603655 | A | WO 1995-EP760 | 19950302 |
| | | NO 1996-3655 | 19960902 |
| CZ 9602542 | A3 | WO 1995-EP760 | 19950302 |
| | | CZ 1996-2542 | 19950302 |
| JP 09505317 | W | JP 1995-522700 | 19950302 |
| | | WO 1995-EP760 | 19950302 |
| NZ 281240 | A | NZ 1995-281240 | |
| | | WO 1995-EP760 | |
| EP 802922 | A1 | EP 1995-909796 | |
| | • | WO 1995-EP760 | |
| HU 75527 | T | WO 1995-EP760 | |
| | | HU 1996-2402 | 19950302 |
| US 5712397 | A Cont of | US 1995-398257 | |
| | | US 1995-467428 | 19950606 |
| KR 97701727 | A | WO 1995-EP760 | 19950302 |
| | | KR 1996-704834 | |
| MX 9603272 | | MX 1996-3272 | |
| JP 2801087 | B2 | JP 1995-522700 | |
| | | WO 1995-EP760 | |
| US 5922878 | A Cont of | US 1995-398257 | |
| | | US 1997-863757 | |
| CN 1142228 | A | CN 1995-191895 | 19950302 |
| MX 194397 | В | MX 1996-3272 | 19960808 |
| EP 802922 | B1 | EP 1995-909796 | 19950302 |
| | | WO 1995-EP760 | |
| DE 59509647 | G | DE 1995-509647 | |
| | | EP 1995-909796 | |
| | | WO 1995-EP760 | 19950302 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO | |
|--|---|---|--|
| AU 9518127 CZ 9602542 JP 09505317 NZ 281240 EP 802922 HU 75527 US 5712397 KR 97701727 JP 2801087 | A Based on A3 Based on W Based on A Based on A1 Based on T Based on A A Based on B2 Previous Publ. Based on | WO 9523810 WO 9523810 WO 9523810 WO 9523810 WO 9523810 WO 9523810 DE 4406884 WO 9523810 JP 09505317 WO 9523810 | |
| EP 802922 DE 59509647 | B1 Based on G Based on Based on | WO 9523810 EP 802922 WO 9523810 | |

PRIORITY APPLN. INFO: DE 1994-4406885 19940303; DE 1994-4406884 19940303

ED 19951019

AB DE 4406885 A UPAB: 20011211

Amino acid derivs. of formula R1COAR2 (I) and their salts are new. R1 = vinyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, arylvinyl, heteroarylvinyl, aryloxyalkyl, aralkoxy, 3-8C cycloalkyl, (3-8C cycloalkyl)alkyl, bicycloheptyl or bicycloheptylalkyl (both opt. substd. by 1-3 Me), or adamantyl, adamantylalkyl, decahydronaphthyl, decahydronaphthylalkyl, tetrahydronaphthyl, tetrahydronaphthylalkyl, diphenylalkyl, di(arylalkyl)aminoalkyl or arylalkylaminoalkyl; aryl = phenyl (opt. substd. by 1-3 of halogen, trihalomethyl alkoxy, alkyl, OH, NO2, alkanoyl and CN) or naphthyl; heteroaryl = indolyl (opt. 1-substd. by alkyl or benzyl), pyridyl, pyrrolyl, imidazolyl or thienyl; alkyl and alkoxy have 1-3 C; A = an opt. protected D- or L-amino acid selected from Ala, Val, Leu, Ile, Ser, Thr, allothreonine, Cys, Met, Phe, Trp, N-formyl-Trp, Tyr, Pro, didehydroproline, hydroxyproline, azetidine-2-carboxylic acid, thioproline (Trp), aminoproline, pGlu, 2-aminobutyric acid, 2,3-diaminopropionic acid, 2,4-diaminobutyric acid, Glu, Asp, Gln, Asn, Lys, Arg, His, Orn, hydroxypiperidine-carboxylic acid, mercaptoproline, Tpr(O), Met(O), Tpr(O2) and Met(O2); R2 = a gp. of formula (II); R = F, Cl, Br or Et or OMe; m = 1-5.

USE - (I) are neurokinin (tachykinin) antagonists, especially substances P or neurokinin A or B antagonists, useful for treating respiratory disorders such as asthma, bronchitis, cough, expectoration or rhinitis; inflammatory eye diseases such as conjunctivitis; inflammatory skin conditions such as dermatitis and urticaria; polyarthritis, osteoarthritis; gastrointestinal disorders such as irritable bowel or emesis; and pain such as migraine.

Dose is 1-500mg orally, parenterally, by inhalation etc. for a 67kg human. $\ensuremath{\text{Dwg.0/0}}$

L68 ANSWER 11 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1995-312159 [41] WPIX

CROSS REFERENCE: 1995-312160 [41] DOC. NO. CPI: C1995-139006

TITLE: New amino acid tetra hydro-quinolone-amide derivs. -

useful as neurokinin antagonists for treating e.g.

inflammatory disorders.

DERWENT CLASS: B02

INVENTOR(S): BUERGER, E; DOLLINGER, H; ESSER, F; JUNG, B;

SCHNORRENBERG, G; SPECK, G; BURGER, E

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM INT GMBH; (BOEH) BOEHRINGER

INGELHEIM KG; (BOEH) BOEHRINGER INGELHEIM GMBH

COUNTRY COUNT: 34

PATENT INFORMATION:

| PAT | TENT NO | KII | ND DATE | WEEK | LA | PG | |
|-----|--------------|-----|----------|----------|-------|------------|---|
| DE | 4406884 | A1 | 19950907 | (199541) | k | 13 | |
| WO | 9523810 | A1 | 19950908 | (199541) | GE | 44 | |
| | RW: AT BE CH | DE | DK ES FR | GB GR IE | IT LU | MC NL PT S | E |
| | W: AU CA CN | CZ | FI HU JP | KR MX NO | NZ PL | RU SI UA V | N |
| ΑU | 9518127 | Α | 19950918 | (199551) | | | |
| ZA | 9501728 | Α | 19960228 | (199614) | | 34 | |
| FI | 9603440 | Α | 19960903 | (199649) | | | |
| CZ | 9602542 | Α3 | 19970611 | (199730) | | | |
| | 09505317 | | | | | | |
| US | 5712397 | Α | 19980127 | (199811) | | 10 | |
| KR | 97701727 | Α | 19970412 | (199817) | | | |
| JP | 2801087 | B2 | 19980921 | (199843) | | 13 | |
| US | 5922878 | Α | 19990713 | (199934) | | | |
| | 1142228 | | 19970205 | (200053) | | | |
| MX | 194397 | В | 19991203 | (200110) | | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|--------------------------|-----------|----------------------------------|----------------------|
| DE 4406884 WO 9523810 | A1 A1 | DE 1994-4406884 WO 1995-EP760 | 19940303 19950302 |
| AU 9518127 | A | AU 1995-18127 | 19950302 |
| ZA 9501728 | A | ZA 1995-1728 | 19950302 |
| FI 9603440 | Α | WO 1995-EP760 | 19950302 |
| | | FI 1996-3440 | 19960903 |
| CZ 9602542 | A3 | WO 1995-EP760 | 19950302 |
| | | CZ 1996-2542 | 19950302 |
| JP 09505317 | W | JP 1995-522700 | 19950302 |
| | | WO 1995-EP760 | 19950302 |
| US 5712397 | A Cont of | US 1995-398257 | 19950303 |
| | | US 1995-467428 | 19950606 |
| KR 97701727 | A | WO 1995-EP760 | 19950302 |
| | | KR 1996-704834 | 19960903 |
| JP 2801087 | B2 | JP 1995-522700 | 19950302 |
| | _ | WO 1995-EP760 | 19950302 |
| US 5922878 | A Cont of | US 1995-398257 | 19950303 |
| | _ | US 1997-863757 | 19970527 |
| CN 1142228 | A | CN 1995-191895 | 19950302 |
| MX 194397 | В | MX 1996-3272 | 19960808 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|--|---|---|
| AU 9518127 CZ 9602542 JP 09505317 US 5712397 KR 97701727 JP 2801087 | A Based on A3 Based on W Based on A A Based on B2 Previous Publ. Based on | WO 9523810 WO 9523810 WO 9523810 DE 4406884 WO 9523810 JP 09505317 WO 9523810 |

PRIORITY APPLN. INFO: DE 1994-4406884 19940303; DE 1994-4406885 19940303

ED 19951019

AB DE 4406884 A UPAB: 20010220

Amino acid derivs. of formula R1COAR2 (I) and their salts are new: R1 = vinyl, aryl, heteroaryl, aralkyl, heteroaralkyl, arylvinyl, heteroarylvinyl, aryloxyalkyl, aralkoxy, 3-8C cycloalkyl or (3-8C cycloalkyl)alkyl; adamantyl, adamantylalkyl, decahydronaphthyl, etc.; aryl = naphthyl, or opt. substd. phenyl; heteroaryl = opt. substd. indolyl, pyridyl, pyrrolyl, imidazolyl or thienyl; alkyl, alkoxy have 1-3 C atoms; A = an opt. protected D- or L-amino acid; R2 = a gp. of formula (II); G = F, Cl, Br or Et; m = 1-5; Y, Z = H, 1-5C alkyl, 1-5C alkoxy, opt. substd. benzyloxy, OCF3, halogen, CF3, CN, CH2NH2, CONH2, di(1-5C alkyl)amino, 2-5C alkanoylamino, N-(1-5C alkyl)-N-(2-5C alkanoyl), NH2 or 1-5C alkylamino; or vicinal Y+Z = OCH2O, OCH2CH2O or (CH2)4.

USE - (I) are neurokinin (tachykinin) antagonists, especially substance P or neurokinin A or B antagonists, useful for treating respiratory disorders (e.g. asthma, bronchitis or rhinitis), inflammatory eye diseases (e.g. conjunctivitis), inflammatory skin conditions (e.g. dermatitis and uticaria), arthritis, gastrointestinal disorders (e.g. irritable bowel or emesis) and pain (e.g. migraine).

Dwg.0/0

L68 ANSWER 12 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1994-101118 [12] WPIX

CROSS REFERENCE: 1994-084612 [11] DOC. NO. CPI: C1994-046613

TITLE: Amino acid derivs. which are neurokinin antagonists - for

treating e.g. asthma, bronchitis, rhinitis, conjunctivitis, dermatitis, polyarthritis.

DERWENT CLASS: B05

INVENTOR(S): BURGER, E; DOLLINGER, H; ESSER, F; JUNG, B;

SCHNORRENBERG, G; BUERGER, E

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM KG; (BOEH) BOEHRINGER

INGELHEIM INT GMBH; (BOEH) BOEHRINGER INGELHEIM PHARMA KG

COUNTRY COUNT: 36

PATENT INFORMATION:

| PAT | TENT NO | KIND | DATE | WEEK | LA | PG |
|-----|--------------|------|--------------|-----------|----------|---------------|
| WO | 9405693 | A1 1 | 19940317 | (199412)* | GE 153 | 3 |
| | RW: AT BE CH | DE D | OK ES FR | GB GR IE | IT LU MO | C NL PT SE |
| | W: AU BG BY | CA C | Z FI HU | JP KR NO | NZ PL RU | J SK UA |
| FI | 9401987 | A 1 | 19940429 | (199426) | | |
| NO | 9401611 | A 1 | 19940502 | (199428) | | |
| | 9349547 | | | • | | |
| EΡ | 610487 | A1 1 | 19940817 | (199432) | GE | |
| | R: AT BE CH | DE D | OK ES FR | GB GR IE | IT LI LU | J MC NL PT SE |
| DE | 4315437 | A1 1 | 19941110 | (199444) | | |
| CZ | 9401276 | A3 1 | 19941116 | (199504) | | |
| JP | 07501085 | W 1 | 19950202 | (199514) | | |
| SK | 9400650 | A3 1 | 19950308 | (199520) | | |
| CN | 1086222 | A 1 | 19940504 | (199528) | | |
| TW | 254948 | A 1 | 19950821 | (199543) | | |
| | 5596000 | | | (199710) | 66 | 5 |
| ΑU | 677792 | B 1 | 19970508 | (199727) | | |
| HU | 70475 | T 1 | 19951030 | (199732) | | |
| NZ | 255380 | A 1 | 19970624 | (199732) | | |
| US | 5849918 | A 1 | 9981215 | (199906) | | |

| CN | 1206715 | | Α | 19990203 | (199924) | | | | | | |
|----|----------|----|----|----------|----------|-------|----|----|----|----|----|
| ΕP | 610487 | | B1 | 19991110 | (199952) | GE | | | | | |
| | R: AT BE | CH | DE | DK ES FR | GB GR IE | IT LI | LU | MC | NL | PT | SE |
| DE | 59309867 | | G | 19991216 | (200005) | | | | | | |
| ES | 2137998 | | Т3 | 20000101 | (200008) | | | | | | |
| ΕP | 979827 | | A1 | 20000216 | (200014) | GE | | | | | |
| | R: AT BE | CH | DE | DK ES FR | GB GR IE | IT LI | LU | MC | NL | PT | SE |
| US | 6147212 | | Α | 20001114 | (200060) | | | | | | |
| MX | 204423 | | В | 20010928 | (200246) | | | | | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|-------------|------------|-----------------|----------|
| WO 9405693 | A1 | WO 1993-EP2329 | 19930828 |
| FI 9401987 | A | WO 1993-EP2329 | 19930828 |
| | | FI 1994-1987 | 19940429 |
| NO 9401611 | A | WO 1993-EP2329 | 19930828 |
| | | NO 1994-1611 | 19940502 |
| AU 9349547 | A | AU 1993-49547 | 19930828 |
| EP 610487 | A1 | EP 1993-919208 | 19930828 |
| | | WO 1993-EP2329 | 19930828 |
| DE 4315437 | A1 | DE 1993-4315437 | 19930508 |
| CZ 9401276 | A3 | CZ 1994-1276 | 19930828 |
| JP 07501085 | W | WO 1993-EP2329 | 19930828 |
| | | JP 1994-506852 | 19930828 |
| SK 9400650 | A3 | SK 1994-650 | 19940531 |
| | | WO 1993-EP2329 | |
| CN 1086222 | A | CN 1993-117349 | 19930903 |
| TW 254948 | A | TW 1993-107179 | 19930902 |
| US 5596000 | A | US 1993-116090 | 19930902 |
| AU 677792 | В | AU 1993-49547 | 19930828 |
| HU 70475 | T | WO 1993-EP2329 | 19930828 |
| | | HU 1994-1323 | 19930828 |
| NZ 255380 | A | NZ 1993-255380 | 19930828 |
| | | WO 1993-EP2329 | 19930828 |
| US 5849918 | A Div ex | US 1993-116090 | 19930902 |
| | | US 1995-460964 | 19950605 |
| CN 1206715 | A Div ex | CN 1993-117349 | 19930903 |
| | | CN 1997-117758 | 19930903 |
| EP 610487 | B1 | EP 1993-919208 | 19930828 |
| | | WO 1993-EP2329 | 19930828 |
| | Related to | EP 1999-100929 | 19930828 |
| DE 59309867 | G | DE 1993-509867 | 19930828 |
| | | EP 1993-919208 | 19930828 |
| | | WO 1993-EP2329 | 19930828 |
| ES 2137998 | Т3 | EP 1993-919208 | 19930828 |
| EP 979827 | A1 Div ex | EP 1993-919208 | 19930828 |
| | _ | EP 1999-100929 | 19930828 |
| US 6147212 | A CIP of | US 1993-116090 | 19930902 |
| | Div ex | US 1995-460964 | 19950605 |
| | _ | US 1998-111498 | 19980708 |
| MX 204423 | В | MX 1993-5379 | 19930902 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|------------|-------------|------------|
| | | |
| AU 9349547 | A Based on | WO 9405693 |
| EP 610487 | Al Based on | WO 9405693 |

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W Based on
                                 WO 9405693
JP 07501085
              B Previous Publ.
                                 AU 9349547
AU 677792
                 Based on
                                 WO 9405693
HU 70475
              T Based on
                                 WO 9405693
NZ 255380
              A Based on
                                 WO 9405693
              B1 Based on
                                 WO 9405693
EP 610487
              G Based on
DE 59309867
                                EP 610487
                                WO 9405693
                 Based on
ES 2137998
              T3 Based on
                                EP 610487
EP 979827
              Al Div ex
                                EP 610487
US 6147212
              A CIP of
                                US 5596000
                 Div ex
                                 US 5849918
```

PRIORITY APPLN. INFO: DE 1992-4229447 19920903; DE 1992-4243496 19921222; DE 1993-4315437 19930508

ED 19940510

AB WO 9405693 A UPAB: 20020722

Amino acid derivs. of formula (I) and their pharmaceutically acceptable salts are new. R1 = vinyl, aryl, heteroaryl, aralkyl, heteroaralkyl, arylvinyl, heteroarylvinyl, aryloxyalkyl, arylalkyloxy, 3-8C cycloalkyl, 3-8C cycloalkyl-alkyl, opt. mono-, di- or tri-Me substd. bicycloheptyl or bicycloheptyl alkyl, etc. In R1, aryl = naphthyl or Ph (this last opt. mono, di or tri-substd. by halogen, trihalo-methyl, alkoxy, alkyl, OH, NO2, alkylcarbonyl or CN); heteroaryl = indolyl (opt. substd. at position 1 with alkyl or benzyl), pyridyl, etc. A1 = Ala, Val, Leu, Ile, Ser, Thr, allo-Thr, Cys, Met, Phe, Trp (opt. N-formyl protected), Tyr, Pro, DPro (e.g. D(3,4)-Pro), Pro(OH) (e.g. Pro(3OH) or Pro(4OH)), A2t, Tpr, Pro(NH2) (e.g. Pro(nH2) or Pro(4NH2)), pGlu, Aib, 2,3-diamino-propionic acid, 2,4-diaminobutyric acid, etc. B = A2NR2R3 or R5; A2 = a lipophilic alpha-amino acid containing an opt. mono-, di- or tri-substd. Ph, or heteroaryl, cyclohexyl, cyclopentyl, naphthyl or mono- or di(1-3C) alkyl amino, etc. In A2 the substits on Ph = halogen, alkoxy, alkyl, CN or 1-pyrrolidinyl; the chain members in the 1-8 membered chain are CHR4, CO, O, S and/or NR4, etc. R4 = H, alkyl, aryl or aralkyl. In R4, aryl = naphthyl or Ph (this last opt. mono-, di- or tri-substd. with halogen, etc.); R2, R3 = alkyl, arylalkyl, heteroaryl, etc. In R2 and R3, aryl = naphthyl or Ph (this last opt. mono-, di- or tri-substd. by halogen, trihalomethyl, etc.); R5 = a gp. of formula (a) or (b); R6 = aralkyl, diarylalkyl (aryl = Ph or naphthyl and alkyl contains 1-5C), heteroaryl (1-5C) alkyl (heteroaryl - 2-, 3- or 4-pyridyl or 2- or 3-thienyl), etc.; R7 = H or 1-5C alkyl; X = O or H2; Y, Z = H, 1-5C alkyl, 1-5C alkyloxy, benzyloxy (the Ph gp. being opt mono-, di- or tri-substd. with 1-5C alkyl, especially mono-, di- or tri-substd. with 1-5C alkyl, especially Me, 1-5C alkoxy, especially

MeO, NMe2, halogen, C3, CN or OCF3) OCF3, halogen, CF3, CN, etc. t=u=0, t=1 and u=0; t=u=1; t=2 and u=0; and if t=1 and u=0, R5 can also be a gp. of formula (c); R8 = H and R9 = OH, 1-5C alkoxy, phenyl-(1-5C) alkyloxy, naphthyl (1-5C) alkyloxy or 1-4C alkylcarbonyl; or R8 + R9 together form O or OCH2CH2O; the chirality on C is R or S.

USE - (I) are neurokinin (tachykinin) antagonists, especially with substance P antagonism but also with neurokinin A or neurokinin B antagonism. (I) can therefore be used in the prophylaxis and therapy of diseases of the respiratory system such as asthma, bronchitis, rhinitis, coughs or expectoration, inflammatory eye conditions such as conjunct $Dwg.\,0/0$

L68 ANSWER 13 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1994-084612 [11] WPIX

CROSS REFERENCE: 1994-101118 [12]

DOC. NO. CPI: C1994-038816

TITLE: New di peptide neurokinin antagonists - useful in

treating respiratory disorders, inflammatory eye and skin

disorders, polyarthritis, osteoarthritis and pain.

DERWENT CLASS: B05

INVENTOR(S): BURGER, E; DOLLINGER, H; ESSER, F; JUNG, B;

SCHNORRENBERG, G; BUERGER, E

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM KG; (BOEH) BOEHRINGER

INGELHEIM INT GMBH

COUNTRY COUNT: 12

PATENT INFORMATION:

| PATENT NO | KI | ND DATE | WEEK | LA | PG |
|-------------|----|----------|-----------|------------|----|
| DE 4243496 | A1 | 19940310 | (199411)* | 4 | 9 |
| FI 9401987 | Α | 19940429 | (199426) | | |
| NO 9401611 | Α | 19940502 | (199428) | | |
| AU 9349547 | Α | 19940329 | (199430) | | |
| ZA 9306472 | Α | 19940831 | (199435) | 13 | 8 |
| CZ 9401276 | Α3 | 19941116 | (199504) | | |
| JP 07501085 | W | 19950202 | (199514) | | |
| SK 9400650 | Α3 | 19950308 | (199520) | | |
| CN 1086222 | Α | 19940504 | (199528) | | |
| TW 254948 | Α | 19950821 | (199543) | | |
| US 5596000 | Α | 19970121 | (199710) | ϵ | 6 |
| HU 70475 | T | 19951030 | (199732) | | |
| US 5849918 | Α | 19981215 | (199906) | | |
| US 6147212 | Α | 20001114 | (200060) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | | |
|-------------|----------|-----------------|----------|--|
| DE 4243496 | A1 | DE 1992-4243496 | 19921222 | |
| FI 9401987 | A | WO 1993-EP2329 | | |
| | | FI 1994-1987 | 19940429 | |
| NO 9401611 | A | WO 1993-EP2329 | 19930828 | |
| | | NO 1994-1611 | 19940502 | |
| AU 9349547 | A | AU 1993-49547 | 19930828 | |
| ZA 9306472 | A | ZA 1993-6472 | 19930902 | |
| CZ 9401276 | A3 | CZ 1994-1276 | 19930828 | |
| JP 07501085 | W | WO 1993-EP2329 | 19930828 | |
| | | JP 1994-506852 | 19930828 | |
| SK 9400650 | A3 | SK 1994-650 | 19940531 | |
| | | WO 1993-EP2329 | | |
| CN 1086222 | A | CN 1993-117349 | 19930903 | |
| TW 254948 | A | TW 1993-107179 | 19930902 | |
| US 5596000 | Α | US 1993-116090 | 19930902 | |
| HU 70475 | T | WO 1993-EP2329 | 19930828 | |
| | | HU 1994-1323 | 19930828 | |
| US 5849918 | A Div ex | US 1993-116090 | 19930902 | |
| | | US 1995-460964 | 19950605 | |
| US 6147212 | A CIP of | US 1993-116090 | 19930902 | |
| | Div ex | US 1995-460964 | | |
| | | US 1998-111498 | 19980708 | |

FILING DETAILS:

| PATENT | NO | KIND | PATENT NO |
|--------|----|------|-----------|
| | | | |

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AU 9349547 A Based on WO 9405693
JP 07501085 W Based on WO 9405693
HU 70475 T Based on WO 9405693
US 6147212 A CIP of US 5596000
Div ex US 5849918
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PRIORITY APPLN. INFO: DE 1992-4229447 19920903; DE 1992-4243496 19921222: DE

1992-4243496 19921222 1993-4315437 19930508

ED 19940428

AB DE 4243496 A UPAB: 20001123

Dipeptide derivs. of formula R1-C(O)-A1-A2-N(R2)(R3) (I) and their salts are new. R1 = vinyl; Ar1; Het1; Ar1-alkyl; Het1-alkyl; Ar1-vinyl; Het1-vinyl; Ar1-oxyalkyl; Ar1-alkoxy; di(Ar1-alkyl)-aminoalkyl or Ar1-alkylaminoalkyl; R2, R3 = alkyl; Ar2-alkyl; Het2; or OH; or NR2R3 forms a gp. of formula (a) or (b): m, n = 0-3 (but m + n = 2-5); s = 2 or 3; X = -(CH2)0-2-Ar2; -CH(Ar2)2; cyclopentyl; -(CH2)0-2-cyclohexyl; pyridyl; N-methyl-N-phenylamino-carbonylmethyl; etc.; A1 = Ala, Val, Leu, Ile, Ser, Thr, alloThr, Cys, Met, Phe, Trp (opt. N-protected by CHO), Tyr, Pro, APro, Azt, Tpr, Pro(NH2), pGlu, Aib, 2,3-diaminopropionic acid, 2,4-diaminobutyric acid, Glu, Asp, Gln, Asn, Lys, Arg, His, Orn, hydroxypiperidinecarboxylic acid, Pro(SH), Tpr(O or O2) or Met(O or O2) in the D- or L-configuration or as a geometric isomer and OH and NH2 gps. are opt. protected; A2 = (i) a lipophilic amino acid containing an Ar3, heteroaryl, cyclopentyl, cyclohexyl or mono- or di-(1-3C alkyl)amino gp. separated from the amino acid backbone by a 1-8 membered chain of the formula -(CHR4)1-8-, -(CHR4)0-p-G1(CHR4)0-q- or -(CHR4)1-p-G2(CHR4)0-q-; G1 =-COO- or CONR4-; G2 = -O-; -S-; -NR4-COO-; -NR4-CO-+NR4-; or -O-CO-NR4-; R4 = alkyl; Ar1; or Ar1-alkyl; p, q = 1-6; provided that the chain is not -CH2- when R2 and R3 = alkyl or Ar2-alkyl and when NR2R3 = gp. (a); or (ii) Leu; Ile; Nle; Val; Met; or e.g. a gp. of formula (i)-(iii): x, y = 1 or 2; Ar1 = phenyl opt. mono-, di- or tri-substd. by halo, trihalomethyl, alkoxy, alkyl or CN; or naphthyl; Ar2 = phenyl opt. mono-, di- or tri-substd. by halo, trihalomethyl, alkoxy, alkyl, alkylthio, OH, NO2 or CN or on adjacent C by -O-(CH2)1 or 2-O-; or naphthyl; Ar3 = phenyl opt. mono-, di- or tri-substd. by halo, trihalomethyl, alkoxy, alkyl, CN or 1-pyrrolidinyl; or naphthyl; Het1 = indolyl opt. 1-substd. by alkyl or benzyl; pyridyl; pyrrolyl; imidazolyl; or thienyl; Het2 = indolyl; pyridyl; pyrrolyl ; imidazolyl; or thienyl.

USE - Cpds. (I) are inhibitors of neurokinin (tachykinin), especially substance P. They are useful in treating respiratory disorders (e.g. asthma, bronchitis, rhinitis and cough), inflammatory eye disorders (e.g. conjunctivitis), inflammatory skin disorders (e.g. dermatitis and urticaria), polyarthritis, osteoarthritis and pain.

Dosage is 1-55 mg for patient weighing 67 kg. Dwg.0/0

L68 ANSWER 14 OF 15 MEDLINE on STN DUPLICATE 4

ACCESSION NUMBER: 2005113498 MEDLINE DOCUMENT NUMBER: PubMed ID: 15743194

TITLE: Novel ligands for the chemokine receptor-3

(CCR3): a receptor-modeling study based on 5D-QSAR.

AUTHOR: Vedani Angelo; Dobler Max; Dollinger Horst;

Hasselbach Kai-Malte; Birke Franz; Lill Markus A

CORPORATE SOURCE: Biographics Laboratory 3R, Friedensgasse 35, CH-4056 Basel,

Switzerland.. admin@biograf.ch

SOURCE: Journal of medicinal chemistry, (2005 Mar 10) Vol. 48, No.

5, pp. 1515-27.

Journal code: 9716531. ISSN: 0022-2623.

PUB. COUNTRY:

United States

DOCUMENT TYPE:

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE:

English

FILE SEGMENT:

Priority Journals

ENTRY MONTH:

200504

ENTRY DATE:

Entered STN: 4 Mar 2005

Last Updated on STN: 12 Apr 2005

Entered Medline: 11 Apr 2005

ED Entered STN: 4 Mar 2005

Last Updated on STN: 12 Apr 2005

Entered Medline: 11 Apr 2005

We recently reported the development of a receptor-modeling concept based AB on 5D-QSAR (quantitative structure-activity relationships) and which explicitly allows for the simulation of induced fit. In this account, we report its utilization toward the design of novel compounds able to inhibit the chemokine receptor-3 (CCR3). The study was based on a total of 141 compounds, representing four different substance classes. Using the Quasar software, we built two receptor surrogates that yielded a cross-validated r(2) value of 0.950/0.861 and a predictive r(2) of 0.879/0.798, respectively. The model was then employed to predict the activity of 58 hypothetical compounds featuring two variation patterns: lipophilic substitutions and amphiphilic H-bond acceptors. Eleven of the proposed ligands show a calculated binding affinity lower than any compound within the training set; the most potent candidate molecule is expected to bind at an IC(50) of 0.3 nM.

L68 ANSWER 15 OF 15 MEDLINE on STN ACCESSION NUMBER: 88137624 MEDLINE DOCUMENT NUMBER: PubMed ID: 3342883

TITLE:

Radioligand binding of antagonists of platelet-activating

factor to intact human platelets.

AUTHOR:

Ukena D; Dent G; Birke F W; Robaut C; Sybrecht G

W; Barnes P J

CORPORATE SOURCE:

Department of Thoracic Medicine, Brompton Hospital, London,

England.

SOURCE:

FEBS letters, (1988 Feb 15) Vol. 228, No. 2, pp. 285-9.

Journal code: 0155157. ISSN: 0014-5793.

PUB. COUNTRY:

Netherlands

DOCUMENT TYPE:

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE:

English

FILE SEGMENT:

Priority Journals

ENTRY MONTH:

198804

ENTRY DATE:

Entered STN: 8 Mar 1990

Last Updated on STN: 3 Feb 1997 Entered Medline: 7 Apr 1988

Entered STN: 8 Mar 1990 ED

Last Updated on STN: 3 Feb 1997

Entered Medline: 7 Apr 1988

Two new antagonists of platelet-activating factor (PAF), the AB pyrrolothiazole derivative 52770 RP and the triazolodiazepine WEB 2086, have been studied as radioligands in intact human platelets. [3H]52770 RP and [3H] WEB 2086 bound specifically to high-affinity sites with dissociation constants (Kd) of 14.8 and 6.1 nM, respectively. The maximal number of sites for [3H]52770 RP binding was approx. 15-fold higher than for [3H] PAF and [3H] WEB 2086. In addition, C16-PAF, lyso-PAF, WEB 2086 and 52770 RP had Ki values which were nearly identical for both [3H]PAF and [3H] WEB 2086, whereas only 52770 RP competed for [3H] 52770 RP-binding sites. These results demonstrate that in human platelets the sites of [3H] WEB 2086 binding are identical to [3H] PAF-binding sites, whereas those of [3H]52770 RP are not. [3H]WEB 2086 appears, therefore, to be a suitable antagonist radioligand for labelling PAF receptors.

=> file stnguide FILE 'STNGUIDE' ENTERED AT 12:07:39 ON 05 JUN 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 2, 2006 (20060602/UP).

=> d his ful

(FILE 'HOME' ENTERED AT 10:49:58 ON 05 JUN 2006)

FILE 'ZCAPLUS' ENTERED AT 10:50:10 ON 05 JUN 2006 E US2004-771756/APPS

FILE 'HCAPLUS' ENTERED AT 10:50:36 ON 05 JUN 2006 L1 1 SEA ABB=ON PLU=ON US2004-771756/APPS SAVE TEMP L1 WAR756HCAAPP/A

FILE 'STNGUIDE' ENTERED AT 10:50:59 ON 05 JUN 2006

FILE 'HCAPLUS' ENTERED AT 10:51:03 ON 05 JUN 2006 D IBIB ED AB IND

FILE 'STNGUIDE' ENTERED AT 10:51:04 ON 05 JUN 2006

FILE 'STNGUIDE' ENTERED AT 10:52:13 ON 05 JUN 2006

FILE 'WPIX' ENTERED AT 10:52:19 ON 05 JUN 2006 D IALL CODE

FILE 'STNGUIDE' ENTERED AT 10:52:21 ON 05 JUN 2006

FILE 'REGISTRY' ENTERED AT 10:52:53 ON 05 JUN 2006

FILE 'HCAPLUS' ENTERED AT 10:52:57 ON 05 JUN 2006
L3 TRA PLU=ON L1 1- RN : 58 TERMS

FILE 'REGISTRY' ENTERED AT 10:53:00 ON 05 JUN 2006 L4 58 SEA ABB=ON PLU=ON L3 SAVE TEMP L4 WAR756REGAPP/A

FILE 'STNGUIDE' ENTERED AT 10:53:55 ON 05 JUN 2006 D SAVED

FILE 'LREGISTRY' ENTERED AT 10:56:10 ON 05 JUN 2006 L5 STR

FILE 'STNGUIDE' ENTERED AT 10:57:30 ON 05 JUN 2006

FILE 'LREGISTRY' ENTERED AT 10:58:45 ON 05 JUN 2006 L6 STR L5

FILE 'REGISTRY' ENTERED AT 11:02:11 ON 05 JUN 2006 D COST

L7 31 SEA SSS SAM L6

FILE 'STNGUIDE' ENTERED AT 11:02:58 ON 05 JUN 2006 D QUE STAT

FILE 'REGISTRY' ENTERED AT 11:04:58 ON 05 JUN 2006 L8 573 SEA SSS FUL L6 SAVE TEMP L8 WAR756PSET1/A

L9 11 SEA ABB=ON PLU=ON L4 NOT L8

D SCAN

AND L25

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L10
                  D 1-11
     FILE 'STNGUIDE' ENTERED AT 11:08:22 ON 05 JUN 2006
     FILE 'BEILSTEIN' ENTERED AT 11:08:37 ON 05 JUN 2006
                 D QUE L8
L11
             150 SEA SSS FUL L6
L12
              88 SEA ABB=ON PLU=ON L11 NOT RN/FA
              15 SEA ABB=ON PLU=ON L12 NOT BABSAN/FA
L13
                  SAVE TEMP L11 WAR756BEIS/A
                  SAVE TEMP L13 WAR756BEIX/A
                  SELECT L11 1- BABSAN
     FILE 'BABS' ENTERED AT 11:11:07 ON 05 JUN 2006
              22 SEA ABB=ON PLU=ON (6487251/AN OR 5863646/AN OR 5577177/AN OR
L14
                  6168489/AN OR 5577176/AN OR 6311218/AN OR 6170503/AN OR
                  5559630/AN OR 5690319/AN OR 6199365/AN OR 6254884/AN OR
                  6507792/AN OR 5559613/AN OR 6429378/AN OR 5563983/AN OR
                  6148796/AN OR 6348769/AN OR 6381124/AN OR 6392803/AN OR
                  6407489/AN OR 6443294/AN OR 6445593/AN)
     FILE 'ZCAPLUS' ENTERED AT 11:11:24 ON 05 JUN 2006
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L15
                  OR REVIEW/DT
L16
                  QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004
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              19 SEA ABB=ON PLU=ON L14 AND L15
L17
                 SAVE TEMP L17 WAR756BAB1B/A
L18
               3 SEA ABB=ON PLU=ON L14 NOT L17
                 SAVE TEMP L18 WAR756BAB1A/A
     FILE 'STNGUIDE' ENTERED AT 11:13:21 ON 05 JUN 2006
                 D SAVED
     FILE 'ZCAPLUS' ENTERED AT 11:14:50 ON 05 JUN 2006
                 QUE ABB=ON PLU=ON ANDERSKEWITZ, R?/AU
QUE ABB=ON PLU=ON DOLLINGER, H?/AU
QUE ABB=ON PLU=ON HEINE, C?/AU
QUE ABB=ON PLU=ON POUZET, P?/AU
QUE ABB=ON PLU=ON BOUYSSOU, T?/AU
QUE ABB=ON PLU=ON BIRKE, F?/AU
QUE ABB=ON PLU=ON (BOEHRINGER OR INGELHEIM)/PA,CS,SO
L19
L20
L21
L22
L23
L24
L25
     FILE 'HCAPLUS' ENTERED AT 11:23:34 ON 05 JUN 2006
             219 SEA ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR L23 OR L24)
L26
     FILE 'ZCAPLUS' ENTERED AT 11:23:50 ON 05 JUN 2006
L27
                 QUE ABB=ON PLU=ON ?PYRROL? (4A) ?AZOL?
     FILE 'HCAPLUS' ENTERED AT 11:24:22 ON 05 JUN 2006
              2 SEA ABB=ON PLU=ON L26 AND L27
99 SEA ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR L23 OR L24)
L28
L29
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FILE 'STNGUIDE' ENTERED AT 11:25:12 ON 05 JUN 2006
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| | FILE | 'ZCAPLUS' | ENTERED | AT 11:25 | 5:25 ON | 05 JUN | 2006 |
|-----|------|-----------|---------|----------|---------|---------|----------------|
| L30 | | OUE | ABB=ON | PLU=ON | ?CHEMOI | KIN? OR | (CHEMO(W)KIN?) |

| FILE | 'HCAPLUS' | ENTERED | AΤ | 11:25:52 | ON | 05 | JUN | 2006 |
|------|-----------|---------|----|----------|----|----|-----|------|

- L31 64 SEA ABB=ON PLU=ON L25 AND L27
- L32 7 SEA ABB=ON PLU=ON (L29 OR L31) AND L30
- L33 7 SEA ABB=ON PLU=ON L28 OR L32 SAVE TEMP L33 WAR756HCAINV/A
- L34 50 SEA ABB=ON PLU=ON L8
- L35 46 SEA ABB=ON PLU=ON L34 AND L15 SAVE TEMP L35 WAR756HCA1B/A
- L36 4 SEA ABB=ON PLU=ON L34 NOT L35 SAVE TEMP L36 WAR756HCA1A/A

FILE 'STNGUIDE' ENTERED AT 11:27:38 ON 05 JUN 2006

FILE 'REGISTRY' ENTERED AT 11:28:11 ON 05 JUN 2006

- L37 47 SEA ABB=ON PLU=ON L8 AND L4
- L38 24 SEA ABB=ON PLU=ON L37 AND BR/ELS D SCAN

FILE 'STNGUIDE' ENTERED AT 11:28:52 ON 05 JUN 2006

- FILE 'REGISTRY' ENTERED AT 11:29:27 ON 05 JUN 2006
- L*** DEL 15 S L38 AND ?QUINAZOL?
- L39 15 SEA ABB=ON PLU=ON L38 AND ?QUINAZOL?/CNS D SCAN

FILE 'STNGUIDE' ENTERED AT 11:30:09 ON 05 JUN 2006

FILE 'REGISTRY' ENTERED AT 11:31:50 ON 05 JUN 2006 L40 1 SEA ABB=ON PLU=ON L39 AND C18H15BRN2/MF SAVE TEMP L40 WAR756ES/A

FILE 'STNGUIDE' ENTERED AT 11:32:36 ON 05 JUN 2006

FILE 'HCAPLUS' ENTERED AT 11:32:38 ON 05 JUN 2006

- L41 1 SEA ABB=ON PLU=ON L40
- L42 1 SEA ABB=ON PLU=ON L41 AND L35
- L43 46 SEA ABB=ON PLU=ON L35 OR L42 SAVE TEMP L43 WAR756HCA1B/A

FILE 'STNGUIDE' ENTERED AT 11:33:39 ON 05 JUN 2006 D SAVED

FILE 'WPIX' ENTERED AT 11:34:54 ON 05 JUN 2006

D QUE L8

- L44 0 SEA SSS SAM L6
 - D QUE STA
- L45 1 SEA SSS FUL L6

SAVE TEMP L45 WAR756WPIS/A

D SCAN

- L46 1 SEA ABB=ON PLU=ON L45/DCR
 - SELECT L45 1- SDCN
- L47 1 SEA ABB=ON PLU=ON RAF9T7/DCN
- L48 1 SEA ABB=ON PLU=ON L46 OR L47 D BIB

| | Ward 10/771,756 06/05/ |
|--------------------------|--|
| L49 L50 | ?/BIX)) |
| L51 L52 | |
| | FILE 'STNGUIDE' ENTERED AT 11:40:48 ON 05 JUN 2006 D SAVED |
| | FILE 'HCA' ENTERED AT 11:41:11 ON 05 JUN 2006 |
| L53 | FILE 'HCAPLUS' ENTERED AT 11:41:13 ON 05 JUN 2006 45 SEA ABB=ON PLU=ON L43 NOT ((L19 OR L20 OR L21 OR L22 OR L23 OR L24 OR L25)) |
| | FILE 'STNGUIDE' ENTERED AT 11:41:44 ON 05 JUN 2006 |
| L54 L55 | |
| | FILE 'STNGUIDE' ENTERED AT 11:43:52 ON 05 JUN 2006 D QUE STAT L40 |
| | FILE 'REGISTRY' ENTERED AT 11:44:17 ON 05 JUN 2006 D IDE L40 |
| | FILE 'STNGUIDE' ENTERED AT 11:44:17 ON 05 JUN 2006 |
| | FILE 'STNGUIDE' ENTERED AT 11:44:34 ON 05 JUN 2006 D QUE L43 |
| L56 | FILE 'USPATFULL' ENTERED AT 11:45:21 ON 05 JUN 2006 1 SEA ABB=ON PLU=ON L40 SAVE TEMP L56 WAR756USPES/A |
| | FILE 'STNGUIDE' ENTERED AT 11:45:44 ON 05 JUN 2006 |
| L57 L58 L59 L60 | FILE 'MEDLINE, BIOSIS, EMBASE, CABA, LIFESCI, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CONF, CONFSCI, DISSABS' ENTERED AT 11:47:28 ON 05 JUN 2006 563 SEA ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR L23 OR L24) 9 SEA ABB=ON PLU=ON L57 AND L30 1 SEA ABB=ON PLU=ON L57 AND L27 10 SEA ABB=ON PLU=ON L58 OR L59 SAVE TEMP L60 WAR756MULINV WAR756MULINV/A 8 SEA ABB=ON PLU=ON L27 AND L30 |
| חסד | D COST |

FILE 'STNGUIDE' ENTERED AT 11:53:53 ON 05 JUN 2006

SAVE TEMP L63 WAR756MUL1A/A

D SAVED

2 SEA ABB=ON PLU=ON L61 AND L15 SAVE TEMP L62 WAR756MUL1B/A 6 SEA ABB=ON PLU=ON L61 NOT L62

L62

L63

```
FILE 'PASCAL, JICST-EPLUS' ENTERED AT 11:54:17 ON 05 JUN 2006
```

L64 68 SEA ABB=ON PLU=ON (L19 OR L20 OR L21 OR L22 OR L23 OR L24)

L65 2 SEA ABB=ON PLU=ON L64 AND (L27 OR L30)

SAVE TEMP L65 WAR756JPINV/ WAR756JPINV/A

1 SEA ABB=ON PLU=ON L27 AND L30

D BIB

L66

L67

SAVE TEMP L66 WAR756JP1B/A

FILE 'STNGUIDE' ENTERED AT 11:58:34 ON 05 JUN 2006

- D SAVED
- D QUE STAT L8
- D QUE NOS L10
- D L10 1-11
- D QUE STAT L11
- D QUE NOS L17
- D QUE STAT L43
- D QUE STAT L45
- D QUE NOS L48
- D OUE NOS L55
- D OUE NOS L56
- D QUE L62
- D QUE L66

FILE 'HCAPLUS, BABS, WPIX, USPATFULL, USPAT2, CASREACT, TOXCENTER, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:01:59 ON 05 JUN 2006 58 DUP REM L43 L17 L48 L55 L62 L66 (40 DUPLICATES REMOVED)

ANSWERS '1-46' FROM FILE HCAPLUS

ANSWERS '47-53' FROM FILE USPATFULL

ANSWER '54' FROM FILE BIOSIS

ANSWER '55' FROM FILE SYNTHLINE

ANSWERS '56-57' FROM FILE EMBASE

ANSWER '58' FROM FILE PASCAL

FILE 'STNGUIDE' ENTERED AT 12:02:09 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:02:51 ON 05 JUN 2006

D IBIB ED AB HITSTR

FILE 'STNGUIDE' ENTERED AT 12:03:00 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:03:09 ON 05 JUN 2006

D IBIB ED AB HITSTR 2-46

FILE 'STNGUIDE' ENTERED AT 12:03:34 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:04:21 ON 05 JUN 2006

D IBIB AB HITSTR 47

FILE 'STNGUIDE' ENTERED AT 12:04:22 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:04:31 ON 05 JUN 2006

D IBIB AB HITSTR 48-53

FILE 'STNGUIDE' ENTERED AT 12:04:38 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT

12:05:12 ON 05 JUN 2006

D IBIB ED AB HITIND 54

FILE 'STNGUIDE' ENTERED AT 12:05:12 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:05:25 ON 05 JUN 2006

D IBIB ED AB HITIND 55

FILE 'STNGUIDE' ENTERED AT 12:05:47 ON 05 JUN 2006

FILE 'HCAPLUS, USPATFULL, BIOSIS, SYNTHLINE, EMBASE, PASCAL' ENTERED AT 12:06:05 ON 05 JUN 2006

D IBIB ED AB HITIND 56-58

FILE 'STNGUIDE' ENTERED AT 12:06:06 ON 05 JUN 2006

D OUE L33

D QUE L52

D OUE L60

D OUE L65

FILE 'HCAPLUS, WPIX, MEDLINE, BIOSIS, EMBASE, DRUGU, SCISEARCH, PASCAL' ENTERED AT 12:07:01 ON 05 JUN 2006

L68 15 DUP REM L33 L52 L60 L65 (15 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE HCAPLUS ANSWERS '8-13' FROM FILE WPIX ANSWERS '14-15' FROM FILE MEDLINE

FILE 'STNGUIDE' ENTERED AT 12:07:08 ON 05 JUN 2006

FILE 'HCAPLUS, WPIX, MEDLINE' ENTERED AT 12:07:15 ON 05 JUN 2006 D IBIB ED AB 1-15

FILE 'STNGUIDE' ENTERED AT 12:07:19 ON 05 JUN 2006

FILE 'STNGUIDE' ENTERED AT 12:07:39 ON 05 JUN 2006

FILE HOME

FILE ZCAPLUS

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FILE COVERS 1907 - 5 Jun 2006 VOL 144 ISS 24 FILE LAST UPDATED: 4 Jun 2006 (20060604/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Jun 2, 2006 (20060602/UP).

FILE WPIX

FILE LAST UPDATED: 2 JUN 2006 <20060602/UP>
MOST RECENT DERWENT UPDATE: 200635 <200635/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training center/patents/stn guide.pdf <

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomson.com/support/patents/coverage/latestupdates/

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE http://www.stn-international.de/stndatabases/details/ipc_reform.html and http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf <<<

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 JUN 2006 HIGHEST RN 886746-35-6 DICTIONARY FILE UPDATES: 4 JUN 2006 HIGHEST RN 886746-35-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

- * The CA roles and document type information have been removed from *
- * the IDE default display format and the ED field has been added,
- * effective March 20, 2005. A new display format, IDERL, is now
- * available and contains the CA role and document type information. *

.. *************************

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE LREGISTRY LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE BEILSTEIN
FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,516,393 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- * FOR PRICE INFORMATION SEE HELP COST

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE BABS

FILE LAST UPDATED: 15 MAR 2006 <20060315/UP>

FILE COVERS 1980 TO DATE.

FILE HCA

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FILE COVERS 1907 - 1 Jun 2006 VOL 144 ISS 24 FILE LAST UPDATED: 1 Jun 2006 (20060601/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 1 Jun 2006 (20060601/PD)
FILE LAST UPDATED: 1 Jun 2006 (20060601/ED)
HIGHEST GRANTED PATENT NUMBER: US7055175
HIGHEST APPLICATION PUBLICATION NUMBER: US2006117448
CA INDEXING IS CURRENT THROUGH 30 May 2006 (20060530/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 1 Jun 2006 (20060601/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 1 Jul 2003 (20030701/PD)
FILE LAST UPDATED: 1 Jun 2006 (20060601/ED)
HIGHEST GRANTED PATENT NUMBER: US2006069528
HIGHEST APPLICATION PUBLICATION NUMBER: US2006117441
CA INDEXING IS CURRENT THROUGH 1 Jun 2006 (20060601/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 1 Jul 2003 (20030701/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

FILE CASREACT

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FILE CONTENT: 1840 - 4 Jun 2006 VOL 144 ISS 23

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE TOXCENTER

n Ýi r

FILE COVERS 1907 TO 30 May 2006 (20060530/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The MEDLINE file segment has been updated with 2006 MEDLINE data and features. See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html for a description of changes.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 31 May 2006 (20060531/ED)

FILE PROUSDDR

FILE COVERS 1980 TO 2 May 2006 (20060502/ED)

FILE CHEMCATS

FILE LAST UPDATED 3 JUNE 2006 (20060603/UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising out of the use of this database.

CHEMCATS now contains more than 10 million records. See HELP CONTENT and NEWS FILE for details.

FILE SYNTHLINE

FILE COVERS 1984 TO 16 May 2006 (20060516/ED)

FILE MEDLINE

FILE LAST UPDATED: 3 JUN 2006 (20060603/UP). FILE COVERS 1950 TO DATE.

06/05/2006

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>). See also:

http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 med data changes.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE EMBASE

FILE COVERS 1974 TO 5 Jun 2006 (20060605/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CABA

FILE COVERS 1973 TO 2 Jun 2006 (20060602/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

FILE LIFESCI

FILE COVERS 1978 TO 12 May 2006 (20060512/ED)

FILE DRUGU

FILE LAST UPDATED: 3 JUN 2006 <20060603/UP>

>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<

>>> THESAURUS AVAILABLE IN /CT <<<

FILE DRUGB

>>> FILE COVERS 1964 TO 1982 - CLOSED FILE <<<

FILE VETU

FILE LAST UPDATED: 02 JAN 2002 <20020102/UP>

FILE COVERS 1983-2001

FILE VETB

FILE LAST UPDATED: 25 SEP 94 <940925/UP>

FILE COVERS 1968-1982

FILE SCISEARCH

FILE COVERS 1974 TO 1 Jun 2006 (20060601/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CONF

FILE LAST UPDATED: 23 DEC 2005 <20051223/UP>
FILE COVERS 1976 TO 2005.

<>< CONF IS NO LONGER BEING UPDATED AS OF JANUARY 2006 >>>

FILE CONFSCI

FILE COVERS 1973 TO 10 Apr 2006 (20060410/ED)

CSA has resumed updates, see NEWS FILE

FILE DISSABS

FILE COVERS 1861 TO 25 MAY 2006 (20060525/ED)

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FILE PASCAL

FILE LAST UPDATED: 29 MAY 2006 <20060529/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <><

FILE JICST-EPLUS

=>

FILE COVERS 1985 TO 30 MAY 2006 (20060530/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

THIS PACE BLANK USPO